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HETEROCYCLIC CHEMISTRY

Edited by

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Preface

The benzo[*c*]furans (isobenzofurans) have an important chemistry quite distinct from their more familiar isomers, the benzo[*b*]furans. Above all, the benzo[*c*]furans undergo a wide variety of Diels–Alder and other cyclizations, which together with their preparations and other reactions is the subject of a comprehensive overview by Professor W. Friedrichsen (University of Kiel, Germany), which updates his earlier review of twenty years ago (80AHC135). Heterocyclic seven-membered rings are receiving increasing attention: 1,7-electrocyclizations to such derivatives are reviewed for the first time by Drs. P. Groundwater (University of Sunderland, UK) and M. Nyerges (Technical University of Budapest, Hungary) in the second chapter of this volume.

The chemistry of 1,2,4-triazolo[4,3-*a*]pyrimidines is covered in the first installment of a general treatment of 1,2,4-triazolopyrimidines (isosters of purines) by Professor M. A. E. Shaban and Dr. A. E. A. Morgaan (Alexandria University, Egypt). The final installment of Dr. I. Hermecz's (CHINOIN Ltd., Hungary) five-part-series on pyrido-oxazines, -diazines, and -thiazines comprises Chapter 4 of the present volume and covers benzologs of pyrido[1,2-*a*]pyrimidines. Parts I through IV appeared in Volumes 69–72 of our series.

Dr. A. Hashem (Ain Shams University, Egypt) and Professor A. Senning (Technical University of Denmark, Lyngby, Denmark) cover the reactions of 2(3*H*)-furanones or $\Delta^{8,\gamma}$ -butenolides emphasizing advances made in a decade from 1987. Volume 73 closes with Part VI of our ongoing series on the literature of heterocyclic chemistry, which attempts to record all relevant reviews (including those not in English) in a systematic manner. Part VI, authored by Professor L. I. Belen'kii, and Drs. N. D. Kruchkovskaya, and V. N. Gramenitskaya (Zelinsky Institute, Moscow, Russia), covers the three-year period 1994 to 1996.

ALAN R. KATRITZKY

Recent Advances in the Chemistry of Benzo[*c*]furans and Related Compounds

WILLY FRIEDRICHSEN

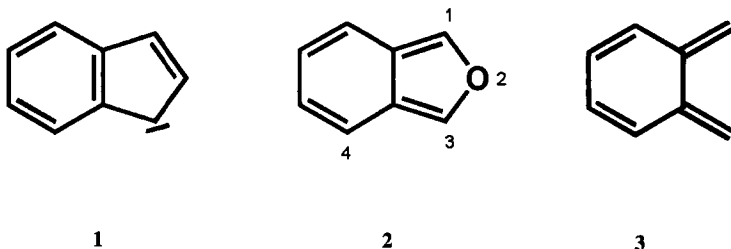
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I. Introduction

Benzo[*c*]furans (isobenzofurans) **2** constitute a unique class of heterocyclic compounds. They belong to a class of π -excessive heterocycles

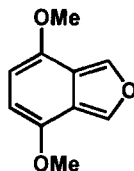
(68MI1), which can formally be derived from the isoconjugated indenyl anion **1**. As they are compounds with an *o*-quinodimethane structural element **3**, they are especially suited for inter- and intramolecular cycloadditions.



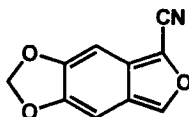
Because of their importance in synthetic organic chemistry, isobenzofurans and related compounds (hetero-[6,5]- and [5,5]-analogs) have been reviewed several times [51MI1; 78H865; 79MI1; 80AHC135; 81MI1; 84CHEC-I(4)531, 84CHEC-I(4)599, 84CHEC-I(4)657; 88T2093; 89MI1; 94HOU163; 95MI7, 96CHEC-II(2)259, 96CHEC-II(2)297; 96CHEC-II(2)351, 96-CHEC-II(2)395; 97MI6; 98MI3; 99MI2]. Isobenzofurans have also been used repeatedly for the determination and quenching of singlet oxygen ($^1\text{O}_2$, $^1\Delta_g$). The following is an updated version of our earlier work (80AHC135) and covers the literature from mid-1978 to the end of 1998, including some references omitted in our earlier review.

II. Theoretical and Structural Aspects

Because of the rapid increase in computing power, semiempirical (90MI1; 91MI1), density functional theoretical (DFT) (95MI3), and *ab initio* calculations (96MI1) for quite large systems have become feasible. All these methods have also been applied to the parent system and substituted derivatives (94HOU163). DFT methods have proved to be especially reliable concerning both the geometry and the reactivity of various isobenzofurans (94HOU163; 97JOC2786, 97T13285, 97UP1, 97UP2). Some recent results for the geometry of isobenzofuran are given in Table I (94HOU163; 97UP1). Because crystal structure determinations for at least two substituted isobenzofurans [**4**, 86JOC3973; **5**, 94JCS(CC)1545; 95AX(C)780] have been reported, the computed values can be judged against the experimental data.



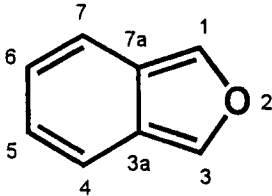
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5

The results for **4** and **5** (B3LYP/6-31G*) are in excellent agreement with these values (97UP1). Several other theoretical studies have been performed for isobenzofurans. An index of aromaticity (Bird index) has been calculated by DFT methods [B3LYP/6-311+G**; 96AG2824, 96AG(E)2638; see also 87T4725; 96JA6317]. MNDO (88CS381, 88CS385), PPP and CNDO/2 (85UKZ293) calculations for isobenzofuran have been reported. The topological resonance (TRE) criterion has been applied repeatedly to this class of compounds (84JHC273). For resonance energies see also (91MI2). The aromatic electronic delocalization has been studied

TABLE I
CALCULATED BOND LENGTHS FOR BENZO[c]FURAN **2** (IN Å)

|  | | | | | | | |
|--|-------|-------|-------|--------------------|--------|------------|------------------|
| Bond | MNDO | AM 1 | PM 3 | 4-31G ^a | 6-31G* | MP2/6-31G* | DFT ^b |
| 1-2 | 1.361 | 1.389 | 1.371 | 1.364 | 1.338 | 1.360 | 1.358 |
| 3-3a | 1.398 | 1.388 | 1.384 | 1.352 | 1.351 | 1.385 | 1.376 |
| 3a-4 | 1.442 | 1.442 | 1.426 | 1.434 | 1.442 | 1.422 | 1.429 |
| 4-5 | 1.372 | 1.364 | 1.360 | 1.342 | 1.341 | 1.375 | 1.368 |
| 5-6 | 1.451 | 1.434 | 1.436 | 1.447 | 1.455 | 1.430 | 1.438 |
| 3a-7a | 1.467 | 1.464 | 1.450 | 1.449 | 1.444 | 1.445 | 1.445 |

^a The values calculated with 3-21 G differ only 0.01 Å.

^b B3LYP/6-31G*.

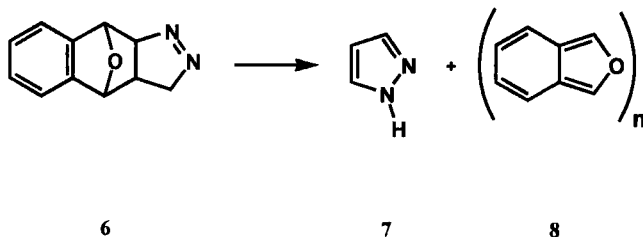
theoretically (81MI2). For an experimental estimation of aromaticity relative to that of benzene see Mitchell *et al.* (95JA1514, 95JA5168). The concepts of aromaticity have also been studied from a general point of view (96JOC1619; 97OM2362, 97T3319, but especially 98JOC5228). π -Electron ring currents and magnetic shielding in oxygen containing unsaturated heterosystems (isobenzofuran included) were reported (85MI2, 85MI3). Quantum chemical studies of the Elbs reaction on possible isobenzofuran precursors have been performed [92MI1; 93ZOR(29)957]. A theoretical study of the location of oxygen atoms on the relative thermodynamic stability of polyheterocyclic compounds has been performed (93IZV987). The intramolecular ring closure of the 2-formyl-benzoyl radical to a 3-phthalidyl radical (1-oxy-isobenzofuran radical) has been studied computationally (96JOC9264; see also 94JA1718, 94JA5525). Based on the previously introduced argument that absolute hardness (HOMO–LUMO gap) is a good measure of aromaticity, the concept of relative hardness has been introduced and applied to a wide variety of cyclic conjugated molecules [e.g., benzo[*b*]furan in comparison to isobenzofuran (89JA7371)]. For a comparison of benzo[*b*]furan with isobenzofuran see Jursic (98MI4). The electronic density of states of quasi-one-dimensional poly(isothionaphthene)isobenzofuran lattices has been investigated (90MI2). HMO studies have been performed on the band gap of isobenzofuran polymers (87SM269). Whether the statement that isobenzofurans have aromatic character (80S165) relies on a sound experimental basis remains to be established.

III. Synthesis

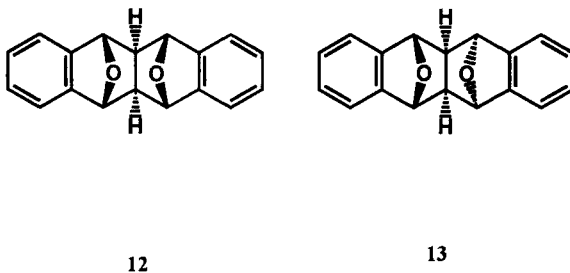
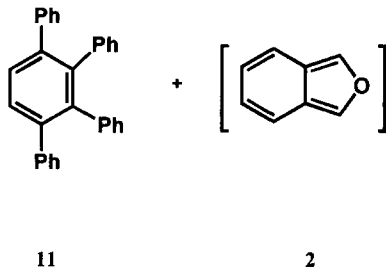
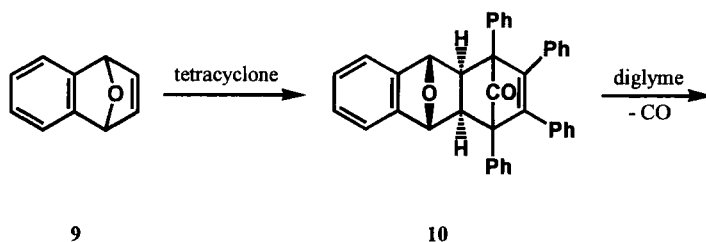
A. ISOBENZOFURANS AND BENZANNULATED DERIVATIVES

1. From Non-furan Precursors

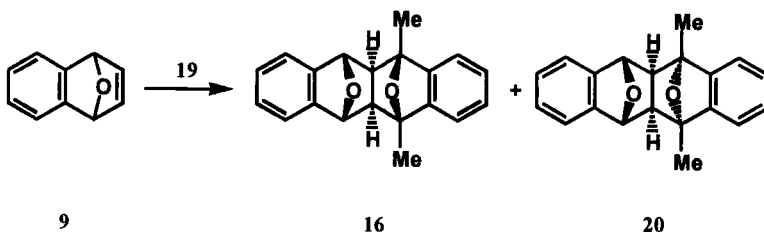
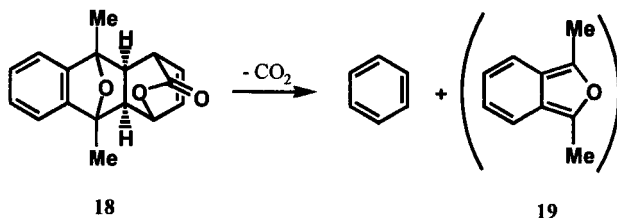
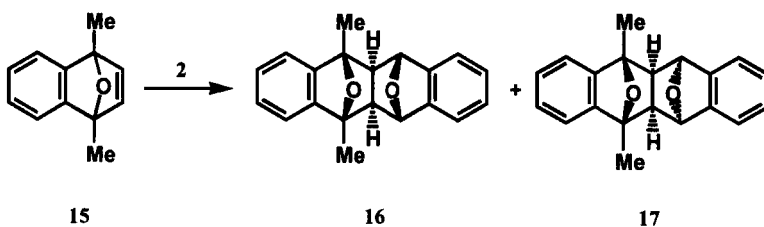
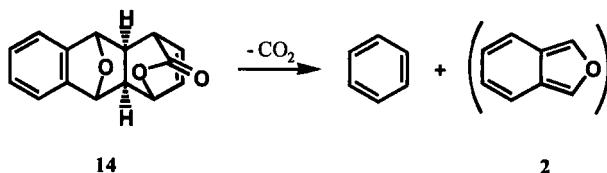
The synthesis of the parent compound **2** can be traced back to the work of Wittig and Pohmer (56CB1334).



When dihydropyrazoline **6** (stereochemistry unknown, but probably *exo*) was heated in the presence of copper powder to 180°C (or 200°C as stated in experimental) in addition to pyrazole (**7**) a resinous residue was obtained which was described as a polymer (**8**) of the then-unknown isobenzofuran **2**. The transient existence of **2** was proved by Fieser and Haddadin in 1964 (64JA2081; 65CJC1599). Refluxing the Diels–Alder adduct of 1,4-dihydro-1,4-epoxynaphthalene **9** and tetracyclone (**10**, stereochemistry of the cyclopentenone moiety unknown; see also 71TL2337) in the presence of **9** yields **12** (*exo,exo*) and **13** (*exo,endo*; there is a misprint in the experimental section of 65CJC1599) in 91% overall yield (**12/13** = 42/58). For the reaction of **2** with **9** see also Meier *et al.* (97LA663).

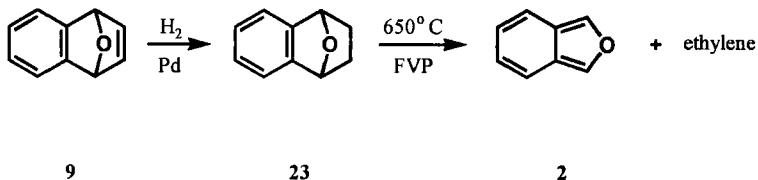
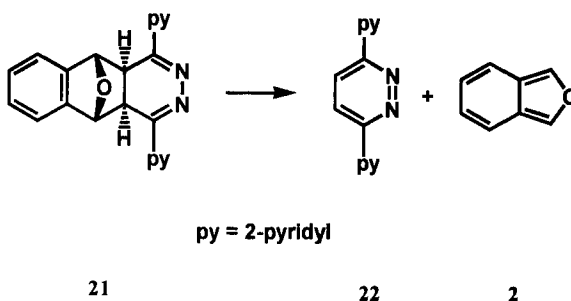


The transient existence of both isobenzofuran **2** and its 1,3-dimethyl derivative **19** was proved by Fieser and Haddadin in a further set of experiments. Thermal decomposition of the Diels-Alder adduct of **9** and α -pyrone (**14**; stereochemistry not known with certainty, see below) in the presence of **9** yields again **12** and **13** (in the experimental section of 65CJC1599, the starting materials for the latter two reactions were accidentally inverted; see 89MI1); in the presence of **15** the adducts **16** (*exo,exo*) and **17** (*exo,endo*) were obtained from **2**.



Similarly, the Diels–Alder reaction of **15** and α -pyrone (**18**, stereochemistry unknown) in the presence of **9** yields **16** (*exo,exo*) and **20** (*exo,endo*). Since then the transient generation of **2** (and other unstable isobenzofurans) through this retro Diels–Alder reaction pathway has been used extensively [72JCS(CC)347; 76TL2507; 80AHC135; 81MI1; 82RTC317; 82RTC365; 94HOU163; 95TL6141]. The isolation of the parent compound **2** can be achieved by several methods:

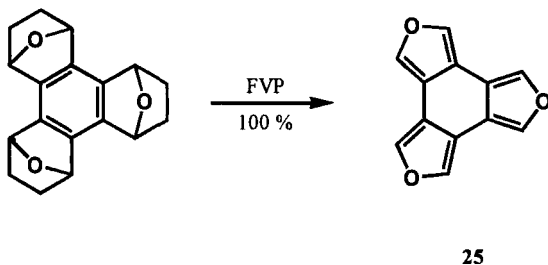
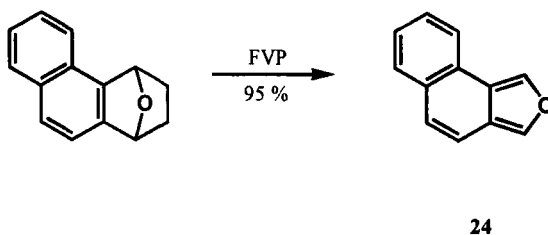
- Vacuum pyrolysis of **14** [71TL2337; in this paper the stereochemistry of **14** was given as *exo,anti* (oxa bridge)].
- By thermal decomposition of **21** (which is in turn available from **9** and 3,6-bis(2-pyridyl)-1,2,4,5-tetrazine at room temperature [71JA2346; 81AJC1223, 81JCS(CC)942; 82AJC757; 82JCS(CC)1195; 83TL1221; 84TL(25)4833; 91AJC1275, 91TL1889; 92AJC1035, 92MI2; 97SL44, 97SL47]. A convenient preparation of the tetrazine is described in Russell *et al.* (92JCE164).



During an attempted generation of 1-acetoxyisobenzofuran using this procedure a rearrangement was observed

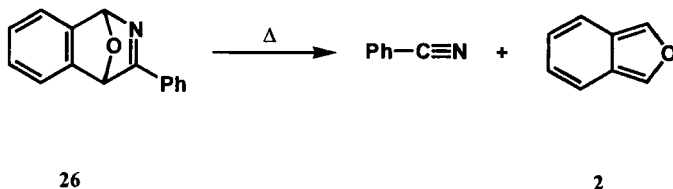
- By flash vacuum pyrolysis (FVP) of **23**, which was prepared by catalytic hydrogenation of **9** [72JCS(CC)347; 81MI1; 82RTC317, 82RTC365]. This method can be used in a continuous flow apparatus to

prepare larger quantities of pure isobenzofuran. These methodologies have also been used for the preparation of other unstable isobenzofurans, e.g., phenanthro[9,10-*c*]furan (80TL3831), naphtho[1,2-*c*]furan **24** (88AJC235), benzo[1,2-*c*:3,4-*c'*:5,6-*c''*]trifuran **25** (80TL3831), naphtho[1,2-*c*:3,4-*c'*]difuran (80TL3831), and others (96AJC1263; 96TL1987).



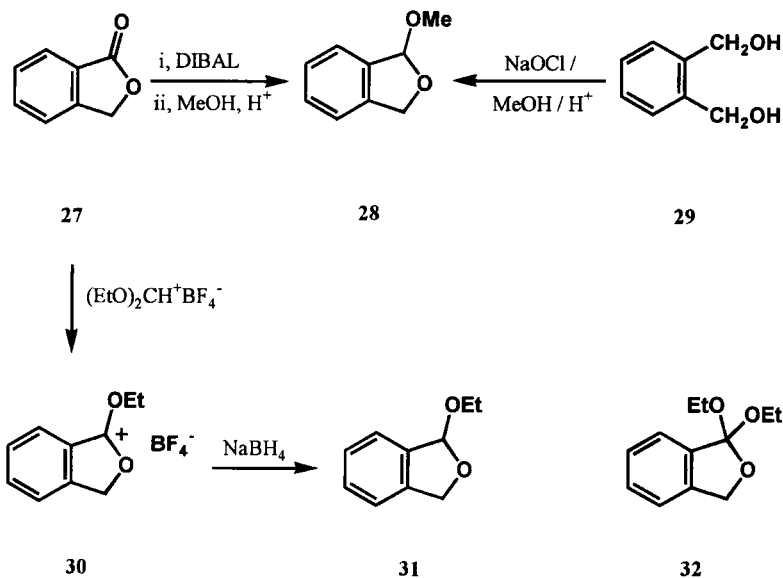
Phenanthro[9,10-*c*]furan was also observed as a by-product in the reaction of 9,10-phenanthrenequinone with a bis-Wittig reagent [85JCS(P1)429]. FVP of 1-acetoxy-1,4-epoxy-1,2,3,4-tetrahydronaphthalene does not give the corresponding isobenzofuran, but an isomerization product (87AP237). FVP generation with subsequent thermal rearrangement was also reported for a 1-alkyl-substituted isobenzofuran (97T17115; see also 98TL7393).

- (d) From 1,4-dihydro-1,4-epoxy-3-phenylisoquinoline **26**, which is available from 4-phenyloxazole and benzyne. Retro Diels–Alder expulsion of benzonitrile with concurrent formation of **2** occurs when the adduct is heated (benzene, 80°C) (88JOC5595; 89MI1, 89MI2; 90JOC929).



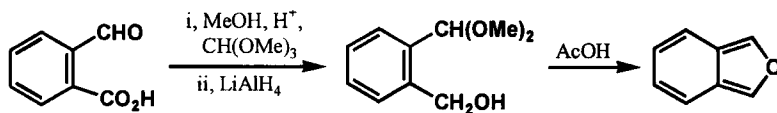
This methodology has also been applied to the synthesis of other isobenzofurans (90JOC929).

(e) Acid-catalyzed elimination of an alcohol from 1-alkoxyphthalan, e.g., **28**. This reaction was shown to be reversible (83JOC2237). The starting material **28** can be prepared (77TH1; 78DIS5943; 80JOC4061) either by reduction of phthalide (**27**) to 1-hydroxyphthalan (62LA32; for a new synthesis of 1-hydroxyphthalan from **29** see 95TL3485) with subsequent acid-catalyzed methanolysis (79JA1196) or from *o*-phthalylalcohol **29** (80JOC4061). The controlled Swern oxidation of **29** also yields **28** (89MI1).



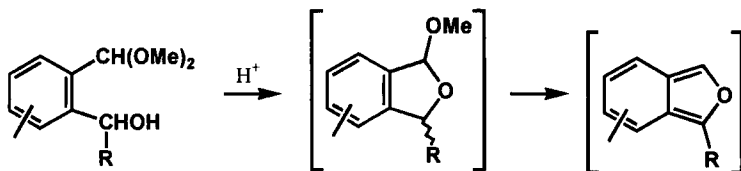
Acid-catalyzed eliminations from 1-alkoxyphthalans have also been applied in a number of other cases [80JOC4061, 80TL3663; 82CJC637, 82JOC(47)5391; 83CJC1987; 85CJC735, 85JOC4340; 86JOC986, 86JOC1992; 96577]. Related acetals (e.g., **31**) are accessible from **27** with

Meerwein's reagent (56CB2060; see also 79JOC114) or (4JOC1477) diethoxymethylum tetrafluoroborate [68AG81, 68AG(E)64; 69JOC627] with subsequent reduction of the resulting phthalidium salt **30** [82JOC5391] or by treatment of this salt with organolithium reagents (89JOC4253). The conversion of cyclic acetals of type **28** and others to isobenzofurans can also be accomplished with strong bases (e.g., LDA) (78TL4237; 80JOC4061; 81CJC1247, 81JOC2734; 83JOC3869; 87JOC787), but this type of elimination may fail (83JOC2237; 95TL4181). On treatment of salt **30** with sodium ethoxide 1,1-diethoxyphthalan, **32** is obtained, a suitable precursor for 1-ethoxyisobenzofuran (78TL4237; 80CJC2573, 80CJC2580; 81CJC1247; 84JOC1477; see also 81CJC1169). 1-Methoxyisobenzofuran was prepared similarly (81JOC2734; 84JOC3694). 1,3-Dialkoxypthalans can also be transformed to 1-alkoxyisobenzofurans with acid or base (87JOC787). 2-(Dimethoxymethyl)benzyl alcohol is a convenient precursor for isobenzofuran. It can be prepared quite easily from commercially available 2-carboxybenzaldehyde with excess refluxing methanol in the presence of Dowex resin and trimethyl orthoformate and subsequent reduction of the resulting ester with lithium aluminum hydride. Treating the acetal with hot aqueous acetic acid in the presence of dienophiles leads to the formation of the Diels–Alder adducts of **2** (83JOC5361).



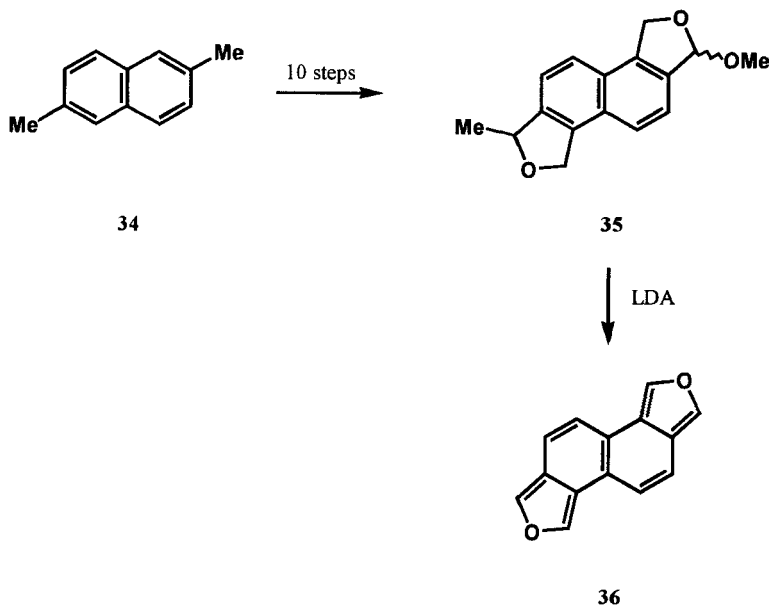
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For the preparation of monoacetals of *o*-phthaldehyde and reduction to precursors of isobenzofurans, see also Smith and Krüger (85JOC5759). Dimethoxyacetals of type **33** are also used as precursors for the preparation of isobenzofurans (83CJC1987).

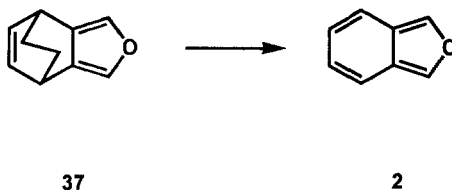


33

Naphthol[1,2-*c*;5,6-*c*] difuran **36** is available by a base-catalyzed elimination reaction from **35** (96TL8845). Compound **36** seems to be more stable than isobenzofuran itself. The substance can be chromatographed on alumina and isolated as a solid at room temperature.¹



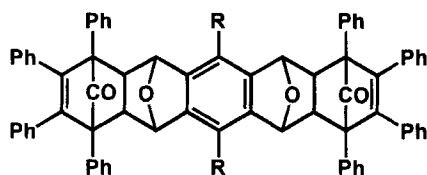
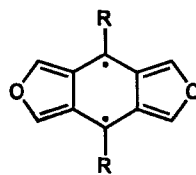
Naphthol[1,2-*c*]furan and naphthol[2,3-*c*]furan have also been prepared from the corresponding phthalan precursors (83JCS(CC)1197; see also 83JOC3869; 88AJC235). Anthra[2,3-*c*]furan was obtained similarly (*in situ*: 96577). Gorgues and co-workers devised a simple route to isobenzofuran starting with the Diels–Alder adduct of the monoacetal of acetylenedicarbaldehyde and cyclohexadiene. Reduction (with NaBH₄) and acidic cy-



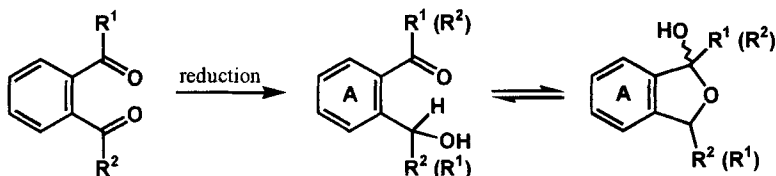
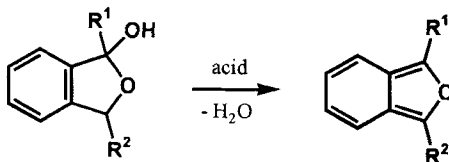
¹ In Yu *et al.* (96TL8845) there is a slight discrepancy between the text (p. 8846) and scheme 2 (p. 8847).

clization yields **37**. Refluxing a chloroform solution of **37** in the presence of dimethyl maleate gives the corresponding *exo*-adduct of **2** in 70% yield (86MI1, 86TL4295).

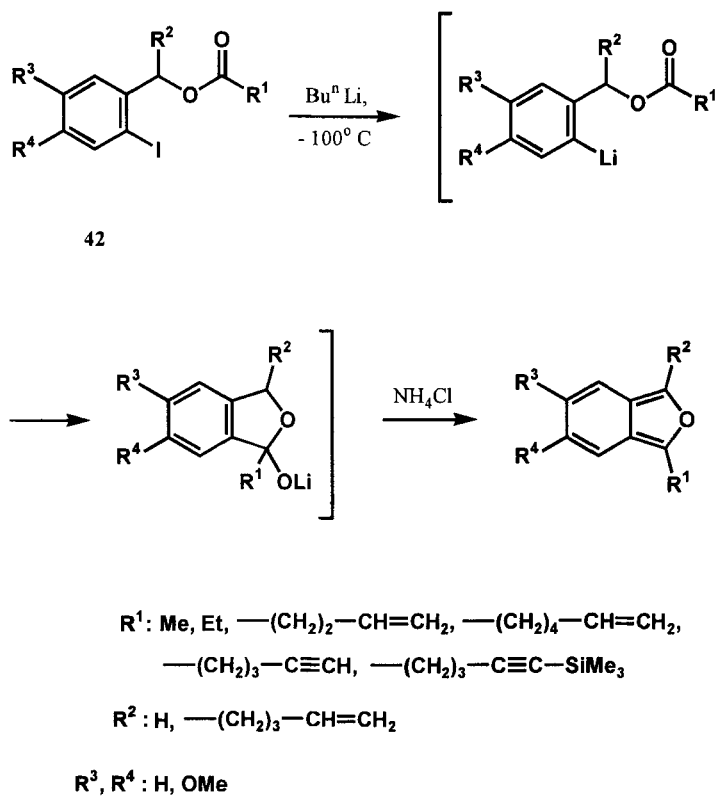
Compounds of type **38** can be considered as precursors for bis-isobenzofuran synthons **39**. Diels–Alder reactions with a variety of dienophilic compounds (e.g., benzoquinones) open a way for the preparation of large linearly and angularly polycyclic hydrocarbons (ladder polymers, etc.; see Section IV) (88JOC1341; 89CB1351, 89MI3, 89MM3506; 91MI3; 92MI3, 92MI4; 93CB2543, 93MI1; 95MI1; 96JOC7304; 97MI1; 98MI1).

**38****39**

Reduction of *o*-acylbenzene derivatives with either zinc/sodium hydroxide or complex metal hydrides (NaBH_4 , KBH_4) with subsequent treatment of the resulting mixture **40/41** with acid yields isobenzofurans. This method has especially been proved to be of value for the preparation of 1,3-diarylisobenzofurans (80AHC135; 82T1425; 94HOU163; 97SL47). Carbinols of type **40** and hydroxyphthalans **41** are intermediates. The equilibrium between **40** and **41** has been investigated (84T1667; 86CB1876, 86JOC3762; 88JOC2942; 89CB1119).

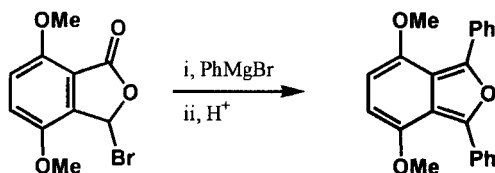
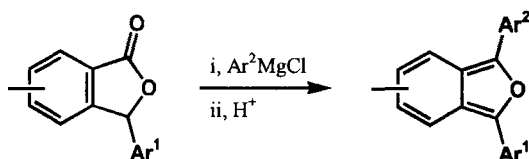
**40****41**

Simple hydroxyphthalans have also been used for the transient generation of unstable isobenzofurans [83JCS(CC)1197; 88JAP(K)62/201880; 94CJC42]. An interesting variant for the synthesis of hydroxyphthalans was reported by Rodrigo and co-workers [92JCS(CC)164]. Treatment of aryl iodides of type **42** with butyllithium at -100°C with subsequent acidification yields isobenzofurans (trapped as Diels–Alder adducts).

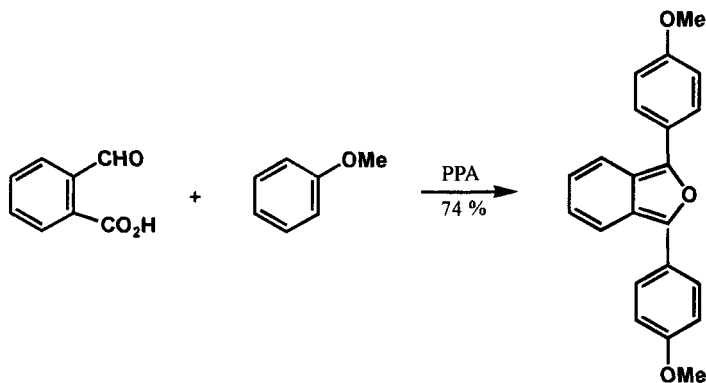


It is well known that phthalides react with Grignard or lithium organic reagents to give isobenzofurans. This methodology is especially suited for the preparation of 1-aryl- and 1,3-diarylisobenzofurans (80AHC135; 83S1018; 93T929; 94HOU163; for important preparative hints see 90JOC4190). 1,3-Diphenylnaphtho[2,3-*c*]furan, which is far more reactive than 1,3-diphenylisobenzofuran (1,3-DIBF), was prepared similarly (62JA2008; 69JOC538; 79JOC494). For the synthesis of the parent compound see Mir-Mohamad-Sadeghi and Rickborn [83JOC(48)2237]. The synthesis of 1,3-(2-thienyl)isobenzofuran using this methodology was also

reported (92MI5–92MI7). As reported recently, bromophthalide **43** can also be used as a starting material for this reaction (96TL6089).

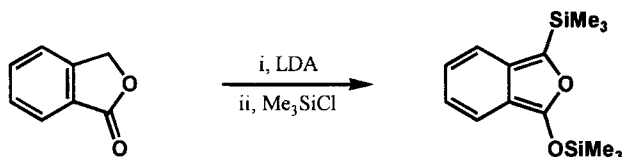
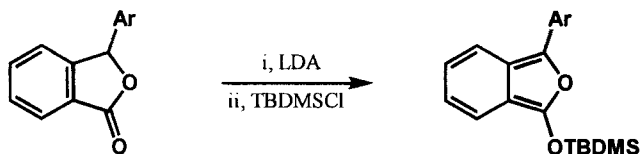
**43**

A one-step synthesis of 1,3-dianisylisobenzofuran from phthalaldehydic acid and anisole in the presence of polyphosphoric acid has been accomplished [89JCR(S)82].

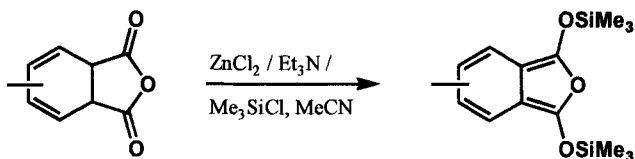


Phthalides are also useful precursors for silylated isobenzofurans. Treatment of 3-arylphthalides with base, e.g., LDA (C-3 deprotonation to generate the corresponding anion [81JCS(P1)465; 85AJC921; 95TL6773; 96JOC459; 97JCS(P1)443, 97T2177; 98H501]) and subsequently with

TBDMSCl yields 1-silyloxy-3-arylisobenzofurans (trapped with dienophiles) (84CL1263). Phthalide itself with LDA/ Me_3SiCl gives 1-trimethylsilyl-3-trimethylsilyloxyisobenzofuran, a potentially useful precursor for linear polycyclic compounds (92TL2769). 1-Cyano-3-trimethylsilyloxyisobenzofurans are prepared similarly (96TL6797).



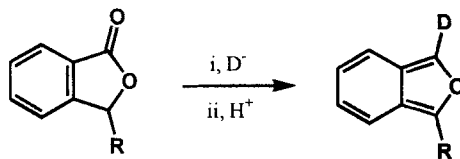
For syntheses of phthalides see several references [81JOC4810; 83JOC2356, 83JOC3246, 83JOC3249, 83S419; 84JOC737; 88SC1723; 89CPB2948, 89H(28)405; 90H1261; 92JOC2029; 94H47; 97T14127]. Treatment of **44** with $\text{ZnCl}_2/\text{Et}_3\text{N}/\text{TMSCl}$ in acetonitrile gives 1,3-bis(silyloxy)-isobenzofurans (unstable, Diels-Alder reactions and dimerisations reported) [81TL(22)3497; 84AG596, 84AG(E)622, 84TL(25)2981].



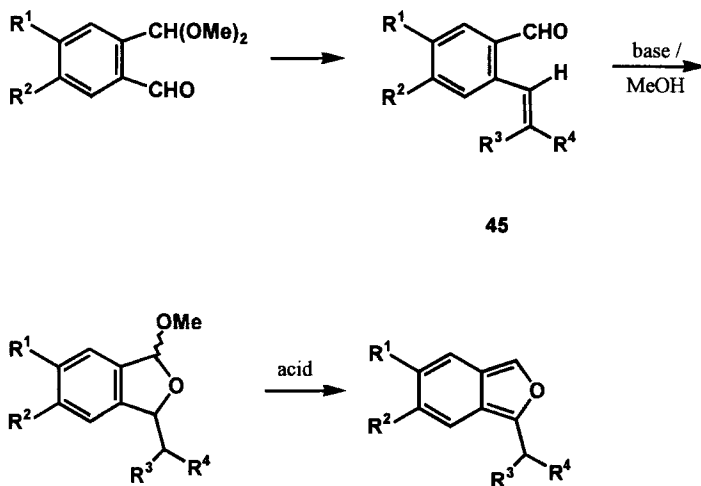
44

See also Brownbridge and Chan (80TL3423, 80TL3431).

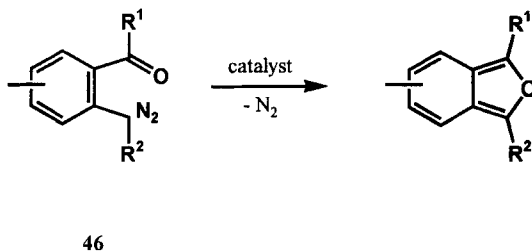
Deuterated isobenzofurans are also available. Reduction of phthalides with complex metal deuterides yields hydroxyphthalans, which can be converted to the corresponding isobenzofurans (84T4597; 85CJC735, 85JOC5902).



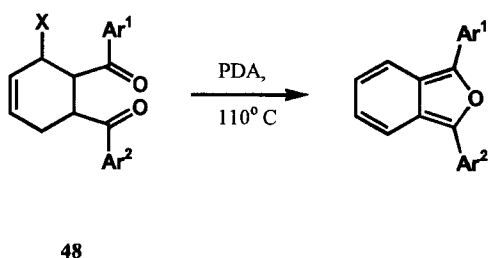
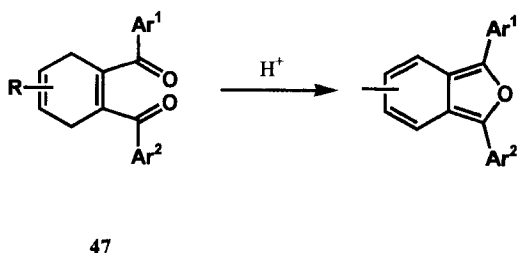
A further general route to isobenzofurans has been reported by Rodrigo and co-workers. Treatment of unsaturated aldehydes of type **45** with base/methanol yields a methoxyphthalan, which on acidification gives an isobenzofuran (91JOC1882).



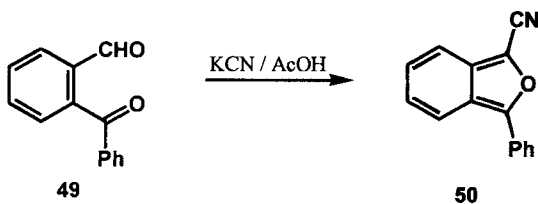
An interesting general entry into the class of isobenzofurans is based on the work of Buchardt (68TL1911; 73JA7402). As has been reported by Hamaguchi and Ibata (76CL287) treatment of diazo compounds of type **46** with transition metal catalysts ($\text{Cu}(\text{acac})_2$, $\text{Rh}_2(\text{OAc})_4$ and others) yields isobenzofurans (see also 86TL869; 89CL853, 89NKK1431). Furo[3,4-*c*]pyridimes have been prepared similarly (99TL397).



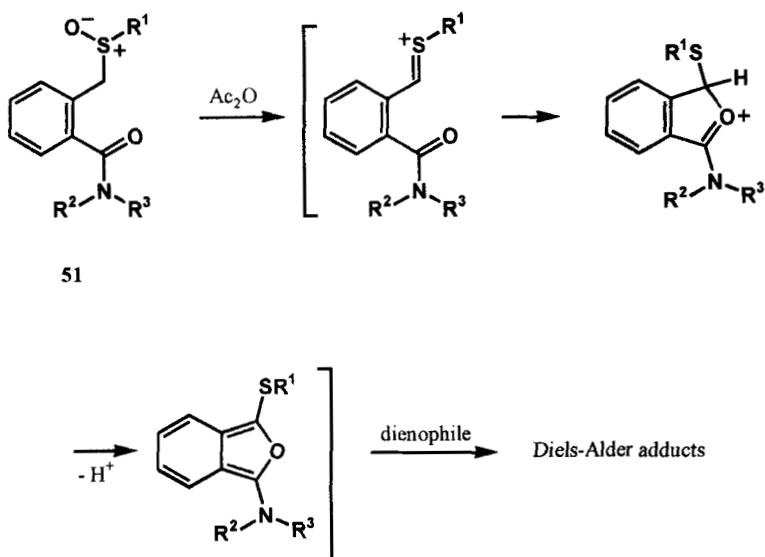
1-Amino- (83TL2945; 86JOC3325) and 1-alkoxysubstituted isobenzofurans [88TL2045; 89H(29)1243] are also available by this route. In recent times this methodology has been used for the synthesis of a wide variety of *c*-annulated furans and polycyclic systems (see Section IV). 1,3-Diarylisobenzofurans are also available from diaroylcyclohexadienes **47** [78JCR(M)4946, 78JCR(S)408; 80AHC135; 94HOU163] and diaroylcyclohexenes **48** with a good leaving group X (80S131).



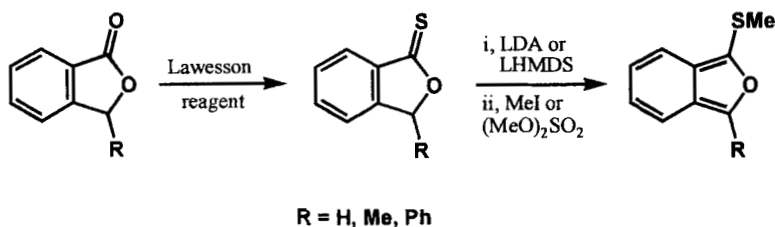
This methodology has also been used for the preparation of 1,3-bis(*tert*-butyl)isobenzofuran (mp 43–45°C) [81TL(22)1697]. For a photochemical synthesis of a 1,3-diarylisobenzofuran see Singh *et al.* [92JCR(S)372]. Treatment of *o*-formylbenzophenone **49** with potassium cyanide in glacial acetic acid gives 1-cyano-3-phenylisobenzofuran **50** [75%, mp 63–64°C; 70TL707; see also a modified procedure for the preparation of the starting material (footnote 9)].



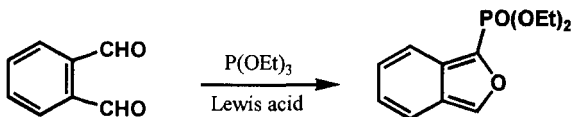
Padwa and co-workers devised a new route to 1-alkylthio-substituted isobenzofurans (generated *in situ* and trapped in inter- and intramolecular Diels–Alder reactions) utilizing a Pummerer rearrangement of sulfoxides of type **51** (95JOC3938, 95TL3495, 95TL9285; 96JOC3706, 96JOC4888; 97JOC2786; 98JOC1144).



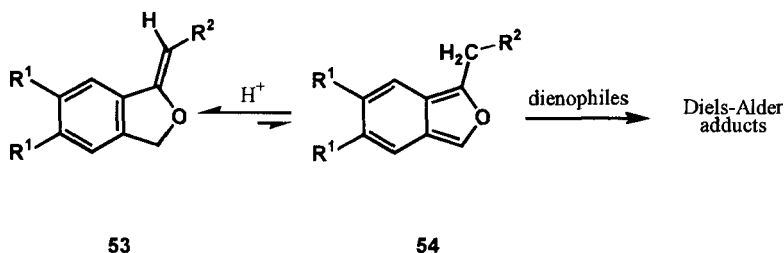
1-Thiosubstituted isobenzofurans have also been prepared from thiophthalides. Treatment of these precursors with LDA or LHMDS and subsequently with methyl iodide or dimethylsulfate yields **52** (trapped with dienophiles) [95JCS(P1)589].

**52**

Treatment of *o*-phthalaldehyde with triethylphosphite in the presence of Lewis acids yields 1-phosphoryl substituted isobenzofurans (96TL5963; see also 79JOC494). For the reaction of 3-chloro-3-phenylphthalide with trimethylphosphite see Groffits *et al.* [93ZOR(63)2245].

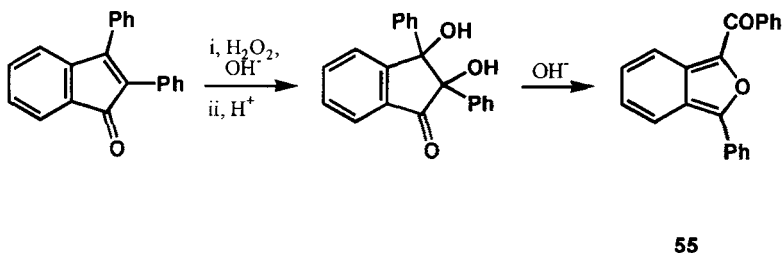


On heating an acidic solution of (*E*)-1-alkylidene- or -arylidene-1,3-dihydroisobenzofuran (**53**) in the presence of dienophiles, the corresponding Diels–Alder adducts of **54** are formed. Obviously, **54** is in equilibrium with **53**, although the isobenzofuran could not be detected by ^1H NMR or UV spectroscopy (74JOC3648, 74T2603; 76TL2507; 80JOC1817, 81JOC4083; 88JOC1841, 88JOC2942; 89S942; see also 91JOC1882). This equilibrium has also been studied computationally (99MI1).

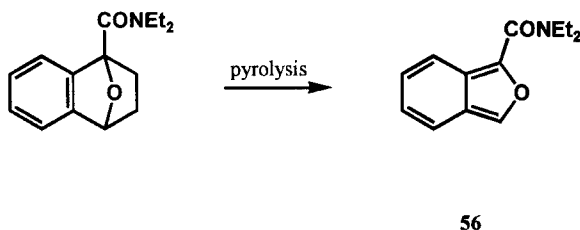


Alkylidenphthalanes have also been used for the synthesis of polycyclic aromatic compounds (80JOC1817; 88JOC1841). For syntheses of alkylidenphthalanes see Okazoe *et al.* (87JOC4410) and Mali *et al.* [90IJC(B)319; 93JCR(S)184]. 1-Diethylamino-3-phenylisobenzofuran was obtained as a by-product from 2-(α -hydroxybenzyl)-*N,N*-diethylaminobenzamide on treatment with hydrogen chloride (mp of the hydrochloride 100–102°C) (97JMC2936).

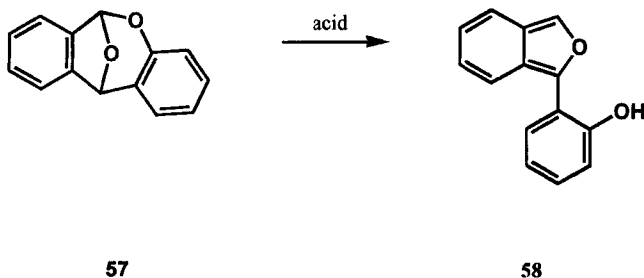
1-Benzoyl-3-phenylisobenzofuran **55** and the corresponding 5,6-dimethyl derivative can be prepared quite easily starting with 2,3-diphenylindenones (21CB2327, 21CB2344; 43JA1230; 48JA2069; 66JA4942). The furan could be formed by a retro aldol reaction with subsequent ring closure.



Whether this rearrangement reaction is also of value for the synthesis of other 1-acylisobenzofurans does not seem to have been proved. An 1-amidoylisobenzofuran **56** has been prepared by a retro Diels–Alder reaction. It could be isolated as a crystalline compound at -20°C , but decomposed readily. A trapping reaction with dehydrobenzene was reported (89AP565).

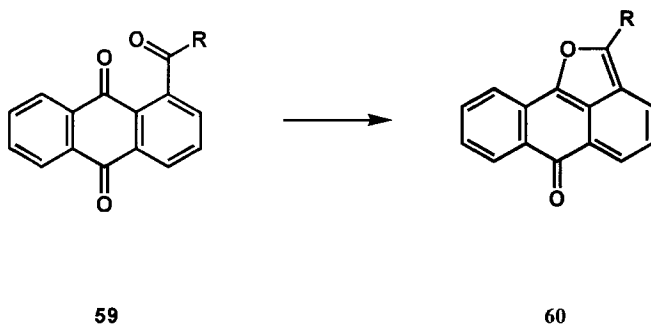


Further methods for the synthesis of isobenzofurans (starting with benzenoid or dihydrobenzenoid precursors) have been reported [78NKK144; 80AHC135, 80H789; 81JOC3752, 81TL(22)3497; 82JA1041, 82NKK706; 83MI1; 84AG596, 84AG(E)622, 84CL1263, 84TL(25)2981; 85CB3872; 86JOC3325; 87TL4217; 88JCS(P1)3169; 92JAP(K)04/198176; 96CL363]. For a synthesis of 4,7-dihydroisobenzofurans see Volz and Voss (90S670). Oxidation of 2,2-dimethyl-1,3-diphenylisoindene (with air) yields acetone and 1,3-DIBF (81JOC3752). Treatment of **57** with acids yields the unstable hydroxyphenylisobenzofuran **58** (trapped as an *N*-methylmaleimide adduct) (84BSF145).

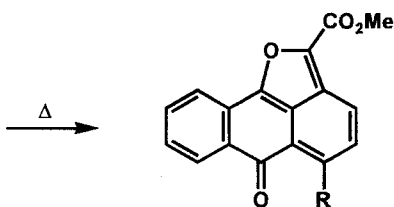
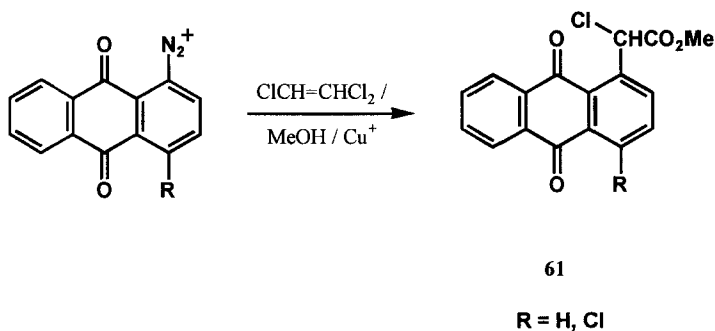


6*H*-Anthra[9,1-*bc*]furan-6-ones (furananthranones, **60**) are of interest as condensed derivatives of isobenzofurans. Compounds of this type were reported as early as 1915 (15CB831, 15CB973), but obviously not recognized as such. Scholl and co-workers succeeded in preparing these compounds ("Oxyanthronyle") by reduction of 1-aroylantraquinones **59** (23CB1065, 23CB1633; 30CB2128; 31CB1158) and clarified the structures (33CB514;

34CB1919). 6*H*-Anthra[9,1-*bc*]furan-6-ones are highly crystalline, deeply violet-colored substances.



These compounds can also be prepared by thermal cyclization of the α -chloro esters of type **61** [84JOU(20)745, 84ZOR818; see also 84ZOR1554; 85JOU(20)1415, 85KGS486; 92ZOR2534].



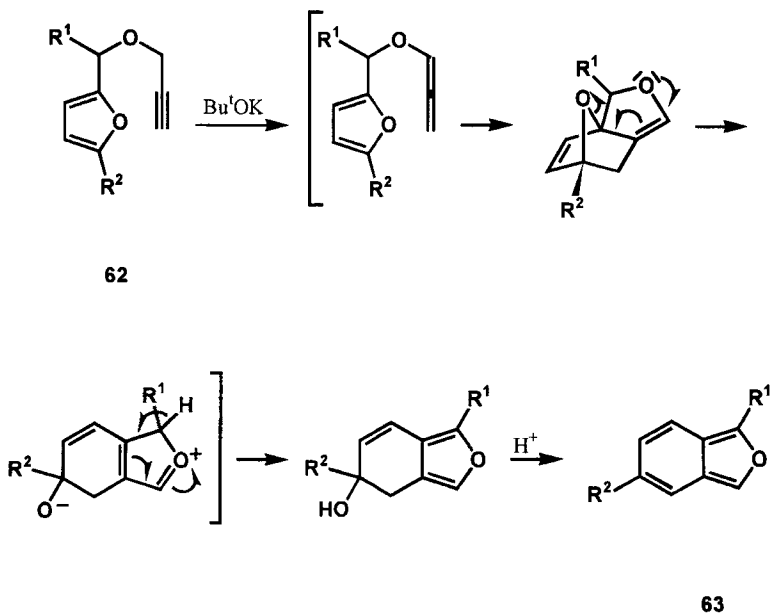
Oxidation reactions, Diels–Alder cycloadditions (with subsequent dehydration of the adducts to benzanthrones) and nucleophilic substitution reactions have also been reported. Probably these condensed isobenzofu-

rans may serve as interesting starting materials for the preparation of highly extended polycyclic hydrocarbons (ladder compounds, etc.; see Section IV).

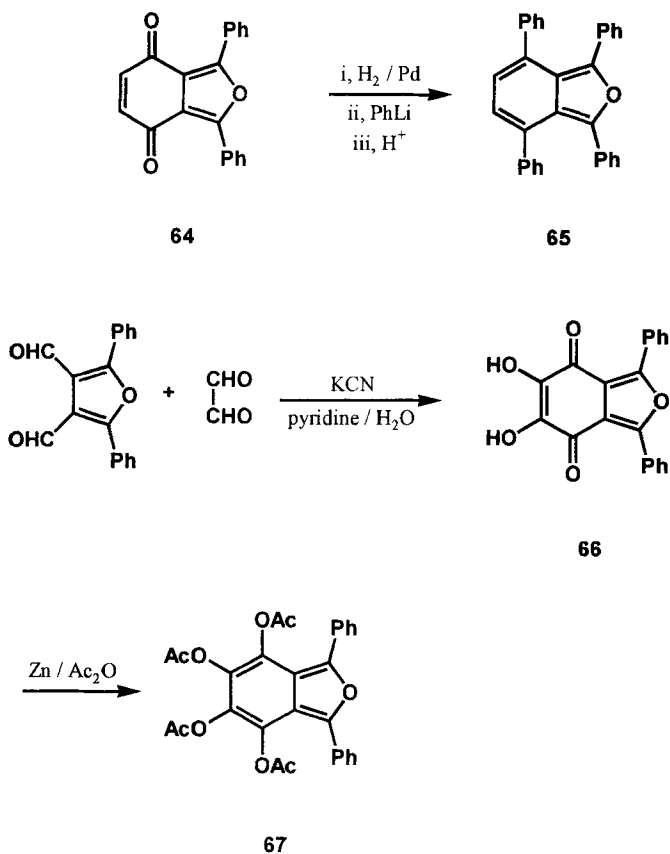
The rhodium complex pathway, which was shown to be of value for the synthesis of phenanthro[9,10-*c*]furans (80AHC135; 94HOU163), failed in an attempted synthesis of thiophene-annulated isobenzofurans (97HCA111). Although there seems to be a literature precedent for the conversion of a Dewar furan to an isobenzofuran (77JA4529), investigations in the field of the parent compound were obviously unsuccessful (81AJC905). A synthesis of isobenzofuran was also claimed in the patent literature (from phthalic anhydride in isopropanol with zirconium oxide/water) [92JAP(K)04/198176].

2. From Furan Precursors

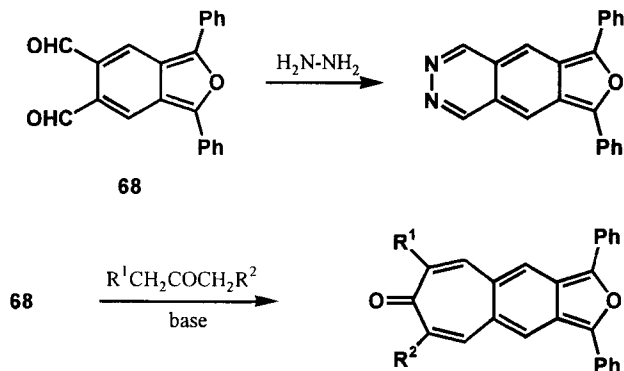
Kanematsu and co-workers devised a simple pathway to isobenzofurans and dihydroisobenzofurans starting with substituted furans of type **62**. Treatment with strong base results in an alkyne-allene isomerization. Subsequent intramolecular cycloaddition, ring opening (probably oxygen lone-pair assisted), and acidic workup give **63**.



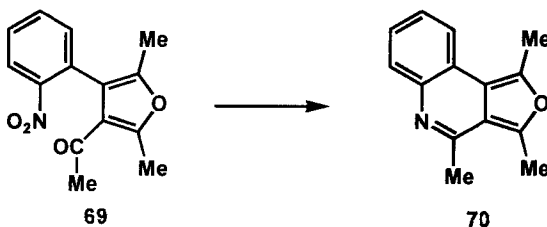
Naphtho[1,2-*c*]furans were prepared similarly [85TL2689; 87JOC2040; 89JCS(CC)470; for the synthesis of a 4,5-dihydroisobenzofuran see (94JCS(CC)1979]. Isobenzofuran-4,7-diones [79JCR(M)3501, 79JCR(S)300; 80AHC135, 80JCS(P1)2445; 83P1301; 85JCR(S)338; 87TL1533; 89BSF708; 90H1485; 91P2427; 94P1029; 98AJC819; 98H(48)1631] may also serve as starting materials for isobenzofurans. Reduction of **64** and subsequent treatment with phenyllithium yields **65** (73BSF1154; 80AHC135). Treatment of a furandicarbaldehyde with glyoxal in the presence of potassium cyanide yields **66**, which on reductive acylation gives **67** (89BSF441; 89BSF708).



Furo[3,4-*g*]phthalazines and isobenzo[5,4-*d*]tropones have been prepared from **68** by similar condensation reactions [77TL1495, 79JCR(M)3518, 79JCR(S)302].



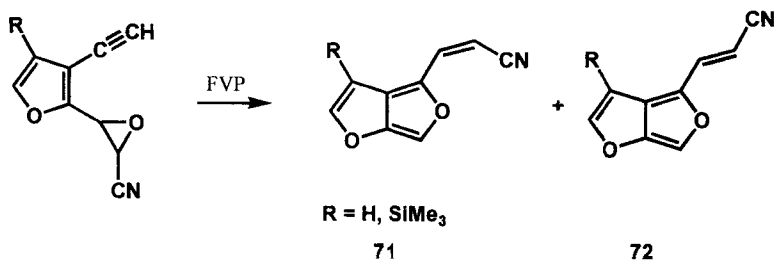
Furo[3,4-*c*]quinoline **70** is available by reductive cyclization of **69** [83IJC(B)725, 83S1027].

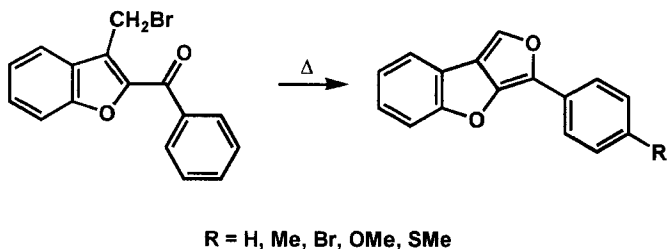


For an unsuccessful attempt to prepare an isobenzofuran from a furan precursor, see Wulff *et al.* (88JA7419).

B. FURO[3,4-*b*]FURANS, FURO[3,4-*b*]-1-BENZOFURANS

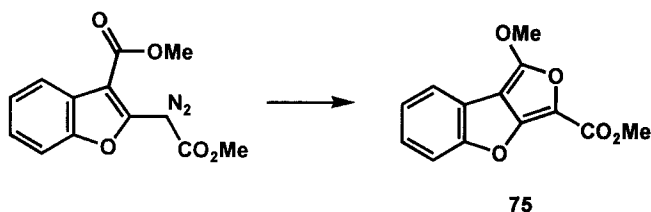
Furo[3,4-*b*]furans (**71**, **72**) have been prepared by FVP of suitably substituted furans (**72**, R = H: mp 144°C; **72**, R = Me₃Si; mp 107°C) [88AG599, 88AG(E)568; 93CB975; 95LA1503; see also 86TL3045]. Furo[3,4-*b*]-1-benzofurans are available by similar methods (93CB975). On heating compounds of type **73** the corresponding furo[3,4-*b*]-1-benzofurans **74** are also obtained (trapped as Diels-Alder adducts) (78JHC1459).



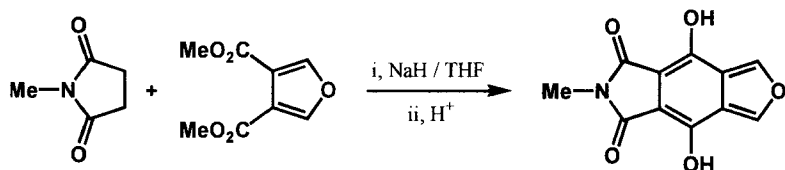


73

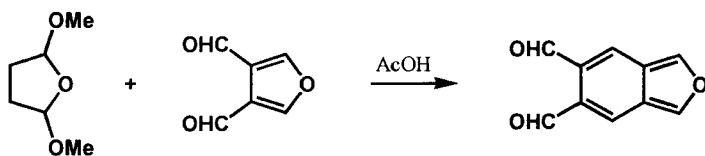
74



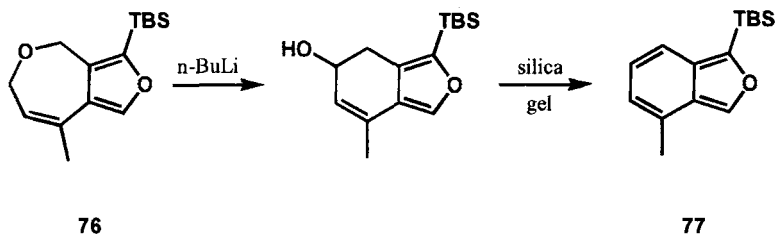
These compounds (e.g., **75**) have also been prepared by using the Hamaguchi–Ibata methodology (97UP3). The synthesis of an annulated dihydroxyisobenzofuran was achieved by base-catalyzed condensation of dimethyl furan-3,4-dicarboxylate with *N*-methylsuccinimide (96S1180).



Isobenzofuran-5,6-dicarboxaldehyde is available from furan-3,4-dicarboxaldehyde and 2,5-dimethoxytetrahydrofuran in acetic acid (mp 201–203°C) (87SUL99).

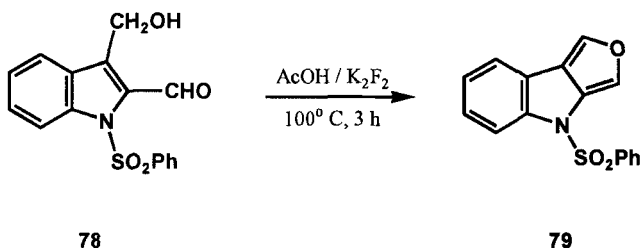


A novel entry into the field of isobenzofurans was reported by Maddaford *et al.* The Wittig rearrangement of **76** with *n*-BuLi yields a dihydroisobenzofuran which, with silica gel, gave **77**. Probably because of the TBS substituent, this compound is remarkably stable at room temperature (96JA10766).



C. FURO[3,4-*b*]INDOLES

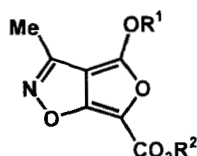
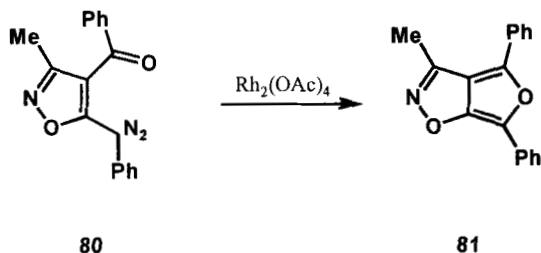
Whereas furo[3,4-*b*]pyrroles seem to be unknown, the corresponding furo[3,4-*b*]indoles are accessible quite easily. Treatment of **78** with acid yields **79** as a stable crystalline compound (mp 145°C; 83TL5435). The 1,3-dimethyl (mp 166-168°C; 84JOC4518) and the 3-(3,4-dimethoxyphenyl) derivatives [mp 171-172°C; 91JCS(CC)1635] were prepared similarly. For further work see Gribble and others [83TL5435; 84JCS(CC)168; 90TL1081; 92JOC5878].



Furo[3,4-*b*]indoles have also been prepared as transients for inter- and intramolecular Diels–Alder reactions (96JOC6166) (see Section IV).

D. FURO[3,4-*d*]ISOXAZOLES

3-Methyl-4,6-diphenylfuro[3,4-*d*]isoxazole **81** is available from **80** by a metal-catalyzed nitrogen extrusion (mp 128°C; 91CB2481). The synthesis of other stable derivatives (**82a–e**) has also been reported (96H1165). The crystal structure of **82b** was determined (96H1165).



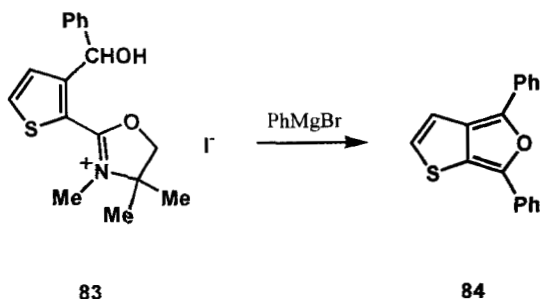
82 a-e

a: $R^1 = \text{Ph}$, $R^2 = -\text{CH}_2-\text{C}\equiv\text{CH}$ b: $R^1 = -(\text{CH}_2)_3-\text{C}\equiv\text{CH}$; $R^2 = \text{Me}$ c: $R^1 = -(\text{CH}_2)_2-\text{C}\equiv\text{C}-\text{CO}_2\text{Me}$; $R^2 = \text{Me}$ d: $R^1 = -(\text{CH}_2)_3-\text{C}\equiv\text{C}-\text{CO}_2\text{Me}$; $R^2 = \text{Me}$ e: $R^1 = \text{Me}$; $R^2 = -\text{CH}_2-\text{C}\equiv\text{CH}$

Furo[3,4-*d*]isoxazoles have also been used as transients in inter- and intramolecular Diels–Alder reactions (see Section IV).

E. THIENO[2,3-*c*]FURANS

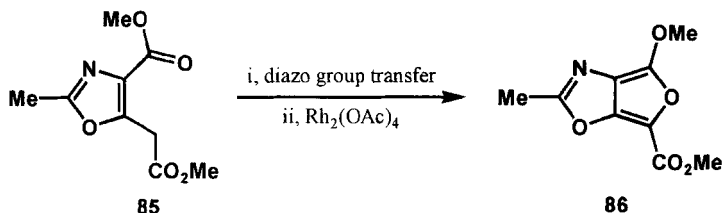
4,6-Diphenylthieno[2,3-*c*]furan **84** is available from **83** on treatment with phenylmagnesium bromide (yellow crystals with mp 139°C; 89CB1119).



Thieno[2,3-*c*]furans have also been prepared *in situ* by the Pummerer-rearrangement cyclization reaction (96JOC6166). For transient generation of thieno[2,3-*c*]furans see also Kuroda *et al.* [91JCS(CC)1635]. These compounds proved to be reactive intermediates for inter- and intramolecular Diels–Alder reactions (see Section IV).

F. FURO[3,4-*d*]OXAZOLES, FURO[3,4-*d*]THIAZOLES

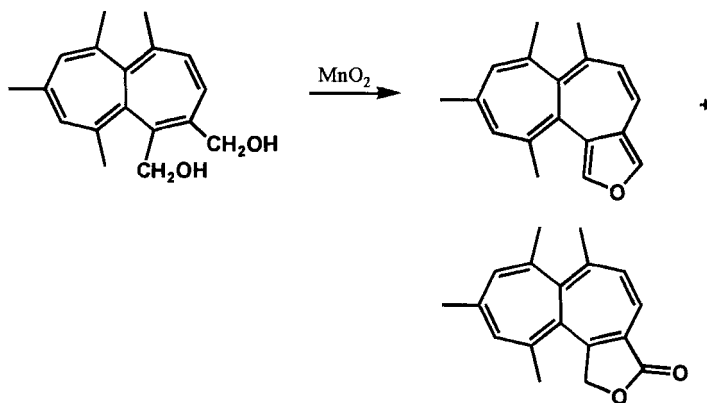
Furo[3,4-*d*]oxazoles (e.g., **86**; colorless crystals with mp 143°C) are available from **85** by diazo group transfer and subsequent extrusion of nitrogen (97UP4; 98JOC7680).



Recently, the synthesis of furo[3,4-*d*]thiazoles was reported, using the same methodology (98H(48)853).

G. OTHERS

2-Oxacyclopenta[*a*]azulenes seem to be unknown (86CL1021), in contrast to the corresponding thio derivatives (83CL1721). The synthesis of azuleno[4,5-*c*]furan has been reported [83JSC(CC)1025]. Cyclohepta[*c*]furanone derivatives are available from furan-3,4-dicarbaldehydes and reactive methylene compounds (83AP730; see also 76CZ142; 80AHC135; 94HOU163). Isobenzofuro[5,6-*d*]tropones were prepared similarly [79JCR(M)3518, 79JCR(S)302]. The synthesis of furo[*c*]tropylium salts (96ZOR891) and furo[*c*]tropones (97ZOR267) was also reported. The synthesis of heptaleno[1,2-*c*]furans could be achieved by oxidation of the corresponding diols with MnO_2 . Additionally, heptaleno[1,2-*c*]furanones were isolated (95HCA1437).

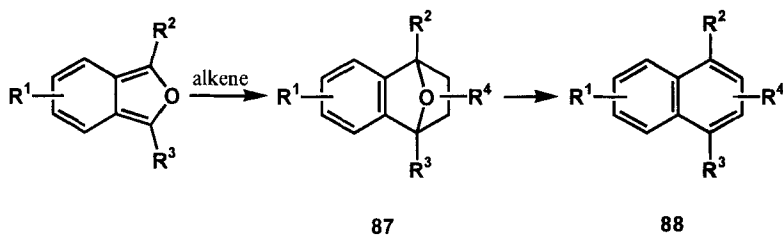


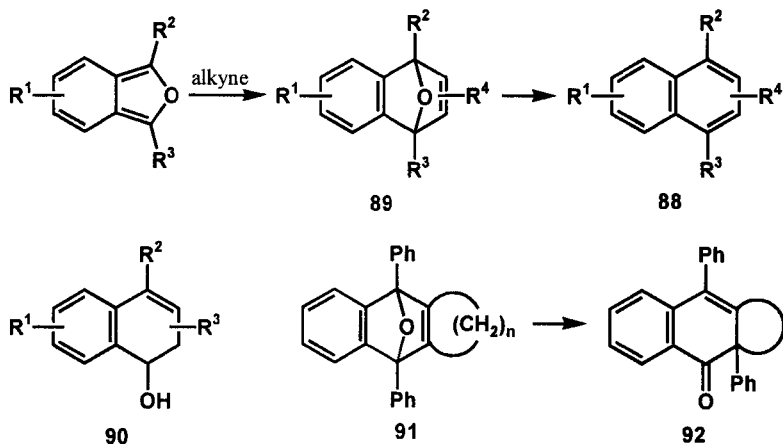
Starting with chiral diols, both the heptalenofuran and the heptalenofuranone were obtained in optically active form. See also Hörndler *et al.* (97HCA2520).

IV. Diels–Alder Reactions

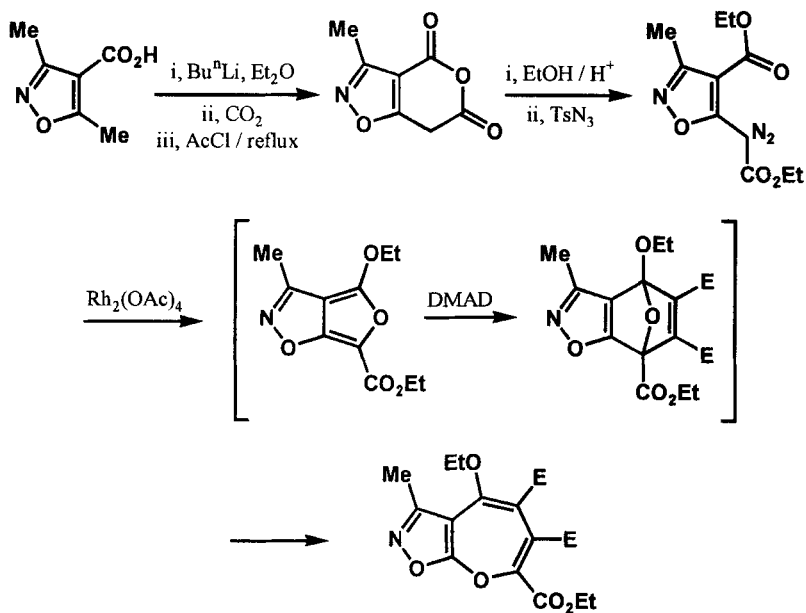
A. INTERMOLECULAR DIELS–ALDER REACTIONS

The importance of isobenzofurans stems from several facts. As electron-rich *o*-quinoid systems they are ideally suited as dienes for Diels–Alder reactions (the highly hindered 1,3-dimesitylisobenzofuran, even under forced conditions, gives no Diels–Alder adducts with vinylene carbonate, maleic anhydride, and *N*-phenylmaleimide, 61JOC2630). Treatment of isobenzofurans with alkenes gives 1,4-oxido-1,2,3,4-tetrahydronaphthalene derivatives **87**, which under acidic conditions (HCl, HBr, H₂SO₄, methanesulfonic acid, acetic acid, etc.) or with phosphorus pentasulfide yield naphthalenes **88** (80AHC135). With alkynes, adducts of type **89** are obtained which can be deoxygenated to **88**. A number of different reagents have been proposed for this purpose [LiAlH₄/TiCl₄/Et₃N (81JOC1251; 84S787; 88JA462); Fe(CO)₅, Fe₂(CO)₉ (82AJC843; 85JOC2746; 86JOC3155; 90OPP102, 90TL5277); NaBH₄/TFA (82S143); Zn/AcOH [60LA(630)10; 80TL3627]; AlBr₃/CsI/CHCl₃ (90JOC4190); Zn/Cn (83MI2); SmI₂ (80JA2693); Me₃SiI (93CB2531); Grignard reagents (97TL4761); reductive ring opening (85JOC1381) with subsequent elimination of water (86AJC635); and others (80AHC135; 81JOC1251; 84CJC1093)]. Reviews concerning this subject have been published (83H1815, 83MI2; 84H875). For the application of low-valent titanium reagents see Lenoir (89S883). Further studies on this ring opening reaction (to **90**) have been reported [84JCS(P2)1377; 85JOC4340; 96S669; 97JA6478, 97JOC5246; 98H(47)977]. The aromatization reaction can even occur under thermal conditions (92TL7101). Under the influence of acids or during chromatography on alumina compounds of type **89** (e.g., **91**) may rearrange to give ketones of type **92** [60LA(632)85; 61CB3260; 61CB3276; 63CB329; 65CB458; 68LA46; 93ZN(B)213].

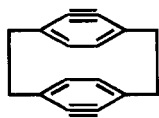




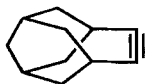
The structure of the rearranged product in Wittig and Pohlke (61CB3276) probably needs correction because of such rearrangement. In some cases an initial Diels–Alder adduct rearranges to oxepins (91TL1161; 96H1165) or other compounds (76CL287).



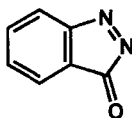
Because of their reactivity, isobenzofurans (**2**, 1,3-diphenylisobenzofuran (1,3-DIBF), 5,6-dimethoxy-1,3-diphenylisobenzofuran, and others) have been used extensively in Diels–Alder reactions with simple olefins [80AHC135, 80JOC4061; 81JOC3467, 81TL(23)4643; 82CJC2760, 82S882, 82TL2603; 83AJC963, 83JCS(P1)459, 83JOC4976; 84JOC625; 85JOC1381; 86CI(M)60, 86JCS(P1)973; 90JOC4356; 94HOU163, 94LA1069; 98T6529] and as a trapping reagent for unsaturated compounds (alkenes, alkynes, alkenes, nitroso compounds, and others). Some examples of compounds trapped are shown in the following scheme.



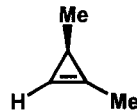
<93CB2531>



<91JCS(CC)71>



<85TL5707>



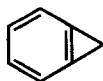
<93 CS(P1)321>



<91JA7969>



<90JOC4333>

<90AJC2099;
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<86JA7121>



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<83CB2205;
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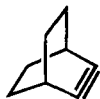
<93JOC4113>



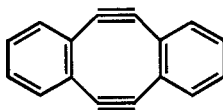
<83TL181>



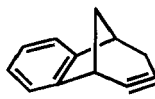
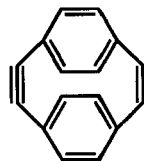
<86T1895>

<86SC1627;
93CB1827>

<91JOC195>

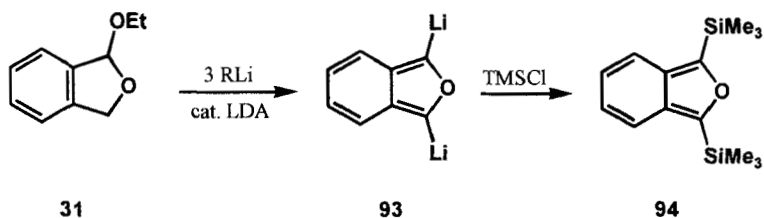


<81T99>

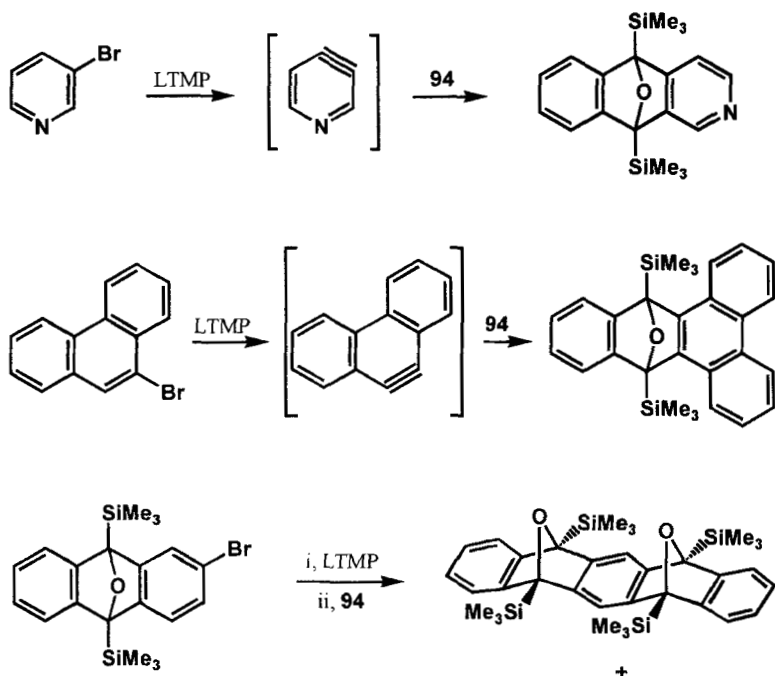
<92MI2;
93JOC3216><90AG1443;
90AG(E)1418>

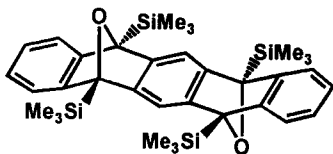
Numerous other examples have been given in the earlier review (80AHC135). In the following only some recent results will be cited: Cyclopentyne (80AHC135; 83JA664; 89JA1429; 93TL599, 93TL603), dehydrobenzene and other cycloarynes [80AHC135, 80JOC2438, 80TL983; 81JOC4427, 81T99; 82TL2091; 83AG496, 83AG(E)490, 83CL1211, 83JCS(P1)1697; 84TL(25)2827; 86JOC979; 87AP237, 87JOC792, 87T5203, 87TL6359; 88AG1002, 88AG(E)941, 88JA7136, 88JA7178; 89AP565; 90AG1443, 90AG(E)1418, 90JCS(CC)1317, 90OPP102; 91CB2113, 91JCS(P1)301; 92MI2, 92TL6735; 93CB2531, 93JOC3216, 93JOC7498, 93MM3519; 95JCS(CC)983, 95TL939; 96JA741; 97TL4125], bis-arynes (86JOC979; 87T5203), 2,3-dehydropyridine (92H533), 3,4-dehydropyridine (96MI2), dehydroquadracyclane (98JPR11), cyclic allenes [79TL4141; 80CL349, 80JA7607; 81AG894, 81AG(E)877, 81JA2874; 82JA7329, 82JOC(47)5180; 83CB2285; 84TL(25)237; 85BCJ1663; 87JA578; 90JA6416, 90JA8578; 93JCR(M)2189, 93JCR(S)293; 96TL4907], Dewar furan (85JA7176; 91AJC1275; see also 93MI8), a Dewar pyrrole [96JCS(CC)1519, tetramethylcyclobutadiene (86SC1627), norbornadien-7-one (80ACH135; 85JA4553; 86T1561), 1,2,3-cyclooctatriene (96TL4907), 4-homoadamantyne [91JCS(CC)71], azulenoquinones (84JA4857; 95TL5195, 95TL5199; 96BCJ1149, 96H527), sulfenes (82TL4203; 87JA4982; 95LA2151), vinyl sulfones (78TL97; 86AJC575), vinyl selenides (81JOC2775), cyclooctatetraene [84TL(25)2573; 90BCJ395], phosphathenes (84T991; 87TL5783), acyl nitroso compounds [81JCS(P1)3250], 1*H*-1,2-diazepines (80TL1223; 85CB4682), dodecahedrene and pagodane derivatives [90AG105, 90AG(E)95; 92JA10213; 93JA7173], indenones (83JOC2188), levoglucosane (81MI3), and others [78RTC214, 78TL3191, 78TL3981; 79HCA34, 79JCS(CC)783, 79JCS(CC)862, 79JCS(CC)966, 79JOC3790; 80AG657, 80AG(E)639, 80CB1431, 80HCA1812, 80JA5125; 81AJC1223, 81CB1767, 81JA565, 81JA1186, 81JOC1483, 81JOC2021, 81JOC4427; 82CB346, 82JFC601, 82JOC(47)409, 82TL795; 83CB2205, 83HCA1090, 83JA7322, 83MI3, 83T427; 84JCS(P1)859, 84JOC2408, 84TL(25)4897, 84TL(25)1245; 85CB2883, 85CB3513, 85JOC4340, 85TL5707; 86BCJ3988, 86C430, 86JA7121, 86T1561, 86T1895, 86T4355; 87JA5285; 88CB1847, 88JA7136, 88JA7229, 88JCS(CC)874, 88JOC2942, 88TL2333; 89CB1531, 89HCA1608; 90IJC(B)655, 90JA6117, 90TL2173; 91JA4571; 91JCS(P1)2081, 91JOC195, 91TL1889; 92AJC1035, 92CPB102, 92JA774, 92JOC1330; 93CB1827, 93JCS(P1)1945, 93JFC179, 93JOC202, 93JOC4113, 93PS39, 93SL415; 94JOC3564, 94JOC4535, 94SC1847, 94SL340; 95AG1011, 95AG(E)912, 95AJC241, 95JA1514, 95JA5168, 95JCS(P1)2819, 95JOC3565, 95LA1765, 95T10979, 95TL939, 95TL4165; 96JCS(CC)1519, 96JCS(P2)1233, 96JHC1727, 96MI3, 96TA1577, 96TL1313, 96TL6089, 96TL8605; 97JOC1642, 97JOC3355, 97JOC4998, 97SL145]. The chemistry of bridgehead olefins has been reviewed (81C243; 83MI4). With some cyclopropenes a ring opening reaction may take place (87BCJ4141; 90AJC2099; 91CB2119, 91HCA55;

95T10979; 97DOK352). Cases have been reported where Diels–Alder reactions (trapping) with 1,3-DIBF failed (78RTC214; 82ZOR1773; 91AJC555; 97JPR66), but where olefinic compounds or intermediates could be reacted or trapped with the parent compound **2** (90TL1315). If in dehydrohalogenation routes to unstable olefinic compounds (alkynes, dehydrobenzene, etc.), lithium 2,2,6,6-tetramethylpiperidide (LTMP) is used as base because of the high acidity of **2** (see Section VII), 1,3-bis(trimethylsilyl)isobenzofuran **94** is recommended as a trapping agent [86JOC986, 86JOC1914, 86TH1; 87DIS(B)1689; 89MI1]. It can be prepared quite easily starting with 1-ethoxyphthalan **31** by base catalyzed elimination (to **2**) followed by H/Li exchange and subsequent reaction of **93** with TMSCl.



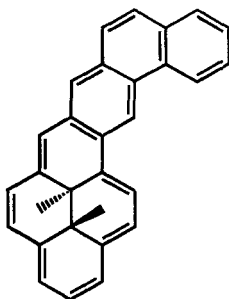
A few examples using **94** in trapping reactions are given in the following scheme.



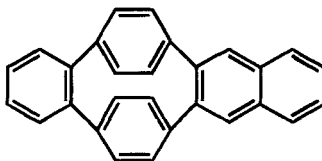


For the generation of 1,3-bis(trimethylsilyl)naphtho[1,2-b]furan see Pollart and Rickborn (86JOC3155). The reaction of 1,3-DIBF with cyclopropene was reported to give the *exo* adduct exclusively [69TL3165; 70TL4661; 71JOC1419; the stereochemical assignment of Geibel and Heindl (70TL2133) is in error and was reinvestigated]. At -30°C (toluene) both the *exo* (72%) and *endo* (24%) adducts were obtained (96JOC6462). For further trapping reactions with cyclopropenes see the following references [78CB3068, 78TL3191, 78ZOR71; 80DOK895; 82JA2223, 82TL2677, 82TL5385, 82ZOR1650; 84ZOR542; 86AJC1621; 87AG585, 87AG(E)577, 87C244; 88HCA544; 89HCA1608, 89HCA1627, 89JA3671, 89JOC3519, 89TL1507 (trapping with a furo[3,4-*c*]pyridine); 90JOC84, 90JOC4333, 90TL1313; 91C24, 91HCA55, 91HCA993, 91JA7969, 91MI5, 91TL593, 91TL4103; 92JOC1330, 92JOC4080, 92JOC5959; 93JA2637, 93JCS(P1)321, 93JOC3222; 94JOC4535; 96T3409, 96T10955; 97JOC1642, 97JOC3355], methylenecyclopropanes (83TL181; 86JOC974; 93TL6151) and azirines (81H2085). Diels–Alder reactions with an acetylene [89JCS(CC)509] and a propynal synthon (92RTC345) were reported. A Diels–Alder reaction with a selenoaldehyde was also described (88JA8671) (but see the reactions with nitroso compounds below). A Diels–Alder reaction of 1,3-DIBF with a maleimidato ligand (in $\text{CpFe}(\text{CO})_2\text{-}\eta\text{-1-}N\text{-maleimidato}$) was reported (97JOM41). Naphtho[*c*]furan-diones can act both as dienes (e.g., with maleic anhydride) and as dienophiles (e.g., with 1,3,4,7-tetramethylfuro[3,4-*d*]pyrazine) [78JCR(M)5538, 78JCR(S)464]. Diels–Alder reactions with isobenzofurans offer an attractive route to a great variety of polyaromatic hydrocarbons (PAH). Compound of the following type and heteroannulated derivatives can be prepared using this methodology. Tetraarylbenzenes (90JOC389), naphthalene derivatives [80AG657, 80AG(E)639, 80AHC135, 80CJC2573, 80CJC2580; 81JOC2775; 82S142; 84CL1263; 85AP548; 86C430, 86CI(M)60; 88JOC2353; 89HCA1608, 89JCR(S)82, 89TL6895; 90TL3155; 91JCS(P1)2081; 92TL7101], benz[*f*]inden (90TL3155), anthracenes (80AHC135; 82T1425; 90OPP102; 96JA741), annulated quinones [84TL(25)4833], anthrones (86JOC1189), anthraquinones (81AJC1223), regiospecific synthesis of these compounds (84AJC1699), dibenzo[*b,h*]biphenylenes (80AHC135), benzo[*k*]fluoranthenes (97JOC530), benzo[*g*]isoquinolines (86AP886), indoles (93SL333), rubrenes (90JOC4190), dibenzo[*b,h*]phenanthrenes (88JOC1841), acenaphthylenes and condensed derivatives (80AHC135), naphthannulated annulenes **95** (90TL5277), annulated bridged annulenes (90TL5277), benzonaphtho[2,2]paracyclophanes **96**

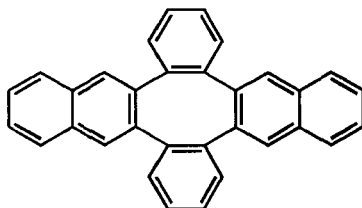
(88JA462), [6](1,4)anthracenophanes (95TL939; 97BCJ1935), dibenzo[*b,n*]tetraphenylenes **97** (90JOC3214),



95



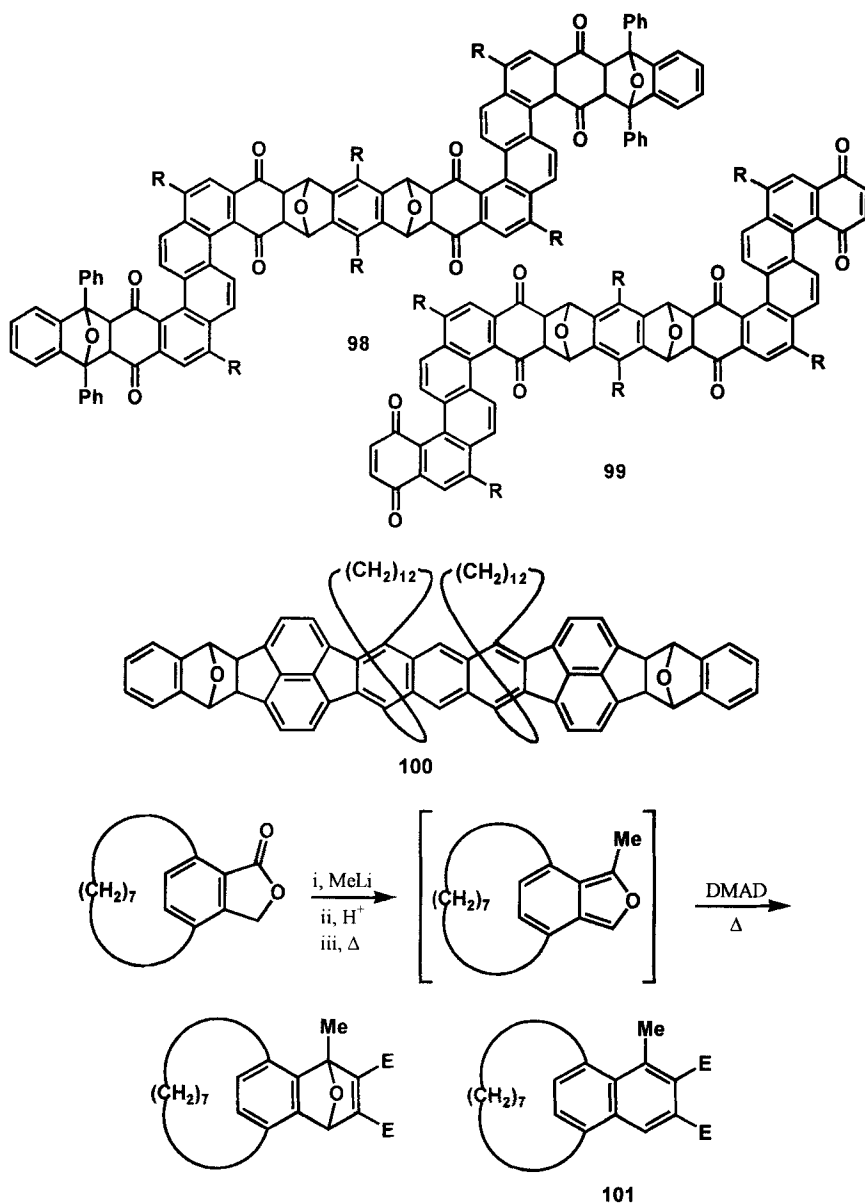
96



97

fullerene adducts [92MI9; 93JA1594, 93MI2; 94JCS(CC)1641; 98JCS(D)755; see also 93JCS(CC)1296], benz[*a*]anthracens (81JOC4658), an iptycen (93TL-5331), highly twisted polycyclic hydrocarbons (97AG1588; 97AG(E)1531), and other compounds [80AHC135; 85JA4790, 85MI4; 86JOC4169; 87JOC4327, 87T3681, 87TL6359; 88JA462, 88JCS(CC)84; 89CB1351; 93TL-5331; 94MI1; 95S236]. A detailed NMR spectroscopic study was reported for the bis-adduct from 1,3-DIBF and *p*-benzoquinone (92RRC1285). Tri-fluoromenadion is accessible in a trapping reaction of 1-methoxyisobenzofuran with 1-phenylsulfonyl-2-trifluormethylethene (87CPB909). 1,3-Phenylenbis(isobenzofuran) and the 1,4 analog are formal intermediates in the preparation of teraryls (95TL4181), although the generation of the isobenzofuran moieties proceeds stepwise. Interesting highly condensed *p*-benzoquinones (e.g., **98**, **99**) [88JCS(CC)84, 88JOC5007; 98CB1351] and ansa compounds **100** (94SL75) are available by the isobenzofuran route (see also 86JOC4169; 87JOC4327, 87T3681; 88JA641; 91MI3; 93CB2543; 95MI1). The

preparation of a [7]1,4-naphthalenophane **101** (86CB2698) and of [2,2](4,7)-isobenzofuranophane have also been reported (94CB2263).

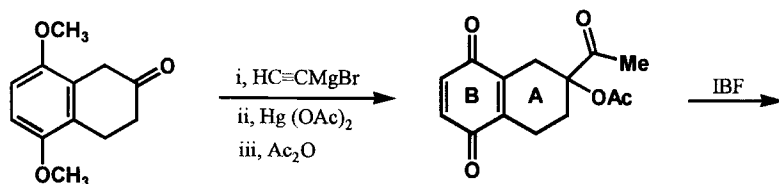
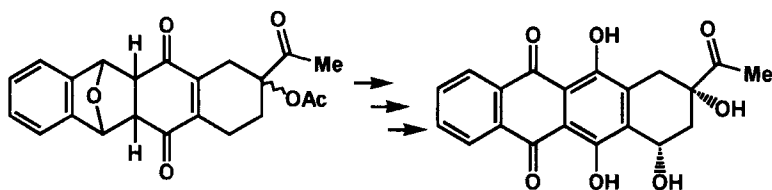


In the synthesis of the bisdienophilic phthalocyanine **102**, a Diels–Alder reaction of a reactive isobenzofuran (generated by the tetracyclone route) is involved (97CB801). For further work see [95JCS(CC)2449; 98ZN(B)1069].



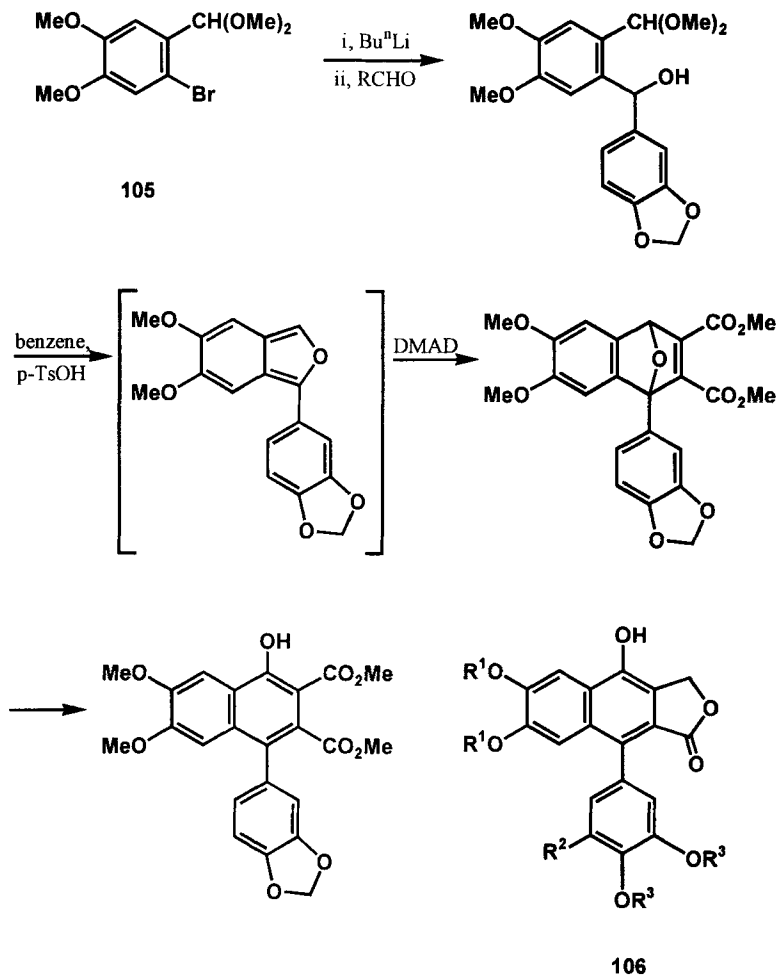
Inner-functionalized U-shaped cavity molecules have been prepared by a reaction of isobenzofurans with fused mono- and bis-norbornenes, 7-oxanorbornenes, and cyclobutene-1,2-diester. These results provide a basis for the construction of polyalicyclic nanostructures (PANs) (95TL6141; 97SL44, 97T3975; see also 95TL6145). Rigid PANs with functionalized 15-crown-5-rings are accessible from suitably substituted alkenes and crown ether isobenzofurans (97SL47). Double Diels–Alder macroannulations with bismaleimides and bisisobenzofurans (see, e.g., Watson *et al.* (97MI4)) have been performed in the synthesis of a key precursor to [8]cyclacene (96TL1983, 96TL1987). For reactions of furopyridinium salt see Vebrel *et al.* (98MI2).

The importance of isobenzofurans as building blocks for complex organic molecules was brought to light by A. S. Kende and co-workers in their synthesis of 7-deoxydaunomycinon **104** starting with dimethoxytetralone **103** (77TL3537).

**103****104**

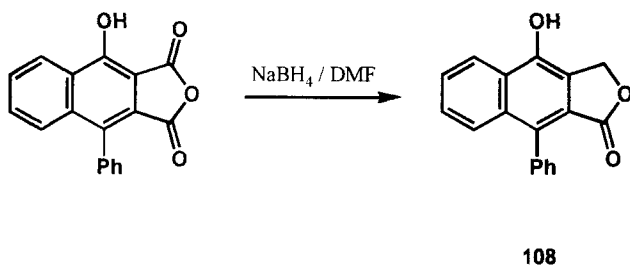
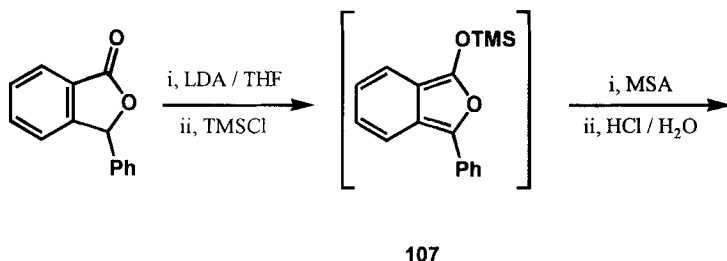
Since then further syntheses of daunomycinones and precursors of these compounds have been reported [82CJC637, 82JOC409; 83JA1608; 84T4597; 86AJC2075; 86USP4585760; 87IJC(B)205; 92TH1; 93DIS(B)4668; see also 83JA1608; 85TL4703]. Arylnaphthalenes and aryl tetraline lignans have been prepared repeatedly by the isobenzofuran–Diels–Alder technique. The naturally occurring lignans diphyllin **106a**, taiwanin E **106b** [see also

92JCS(P1)3111], chinensinaphthol **106c**, and dehydropodophyllotoxin **106d** were obtained from the respective bromo acetals (e.g., **105**) (88T2093). Lirionol, an unusual bridged lignan, was also prepared using the isobenzofuran route (85JOC5902).



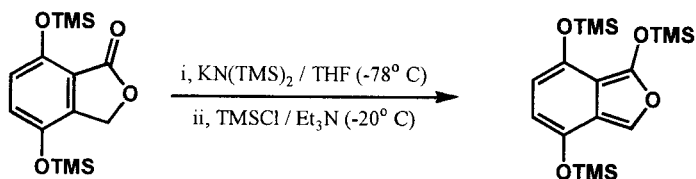
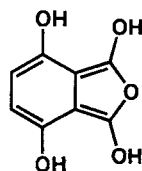
- a: $\text{R}^1 = \text{Me}$; $\text{R}^2 = \text{H}$; $\text{R}^3 + \text{R}^3 = \text{CH}_2$
 b: $\text{R}^1 + \text{R}^1 = \text{R}^3 + \text{R}^3 = \text{CH}_2$; $\text{R}^2 = \text{H}$
 c: $\text{R}^1 + \text{R}^1 = \text{CH}_2$; $\text{R}^2 = \text{H}$; $\text{R}^3 = \text{Me}$
 d: $\text{R}^1 + \text{R}^1 = \text{CH}_2$; $\text{R}^2 = \text{OMe}$; $\text{R}^3 = \text{Me}$

For further work in this field see the following references [80JCS(CC)354, 80JCS(CC)995, 80JOC4538; 81JA6208; 84JCS(P1)2081; 85JCS(P1)1249, 85JOC5902; 87IJC(B)205; 88T2093; 89JOC4280; 93JNP2204; 94TA909; 95JOC3938, 95MI2; 96JA9426, 96JOC3706; reviews: 93JNP2204, 93S719]. The synthesis of a naphthalenic lignan lactone was reported by Narasimhan and co-workers [87IJC(B)1030]. On treatment of 3-phenylphthalide with LDA at -10°C a red solution is obtained which is presumably due to the corresponding anion.

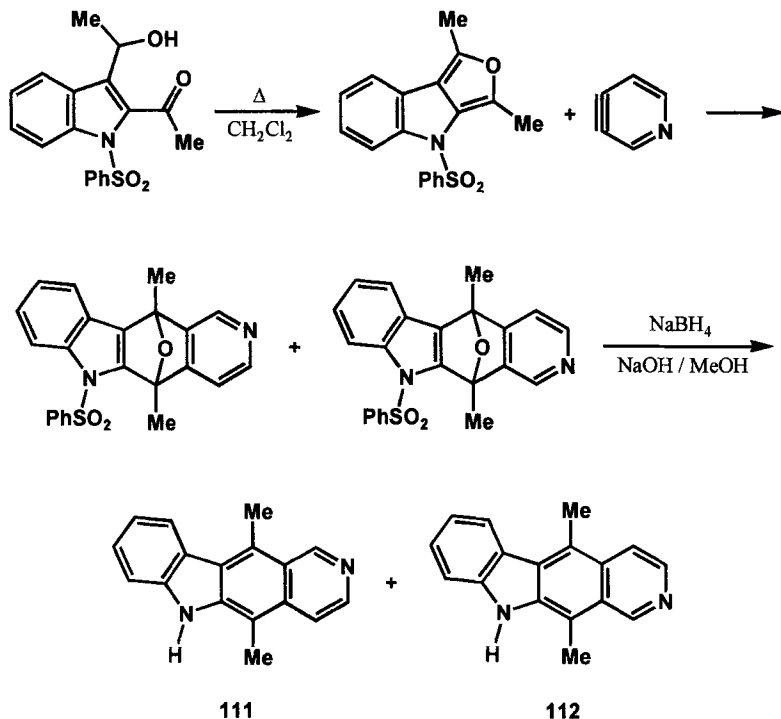


On addition of TMSCl the color changed to golden yellow, indicating the generation of isobenzofuran **107** (not isolated), which was trapped with MSA. Treatment of the adduct with acid and subsequent reduction with NaBH_4/DMF gave **108**. For related work in the podophyllum lignan field see Jones and Thompson [93JCS(P1)2533]. In 1987 there was a report concerning the synthesis of an ABC substructure of fredericamycine (87TL451, 87TL455; 88JOC5519; 94JA9921) and of Diels–Alder reactions with model compounds (e.g., 1-ethoxyisobenzofuran, 1-ethoxy-3-trimethylsilyloxyisobenzofuran, and 1-cyano-3-trimethylsilyloxy-4,6,7-trimethoxyisobenzofuran). The total synthesis of fredericamycin A was achieved by several groups [88JA6417; 92JCS(CC)1489; 93BSF447; 94JA9921]. 1,4,7-Trimethylsilyloxyisobenzofuran **109**, which is available from the corre-

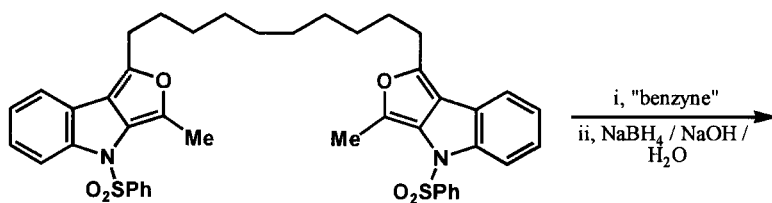
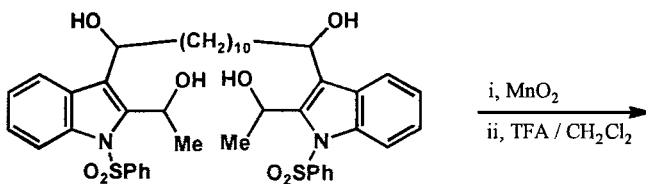
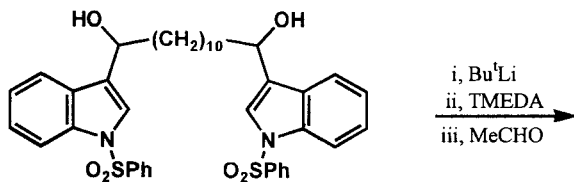
sponding phthalide, may serve as a synthon for (the unknown) 1,3,4,7-tetrahydroxyisobenzofuran **110** (97JA6072).

**109****110**

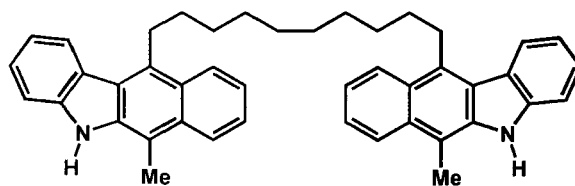
Padwa and co-workers devised an ingenious route to the erythrina alkaloid skeleton using a tandem Diels–Alder *N*-acyliminium ion cyclization starting with α -amido-substituted sulfoxides as precursors for amino-substituted isobenzofurans (via a Pummerer rearrangement) (96JOC4888; 97S1353; 98JCS(CC)1417; 98JOC1144). In a synthetic study on the azabicyclo-[7.3.1]enediyne core and naphtho[2,3-*h*]quinoline portions of dynemycin A, 4,7-dimethoxyisobenzofuran was used as starting material (97JA5591). This isobenzofuran was also used in the synthesis of smaller, biologically active dynemycin analogs [94AG2578, 94AG(E)2477]. The synthesis of a naturally occurring isobenzofuran-4,5-dione (albidin, the red pigment of *Penicillium albidum*) was reported [89JCS(P1)2089]. Farelانون was prepared by starting with a 3-cyanophthalide [generated by the corresponding 1-silyloxyisobenzofuran and trapping with a cyclopropene derivative (96TL6797)]. Gribble and co-workers succeeded in the synthesis of ellipticine **111** and isoellipticine **112** using a Diels–Alder reaction of a stable furoindol with 3,4-pyridyne (prepared *in situ* from 3-chloro-4-iodopyridine with Bu^tLi/THF at -100°C or from 1-aminotriazolo[4,5-*c*]pyridine/lead tetraacetate) (84JOC4518).



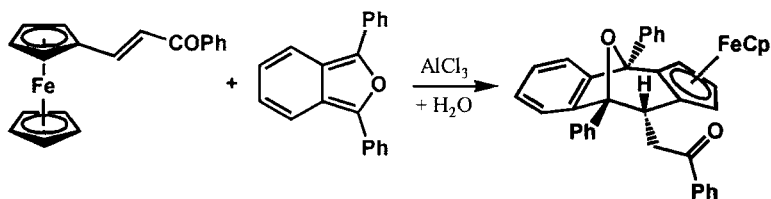
A regioselective synthesis of ellipticine using a furoindole as starting material was also reported (90TL1081; 92JOC5878). For a further synthesis of **111** and **112** see also Diaz *et al.* (98SL157). A furoindole was also used in the synthesis of murrayaquinone-A (93SL333). Potential bifunctional nucleic acid intercalating agents of type **114** are available by a Diels–Alder reaction of furoindole **113** with dehydrobenzene [84JCS(CC)168]. Further examples of intermolecular Diels–Alder reactions were reported (benzocantharidine, isobenzocantharidine [82JOC4011], trifluoromenadion (87CPB909), anthraquinones through a regiospecific addition of 1-acetoxyisobenzofuran with *p*-benzoquinone monoacetals (84AJC1699; see also 85MI4) and with ferrocene-substituted alkenes (95DOK639; 96IZV652, 96JOC3392; 97DOK54). Diels–Alder reaction with furo[3,4-*d*]oxazole (97UP4; 98JOC7680) and furo[3,4-*d*]thiazoles (98H(48)853) have also been reported. In some cases the reaction of isobenzofurans with alkenes (or heteroolefins) may take a different course. Treatment of acryloylferrocenes (e.g., **115**) with 1,3-DIBF in the presence of AlCl_3 yields **116** (96JOC3392; 98T9175). For reactions with ferrocenylcycloprenes see Klimova *et al.* (97DOK352).



113



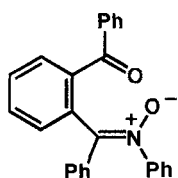
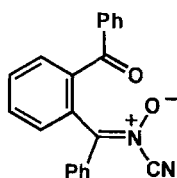
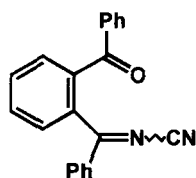
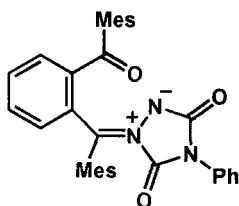
114



115

116

Tetramethyltetrasilacyclohexyne (92OM1009) and bornylene (82ZOR1773) do not seem to react with 1,3-DIBF. [$\pi 4 + \pi 2$] Cycloreversion reactions have become a widely used method in organic chemistry allowing the stereospecific formation or regeneration of unsaturated bonds (85S121). 1,3-DIBF has been used for this purpose in the preparation of vinylphosphine [86JCS(CC)1428; 88JCS(P1)99]. It was reported (49JCS256) that 1,3-DIBF reacts with nitrosobenzene to give a Diels–Alder adduct. A reinvestigation revealed that nitrone **117** was formed. 4-Nitrosopyridine-*N*-oxide and 5,6-dimethyl-1,3-diphenylisobenzofuran (with nitrosobenzene) react similarly [89H(29)263]. With nitrosocyanide, compound **119** is formed [81JCS(P1)1802].

**117****118****119**

Mes = 2,4,6-trimethylphenyl

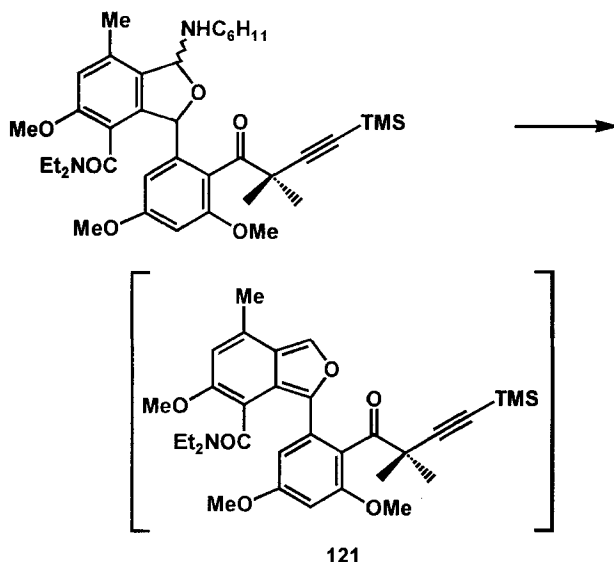
120

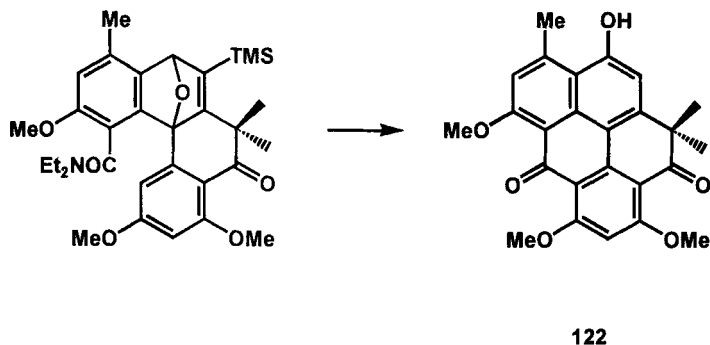
The outcome of this reaction can be rationalized by oxygen transfer from a transient intermediate (**118** or an oxaziridine) to 1,3-DIBF [but see 89H(29)263]. Trapping of acylnitroso compounds yields similar products [81JCS(P1)3250]. A similar ring-opening reaction has been observed when diarylalkylidencyclopropenes were reacted with 1,3-DIBF (93TL3131). Without citing [89H(29)263] C. Moinet and co-workers reported a

Diels–Alder reaction of *o*-nitrosobenzamide and 1,3-DIBF (no mp and spectral data given, only HRMS; 93BSF101). Taylor and co-workers reported Diels–Alder type reactions of 1,3-DIBF and nitrosopyridines [82JOC552]. A Diels–Alder adduct of 1,3-DIBF and an azetidinone rearranges thermally to a ring opened product (92CJC2792). Whereas 1,3-DIBF reacts with *N*-phenyltriazolindione to give a Diels–Alder adduct [92RRC1285; according to these authors the work of Moore *et al.* (74JOC3799) must be corrected], betaine **120** is obtained with 1,3-dimesitylisobenzofuran [82JCS(CC)766; see also 79IZV545; 84JOC2910, 84JOC2917; 85CB28; 91JOU341]. The reaction of 1,3-DIBF with a selenoaldehyde was reported to give a Diels–Alder adduct (88JA8671). 1-Ethoxyisobenzofuran (generated *in situ*) reacts with quinones formally as a *o*-carbomethoxycarbene (81CJC1247).

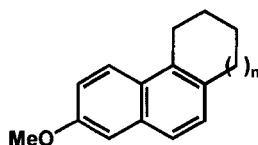
B. INTRAMOLECULAR DIELS–ALDER REACTIONS

Intramolecular Diels–Alder reactions offer a convenient access to a wide variety of polycyclic systems (80CRV63, 84CJC183, 84OR1; 87T2873; 89MI4). Isobenzofurans—either as stable starting materials or generated *in situ*—have been used repeatedly for this purpose. The first example of an intramolecular Diels–Alder reaction of an isobenzofuran (**121**) was reported by Rodrigo and co-workers (82JA4725) in their synthesis of resistomycin **122**.

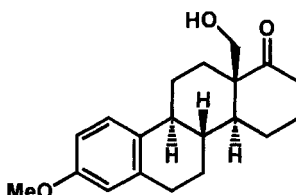
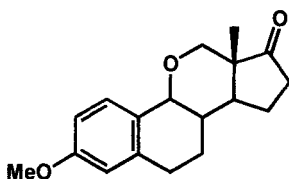
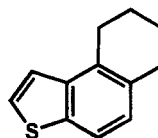


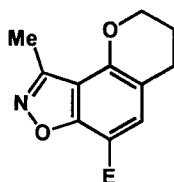


Since then a great number of polycyclic systems have been prepared using this methodology, e.g., **123a,b** [86H297; 92JCS(CC)164; see also 87JOC2611], steroid analogs (**124**; 87TH1, 87TL4279), oxasteroids [**125** and related compounds; 88TH1, 88TL2045; 89H(29)1243], annulated benzo[*b*]thiophenes [**126** and related compounds; 88TL1137; 89LA405, 89TH1, 89ZN(B)825; 91JCS(CC)1635], annulated benzisoxazoles [**127**; 89H(29)1003], annulated indoles (**128**; 96MI5; 99JCS(P1)59) and related compounds [91JCS(CC)1635; 93ZN(B)213; 97UP2],

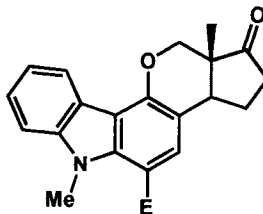
**123a,b**

a: n=0; b: n=1

**124****125****126**



127



128

quinolines [91JCS(CC)1635], benzo[*h*]quinolines (95TL8581), benzo[*c*]-phenanthridines (98TL9781), enythrina alkaloids (96JOC4888; 98JOC1144) benzo[*g*]indoles (95TL9285; 97JOC2786), (\pm)-xestoquinone (97JOC2330; see also 96JA10766), and others (82JA6125). For a review see also Padwa *et al.* (97S1353). Corresponding reactions of furans have also been reported (98JOC5304).

C. REACTIVITY OF ISOBENZOFURANS IN DIELS–ALDER REACTIONS

The kinetics of both the uncatalyzed and the catalyzed (Lewis acids such as GaCl_3) Diels–Alder reactions of isobenzofurans with various olefinic compounds has been studied intensively [77DOK320, 77DOK1089; 78MI1; 80MI1; 82ZOR1650, 82ZOR2253; 83JOU1442, 83ZOR1431, 83ZOR1617, 83ZOR2064; 84JOU(19)1430, 84JOU(19)1789, 84ZOR2492; 85JOU(21)1137, 85ZOB1965, 85ZOR1215, 85ZOR1249; 86JOU1018, 86ZOR1034; 89JOU486, 89JOU1033, 89RCR230, 89UK383, 89ZOR539, 89ZOR542, 89ZOR1147; 90JOU191, 90JOU200, 90ZOR229, 90ZOR240, 90ZOR2625; 91JOU2276; 91ZOR1641, 91ZOR1774; 93JOC6701; 97JOC2732] and compared with other dienes [82ZOR2253; 83JOU1133, 83ZOR1268; 85JOU(21)1137, 85ZOR1215, 85ZOR1249; 90JOU191, 90ZOR229]. 1,3-DIBF reacts with styrene derivatives to give the corresponding *endo*- and *exo*-adducts. These reactions have been investigated kinetically (96TL7251). Lithium perchlorate in ether does not seem to influence the reaction rates significantly (91JA2761; 98T2631). Second-order rate constants for the reaction of the parent compound **2** and various annulated isobenzofurans with maleic anhydride (benzene, 25°C) have been determined. These data (Table II; 88AJC235) show that linear benzannulation enhances Diels–Alder reactivity, whereas angular benzannulation diminishes it.

Wege and Moursounidis found that a linear Herndon relationship ($\log k$ vs $\log \text{SC}$; 82JCE371) is obeyed. Extrapolation to naphtho[2,3-*c*]furan gives $k_2 = 3.15 \times 10^4 \text{ mol}^{-1} \text{ s}^{-1}$, which is 415 times greater than the reactivity of isobenzofuran **2**. The effects of substituents in isobenzofuran on a Diels–Alder reaction (with *N*-methylmaleimide, which gives nearly exclusively *endo*-adducts) was quantified by Rickborn and co-workers (Table III;

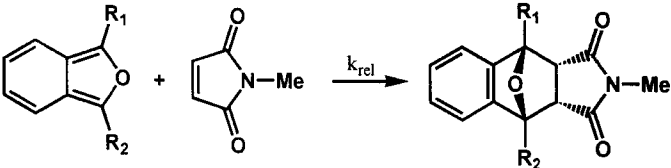
TABLE II
RATE CONSTANTS FOR THE ADDITION OF MALEIC
ANHYDRIDE TO BENZANNULATED ISOBENZOFURANS

| Furan | $k_2(\text{l mol}^{-1} \text{s}^{-1})$ | k_{rel} |
|----------------------------------|--|------------------|
| Isobenzofuran | 75.8 | 345 |
| Naphtho[1,2- <i>c</i>]furan | 1.93 | 9 |
| Phenanthro[9,10- <i>c</i>]furan | 0.28 | 1.3 |
| Pyreno[1,2- <i>c</i>]furan | 38.2 | 174 |
| Pyreno[4,5- <i>c</i>]furan | 0.22 | 1 |
| Anthra[1,2- <i>c</i>]furan | 0.43 | 2 |
| Phenanthro[1,2- <i>c</i>]furan | 9.81 | 45 |
| Phenanthro[3,4- <i>c</i>]furan | 5.13 | 23 |

87JOC2611). Note that a single phenyl group has only a small retarding effect, while 1,3-DIBF is 11 times less reactive than the parent compound **2**.

A further conclusion which can be drawn when the corresponding data of butadiene are taken into consideration [80AG773, 80AG(E)779] is that the relative reactivity is nearly the same in both series. For more detailed discussion of this aspect see Rickborn (89MI1). Ethyl (diethoxyphosphinyl)propynoate is less reactive by a factor of 5 than dimethyl acetylenedicarboxylate (82TL2603). Isobenzofuran retro-Diels–Alder reactions have

TABLE III
EFFECTS OF SUBSTITUENTS IN THE REACTIVITY OF ISOBENZOFURANS
WITH *N*-METHYLMALEIMIDE

|  | | | | |
|---|-------------------|-------------------|------------------|------------------------------|
| IBF | R ₁ | R ₂ | k_{rel} | Cycloadduct % <i>endo</i> |
| a | OE _t | H | 3.8 | >99 |
| b | Me | H | 2.2 | 97 |
| c | <i>n</i> -Bu | H | 1.8 | >97 |
| d | H | H | 1 | 96 |
| e | Ph | H | 0.77 | >99 |
| f | OE _t | SiMe ₃ | 0.25 | >99 |
| g | Ph | Ph | 0.088 | >99 |
| h | SiMe ₃ | SiMe ₃ | 0.023 | >99 |

also been studied experimentally and computationally (93JOC6701). For a photochemical study on a Diels–Alder adduct see Murty *et al.* (84JOC4165). The principle of cooperativity in asymmetric induction has been investigated by using Diels–Alder reactions of 1,3-DIBF with dimethylfumarate, bornyl-methylfumarate, and bis(bornyl)fumarate (81JA2104; 84JA3806; but see also 84JA203, 84MI1).

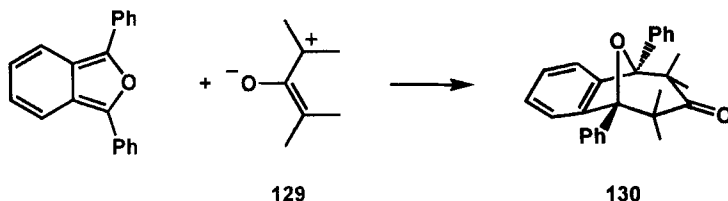
D. THEORETICAL STUDIES

Both inter- and intramolecular Diels–Alder reactions of isobenzofurans have been studied theoretically. Transition states have been optimized at various computational levels [B3LYP/6-31G*//AM1 (97T13285), B3LYP/6-31G*//B3LYP/3-21G* (97JOC2786), B3LYP/6-31G* (97UP1)]. For the reaction of isobenzofuran **2** with cyclopropene a preferred *exo*-attack was obtained ($\Delta E^{\#}_{\text{exo}} = 19.2$ kcal/mol, $\Delta E^{\#}_{\text{endo}} = 21.0$ kcal/mol) (97T13285). For experimental studies of the *exo/endo* ratios in the reaction of 1,3-DIBF with cyclopropenes, see the following references (70TL2133, 70TL4661; 71JOC1419; 78MI2, 78ZOR71; 80DOK895; 82ZOR1650; 83JOU1442; 84ZOR542; 96JOC6462). For the reaction with cyclopentene and 2,5-dihydrofuran (mainly *exo*), see Plemenkov and Katerinich (82ZOR835). Subtle effects of substituents in intramolecular cycloadditions have been explained using the above-mentioned DFT methodologies (97JOC2786). A similar approach has been successfully applied to a number of other cycloadditions (94JA10336). 1,3-DIBF was reported to react with cyclopropenone to give the *exo*-adduct exclusively (70JA988). Reexamination of this reaction confirmed this observation (94JA11161), but at -30°C a weak ^1H NMR signal could be detected, which points to an *endo*-isomer. This signal diminishes as the reaction nears completion. A rate preference of about 50:1 *exo* over *endo* was estimated. *Ab initio* calculations for the reaction of cyclopropenone with furan (MP4SDQ/6-31G*//MP2/6-31G*) indicate a stabilizing interaction between the ether oxygen and the carbonyl atom making the *exo*-product the thermodynamically (*exo* favored by 6.35 kcal/mol) and kinetically (*exo* transition state favored by 1.81 kcal/mol) favored product (95JOC4395). The Diels–Alder reaction of difluorocyclopropenone with 1,3-DIBF (95JOC7747) and of isobenzofuran **2** with dimethylfulvene (87YKG1099) have also been studied theoretically.

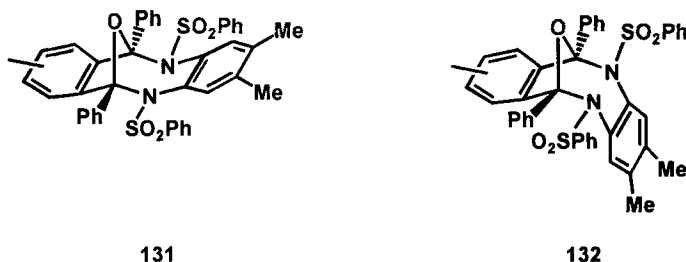
V. Other Cycloaddition Reactions

It has been reported that a tetra-*tert*-butylsilene reacts with 1,3-DIBF to give a $[\pi 2 + \pi 2]$ cycloadduct (88JOM-C12). Oxyallyl systems **129** (86T4611)

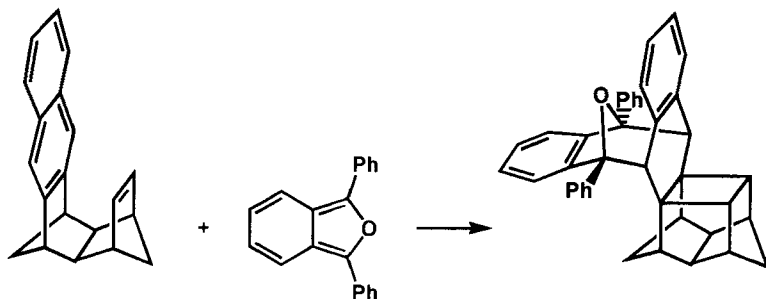
derived from 2,4-dibromo-3-pentanone react with 1,3-DIBF to give the corresponding benzannulated 8-oxabicyclo[3.2.1]octenones **130** (mixture of isomers; 90SL519).



Similar reactions have been reported for other annulated furans (79CL43). For the reaction of diphenylcyclopropenethione with 1,3-DIBF see Ando and Furuhashi (87NKK1293). *o*-Benzoquinonediimines react with 1,3-diarylisobenzofurans to give $[\pi 4 + \pi 4]$ cycloadducts. These compounds can adopt two stable conformations [e.g., **131**, **132**; 81H1009, 81ZN(B)632].

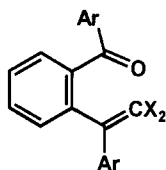
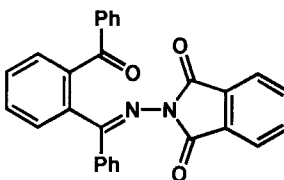


For similar adducts with *o*-benzoquinones see Friedrichsen (80AHC135). A $[\pi 4 + \pi 4]$ cycloaddition reaction with *o*-benzoquinonediimines has also been reported (89JOC5926). $[\pi 6 + \pi 4]$ Cycloadducts of isobenzofurans with appropriate triene systems (e.g., cycloheptatriene) are well known (80AHC135; 83CB618; here also a correction of the work reported in 74TL343; 75JA355). With isobenzofulvenes and isobenzofuran analogous adducts are obtained (81AJC397; 82AJC757; 92JCE164). Azirinequinones react with 1,3-DIBF both as 2π and 6π components (95TL5195; 95TL5199; 98BCJ711). For a reaction with a methylenepymole see Al Dulayymi et al. (97TL8271). A proximity-assisted $[\pi 4 + \pi 4 + \pi 2]$ cycloaddition was observed for the reaction of a norbornene derivative with 1,3-DIBF (93TL3397).



VI. Reactions with Carbenes and Nitrenes

1,3-DIBF and related compounds react with carbenes to give adducts of type **133** [84IJC(B)512, 84TL(25)5509]. With phthalimidonitrene (generated *in situ* from the corresponding *N*-amino derivative) compound **134** is obtained. 4,7-Dihydro-1,3-diphenylisobenzofuran reacts similarly [72JCS(P1)2728].

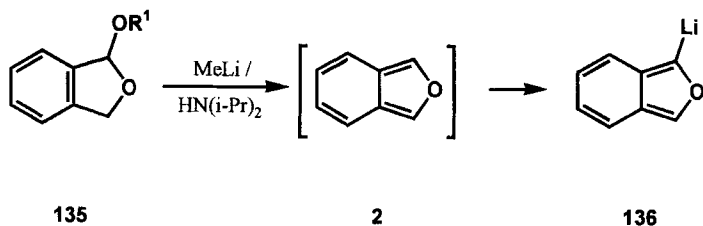
**133****134**

For the reaction of phthalimidonitrene with substituted cyclopentadienes see Narasimhan and Raja Kumar (84H1369). 1,2,4,6-Cycloheptatrienyli-*dene* (generated *in situ*) reacts with 1,3-DIBF both as a dienophile and as a carbene (80CL349; 85BCJ1663). Cyclopentadienyli-*dene* (generated photolytically from diazacyclopentadiene reacts with 1,3-DIBF to give a ring-opened product (2%; 77MI1).

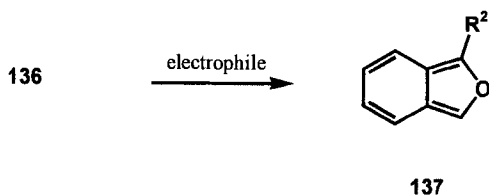
VII. Substitution Reactions

An interesting property of isobenzofuran **2** is its unexpectedly high acidity. Although the pK_a value has not been determined, NMR observation of the equilibrium between 2-lithiated furan and isobenzofuran established

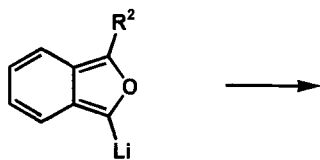
that **2** is more acidic than furan. Using Fraser's pK_a values [83JCS(CC)620] the pK_a of **2** was found to be ≤ 34.5 (84JOC304). A solution of 1-lithioisobenzofuran **136** can be generated at room temperature from **135** with an excess of methyllithium/diisopropylamine. This mono-lithiated species provides a source for various isobenzofurans. By addition of deuterium oxide, 1-deuterioisobenzofuran is obtained (characterized as a DMAD adduct). Other electrophilic reagents react similarly giving mono-substituted isobenzofurans **137**. The procedure is somewhat limited because of a rapid acid/base equilibrium between **136** and **137**, resulting in the generation of **138**, which in turn may react further with the electrophile to form 1,3-disubstituted isobenzofurans **139** (84JOC304; 89MI1). Unsymmetrically 1,3-disubstituted isobenzofurans can also be obtained from **138**. 1-Lithioisobenzofuran **136** can be dilithiated to give **93**, which is a convenient source for 1,3-bis(trimethylsilyl)isobenzofuran. This isobenzofuran has been isolated as a neat oil, which polymerizes on standing for several hours (85JOC2746; 86JOC1189). Solutions of **94** (~ 0.1 M) have been stored at -10°C for several weeks without evidence for significant decomposition. Addition of **93** to excess dry ice gives the corresponding diacid **140a**, which was converted to the dimethyl ester **140b** using the Raber procedure (trimethyloxonium tetrafluoroborate/Hünig base; 79JOC1149; 89MI1). Compound **140b** has also been obtained from *cis*- and *trans*-phthalan **141** using NBS in refluxing CCl_4 (71G508).



R¹ = Me, Et

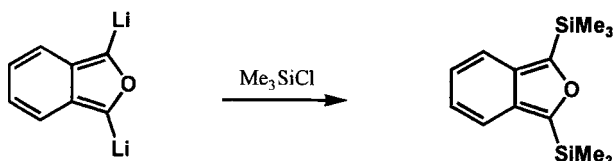


R² = D, Me, Et, allyl, Me₃Si, R³CHOH



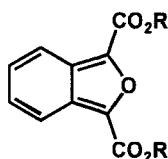
138

139



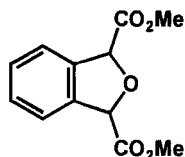
93

94



140 a,b

a: R = H; b: R = Me



141

Lithiation of 1-methyl- and 1-phenylisobenzofuran (with subsequent silylation) was reported (86JOC3849). The preparation of a compound claimed to be 1,3-bis(benzoyl)-isobenzofuran (25CB1043; 80AHC135) from **93** was unsuccessful (89MI1, footnote 116). Nitration of 1,3-DIBF was reported to give 1-(3-nitrophenyl)-3-phenylisobenzofuran [48AC(P)445, 48CR(C)1828]. Reinvestigation of this reaction revealed that besides *m*- and *p*-mononitro-substituted *o*-dibenzoylbenzenes, both 1-(3-nitrophenyl)-3-phenylisobenzofuran (29% of a red solid with mp 162–165°C) and the *para*-isomer (33% of a deep red solid with mp 165°C) are formed (96MI4). Reduction (with Pd/C and hydrazine hydrate) gave the corresponding amino derivatives, which on treating with an excess of methyl iodide yielded permethylated ammonium salts as yellow solids. These compounds are used as water-soluble diphenylisobenzofuran derivatives for singlet oxygen determination

(96MI4). Reactions of isobenzofurans with nucleophiles have also been reported. As pointed out earlier, the generation of isobenzofurans from 1-alkoxyphthalans is reversible; isobenzofurans (e.g., 1-methoxyisobenzofuran) add nucleophiles (e.g., MeOH, MeOD, D₂O) to give the corresponding phthalan derivatives or ring-opened products (84JOC1477; 89MI1). 1,3-DIBF was reacted with the potassium salt of cycloheptatriene at low temperatures (−35°C) to give *cis*- and *trans*-1-cycloheptatrienyl-1,3-diphenylphthalan, whereas at 20°C a [$\pi 4 + \pi 2$] cycloadduct was obtained (83CB618).

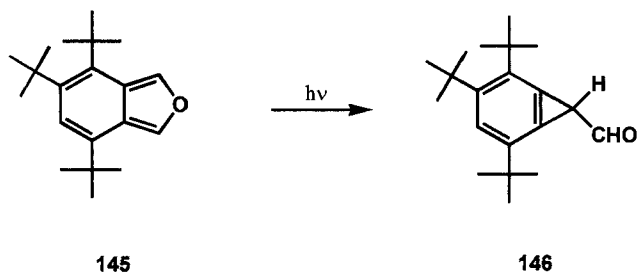
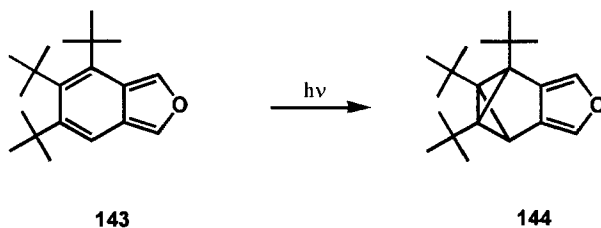
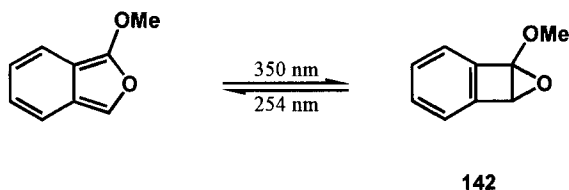
VIII. Oxidation and Reduction Reactions

Oxidation of isobenzofurans generally yields the corresponding *o*-diacylarenes (80AHC135; 94HOU163). The oxidation of 1,3-DIBF with CAN gives *o*-dibenzoylbenzene (89BSF708). Reacting *o*-dibenzoylbenzene with 98% sulfuric acid or with aluminum trichloride in dichloromethane (Schlenk tube) gives the radical cation of 1,3-DIBF. Experimental and calculated hyperfine splitting constants (Hückel–McLachlan procedure) were reported [90JCS(P2)1305]. The deeply colored dianion formed by reductive metallation of 1,3-DIBF reacts in a highly stereoselective manner with water, methyl iodide, or carbon dioxide to form *cis*-phthalans (80JOC3982). Treating a solution of 1-*tert*-butyl-3-phenylisobenzofuran with sodium in tetrahydrofuran and subsequently with methanol gave a 80% yield of the *cis*-adduct with only a trace amount of the *trans*-isomer. With deuterium oxide a monodeuterated product was obtained [83JCS(CC)1448]. The dianions of 1,3-DIBF have been investigated in detail by 1D and 2D NMR spectroscopy. It is probable that in these dianions C-1 and C-3 are pyramidal, particularly in view of their being carbanions centered α to oxygen. The reduction process induces a very high energy barrier for the rotation of the phenyl groups [87JCS(CC)1528; 88JCS(P1)31, 88T6957]. The radical anions formed by a single electron transfer to 1,3-diarylisobenzofurans have been investigated in detail by EPR, ENDOR, and TRIPLE spectroscopy [91JCS(F)1837]. In connection with work on electrochemical and chemical reductions of furopyrazines and related compounds, MNDO calculations for furo[3,4-*b*]quinoxalines (ground state, radical anion) were reported. According to these results furo[3,4-*b*]quinoxalines appear to be more reducible than the corresponding furo[2,3-*b*]quinoxalines (91JOC4840).

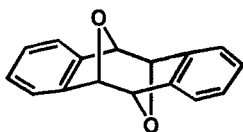
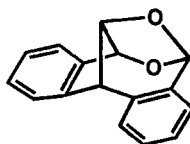
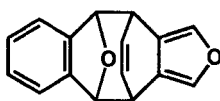
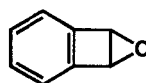
IX. Photochemical Reactions

A. WITHOUT OXYGEN

Irradiation of 1-methoxyisobenzofuran ($\lambda \geq 300$ nm) gives **142** (89CL853, 89NKK1431), a benzanalog of Dewar furan (91AJC1275). The reaction is reversible. Similar intramolecular cycloadditions have been reported for other isobenzofurans (80AHC135). On irradiation of **143** ($\lambda > 300$ nm) a $[\pi 2 + \pi 2]$ cycloaddition in the benzenoid ring to **144** takes place [88JAP(K)62/201880; 92BCJ932], whereas **145** gives **146** as primary product (89TL103). A corresponding reaction of a benzo[c]thiophene has also been reported (95TL3177).



As reported as early as 1905, irradiation of 1,3-DIBF yields a $[\pi 4 + \pi 4]$ dimer of unknown stereochemistry [05CR(C)1348; 06BSF1124; 80AHC135; 94HOU163]. Irradiation of isobenzofuran **2** (acetone, -60°C) gives the *anti*-dimer **147**, whereas in ether (-60°C) the unsymmetrical dimer **148** was obtained as the major product (together with lesser amounts of **147** and trace amounts of **149**) [82JCS(CC)1195; 93AJC1515].

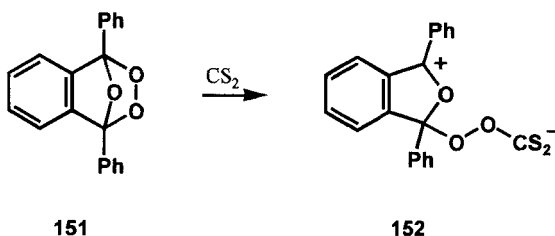
**147****148****149****150**

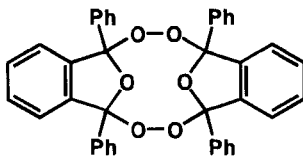
No evidence for Dewar-isobenzofuran **150** was obtained, however; a small amount of *o*-phthalaldehyde detected in the mixture may point to **150** as an intermediate. The photoreactions of 1,3-DIBF with cycloheptatriene (74TL343; 75JA355; 80AHC135) have been reinvestigated (83CB618). With anthracene a $[\pi 4 + \pi 4]$ cycloadduct (56%, mp 173°C) is obtained (83CB618). A *thermal* cycloaddition across the 4,7-position of an isobenzofuran has been reported previously (78JHC793).

B. WITH OXYGEN

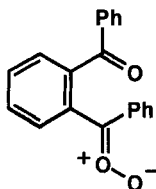
Although 1,3-DIBF reacts thermally to a limited extent with triplet oxygen ($^3\text{O}_2$) (80AHC135; 81IC737), it has been used as a probe for the presence of singlet oxygen ($^1\text{O}_2(1\Delta_g)$; for methods of preparation and detection see Shinkarenko and Aleskovkii (81RCR220)). 1,3-DIBF is one of the most reactive known scavengers of singlet oxygen. The self-sensitized photoper-

oxidation in benzene is accomplished by molecular oxygen consumption on a 1:1 stoichiometric basis consistent with the formation of the endoperoxide as the primary product (see below). Detailed studies revealed that generating singlet oxygen (by 1,3-DIBF) proceeds via the intermediacy of a reversibly formed singlet oxygen ($^1\Delta_g$)/quencher exciplex. The reaction enthalpy of the first reaction step has been determined to be 35 kcal/mol (83JA1091; but see 80JA66). Values for ΔH^\ddagger and ΔS^\ddagger (for both the preequilibrium and the diffusion limit) were given (88JA8053; for previous values of ΔH^\ddagger and ΔS^\ddagger see 79JA3050). The 1,3-DIBF triplet state [$22 \leq E_t \leq 29$ kcal/mol (78MI3); $E_T = 34.3$ kcal/mol (79CPL233; formed from 1,3-DIBF singlet and oxygen triplet (78MI4)] appears to react with the endoperoxide with a rate constant of $10^7 \text{ M}^{-1}\text{s}^{-1}$ (81JPC210). When 1,3-DIBF is used as a reagent for the detection of singlet oxygen, both the disappearance of the long wave UV maximum (415 nm) and the strong fluorescence of this compound (80AHC135) have been used for analytical purposes. The Young technique (71MI1; 73JA375; 74CJC2889) utilizes 1,3-DIBF as a singlet oxygen acceptor and follows its substrate inhibition by monitoring its fluorescence as a function of time at the emission wavelength at 460 nm, but one should keep in mind that 1,3-DIBF fluorescence may also be quenched by several substrates (singlet oxygen acceptors; see e.g., 84JOC1321; 93MI6). The solvent effect on the quantum yield of the self-sensitized photoperoxidation of 1,3-DIBF has also been studied [84JCS(F1)2119]. The first reaction product of 1,3-DIBF and singlet oxygen is an endoperoxide (**151**) of unusual reactivity [75JCS(CC)474; 80AHC135; photocleavage of endoperoxides (80JA306, 80JA2791; 94MI5)]. Carbon disulfide and other acceptors (e.g., tetracyanoethylene, 4-phenyl-1,2,4-triazolin-3,5-dione) catalyze the dimerization of this compound to a mixture of four stereoisomeric peroxides (**153**); pairs of these isomers are stereospecifically interconvertible on gentle heating, probably via a zwitterion of type **154** [82JCS(CC)72]. Acid-catalyzed decomposition of **151** gives *o*-dibenzoylbenzene.





153



154

The peroxide **151** has been shown to epoxidize olefins; with diphenyl sulfide the corresponding sulfoxide is obtained (80JA404; 81MI4). A carbonyl oxide of type **154** may be the oxygen-donating species (93AJC1515). Irradiation of an ethereal solution of isobenzofuran **2** in the presence of oxygen gave only intractable polymeric material (93AJC1515). It was reported that 1,3-DIBF is converted to *o*-dibenzoylbenzene (40–70%) by oxygen, either in dichloromethane at -78°C in the presence of a variety of Lewis acids, or on silica gel at 20°C in the dark (80AJC2653; for previous work see also 80AHC135). These authors emphasize that the formation of *o*-dibenzoylbenzene alone cannot be regarded as a reliable probe for the presence of singlet oxygen. 1,3-DIBF has been used in a nearly countless number of cases for the detection of singlet oxygen. Some explicit examples will be given: determination of singlet oxygen quantum yield (93MI4), pressure effect (90JPC669; 92JPC245) and deuterium isotope effect on the lifetime of singlet oxygen in solution (81JA6759; see also 81JA1219; 83JA3423), viscosity effects on the reaction rate between singlet oxygen and 1,3-DIBF (93MI5), quenching of singlet oxygen by chlorinated phenolic pesticides (88MI8), by nickelocene (87MI2), by triarylpyrazolines (83JOC542), quantum yield of oxidation of 1,3-DIBF by singlet oxygen sensitized by thioketones (82MI7), photosensitized oxidation of 1,3-DIBF solubilized in aqueous solutions of poly(sodium styrenesulfonate-*co*-2-vinylnaphthalene and -anthracene) (90MI6; 91MI4), photosensitized oxydation of 1,3-DIBF

by hematoporphyrin (91MI12) and others [79MI6; 92PIA(A)713], photo-sensitized generation of singlet oxygen by hypericin (92MI15) and hypericin-metal complexes (88MI1; 94MI6), photosensitizing properties of tin(IV)protoporphyrin (91MI9), dynamics of singlet oxygen in unilamellar micelles (82MI8), role of free radicals in the photosensitized oxidation reactions induced by merocyanine 540 (91MI10), determination of rate constants for quenching singlet oxygen (83JA3200; 90MI4), reaction of 1,3-DIBF with oxyhemocyanin model compounds [88JCS(D)2003], effects of indole and tryptophan on the oxidation with singlet oxygen (79MI9), effect of 1,3-DIBF on the photooxidative degradation of *cis*-1,4-polybutadiene (80MI4), reactivity of 1,3-DIBF in the presence of oxygen or *tert*-butyl hydroperoxide with catalytic amounts of chromium trioxide (96NJC571), lipoic acid inhibition of the self-sensitized photoperoxidation of 1,3-DIBF [80JCR(M)3607, 80JCR(S)290], reaction of 1,3-DIBF with peroxidase in the presence of phenylpentenylhydroperoxide (85MI9), singlet oxygen formation by a peroxidase-hydrogen peroxide-halide system (79MI5), Fe(II)-induced generation of singlet oxygen from hydrogen peroxide (84JA4283), singlet oxygen from hydrogen tetroxide (transient formation from perhydroxyl radical) (88JA8707), singlet oxygen quenching by chlorophyll A (81MI6) and by iodine (84MI5), regeneration of singlet oxygen from 2,5-diphenylfuran endoperoxide (87BCJ3373), photodegradation of 1,3-DIBF over metal oxide particles (92JPC5053, 92MI14), quenching of singlet oxygen in solution (91MI10), photooxidation of Vaska's complex (93JA1166), usage as an antioxidant (79JAP(K)81/32584], decay kinetics of peroxo compounds (87MI3), lyoluminescence [79JCS(CC)239; 82MI6] and radiolyoluminescence studies (86MI4), photobleaching by phthalocyanine dyes (92MI13), oxidation with prostaglandin cyclooxygenase-hydroperoxidase (81JBC7352) and synthetase (79JBC5077) and by homogenates of *Pseudoplexaura porosa* (82MI1), effects of fatty acids on the metabolism of 1,3-DIBF (80BBR1044), oxidation during formation of arachidonic acid hydroperoxide (83MI9), and in numerous other instances [78ZN(B)622; 79BBR(88)676, 79BBR(90)460, 79BCJ559, 79CI(L)900, 79JPC1683, 79JPC2823, 79MI4, 79MI6-79MI8, 79MMC2027; 79ZN(B)339, 79ZN(B)1552; 80BBR1044, 80C234, 80JA1942, 80JCR(S)195, 80MI2, 80MI3, 80MI5; 80MI7; 80ZN(B)107, 80ZN(B)731, 80ZN(B)736; 81IC737, 81JA6222, 81JPC3079, 81MI5; 82DOK873, 82MI2-82MI5, 82NAR2043; 83IZV2702, 83JOC542, 83JOM113, 83MI5-83MI8; 84CPL184, 84JA7112, 84JCR(M)1040, 84JCR(M)1936, 84JCR(S)111, 84JCR(S)211, 84JCS(F1)1151, 84MI2-84MI7; 85MI5-85MI8; 86JA2472, 86JOC4477, 86MI2, 86MI3, 86TL5637; 87HCA1400, 87JBC6266, 87MI1, 87MI5, 87RTC469, 87ZN(B)52; 88FRP2612512, 88JCS(D)2003, 88JCS(P1)2921, 88MI2-88MI10 (here also phosphorescence quenching of singlet oxygen);

89JA2633, 89MM2317; 90JCS(CC)416, 90MI3, 90MI5, 90TAL905; 91JOC4188, 91JPC598, 91MI6–91MI8; 92AC427, 92JA10293, 92MI11–92MI13, 93MI3, 93MI4, 93MI7, 93MI8; 94MI2–95MI6, 95TL9461; 96NJC571; 97JA5286, 97MI2; 97MI5; 97OM4386]. A very significant magnetic field effect was observed in the anthraquinone-photoinduced oxidation reaction of 1,3-DIBF in sodium dodecyl sulfate micellar solution (84JA7257). A new method to detect singlet oxygen using the strong fluorescence of 1,3-DIBF (80AHC135) was developed (91BBA94). Water-soluble singlet oxygen traps derived from 1,3-DIBF have been synthesized (82T1425; 96NJC571).

X. Other Reactions

1,3-(2-Thienyl)isobenzofuran reacts with Lawesson's reagent to give the corresponding benzo[c]thiophene (92MI5, 92MI6). This type of reaction has also been reported earlier (80AHC135). CT complexes with isobenzofurans are virtually unknown (80AHC135; 94HOU163). One example with a macrocyclic tetrasulfide was reported (79JOC2629). For reactions of naphtho[2,3-*c*]furans under FTP conditions see Chen *et al.* (98TL7393).

XI. Spectroscopic Properties

A. MASS SPECTRA

The mass spectra of a great variety of isobenzofurans have been studied by direct examination of stable isobenzofurans and isobenzofuran ions formed by retro-Diels–Alder cleavage of oxabicyclo adducts. Generally speaking, the fragmentation pattern observed depends to a large extent upon the nature and position of substituents (88OMS743; 92MI10). An isobenzofuran ion has also been observed during fragmentation of isobenzopyrone ions (88AJC535).

B. NMR SPECTRA

^1H and ^{13}C NMR spectra of diaryl-substituted isobenzofurans have been reported occasionally, but obviously not investigated systematically (90JOC4190; 96S1180).

C. UV SPECTRA

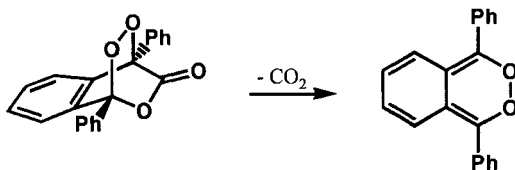
UV spectra of isobenzofurans have been reported repeatedly (79MI2; 80AHC135; 84JOU(20)745, 84ZOR818; 85KGS486; 92JOU2047,

92ZOR2534; 94HOU163; 96AJC1263], but there seem to be no systematic studies in this field.

D. LUMINESCENCE PROPERTIES

Isobenzofurans, especially 1,3-diaryl-substituted derivatives, exhibit a bright intense fluorescence in solution (80AHC135; 94HOU163) ($\tau_f = 4.9$ ns for 1,3-DIBF in benzene solution at 25°C; 81JPC210). As pointed out in Section IX, B, these luminescence properties are used for analytical purposes (e.g., detection of singlet oxygen). Some comments on an earlier report of phosphorescence of 1,3-DIBF seem appropriate. Luminescence with a 0-0 band at 458 nm (21.8 kK) in a mixture of ethanol and ether at 77 K had been identified as phosphorescence (76MI1). Reinvestigation has shown (78MI3; 81MI8) that this luminescence was indeed a fluorescence.

Recently the luminescence properties of 1,3-DIBF have been investigated again, and it was shown that in ethanol there are two types of fluorescence, short-lived and long-lived ($\tau_f = 8$ ms), with *identical* fluorescence spectra. The exact natures of the excited singlet states are unknown (89CB1119). Investigation of fluorescence excitation spectra of 1,3-DIBF revealed two different rotameric forms in the ground state (*syn*- and *con*-rotation of the phenyl groups) with an energy separation of 79 cm^{-1} (87CPL214). The triplet energies of several aryl-substituted isobenzofurans have also been estimated by electrogenerated chemiluminescence (electroluminescence) (79MI2). For 1,3-DIBF, 1,2,4,7-tetraphenylisobenzofuran, and 1,3-bis(4-methoxyphenyl)-4,7-diphenylisobenzofuran, identical values (1.60 ± 0.05 eV, 36.9 ± 1.15 kcal/mol) were reported (79MI3; 80MI6; 81MI7, 81MI9). From energy transfer experiments with various triplet sensitizers the triplet energy of 1,3-DIBF was estimated to be 11.9 ± 0.1 kK, 34.0 ± 0.3 kcal/mol) (81MI8). Whereas 4,6-diphenylthieno[2,3-*c*]furan shows both fluorescence and phosphorescence (89CB1119), 4,6-diphenyl-3-methylfuro[3,4-*d*]isoxazole shows only phosphorescence (91CB2481). The triplet state energies of these two compounds have been determined (89CB1119; 91CB2481). A *chemically initiated* luminescence was observed during the thermolysis of 1,4-diphenyl-1,4-dioxa-2,3-benzopyrone **155**.



155

156

This chemoluminescence results from interaction of **156** (generated from **155** under thermal conditions) and 1,3-DIBF (formed in a minor amount from **156**). The first step is the formation of an encounter complex. Electron transfer generates a peroxide radical anion of **156** and a radical cation of 1,3-DIBF. Cleavage of the O–O bond in the radical anion of **156** forms an *o*-dibenzoylbenzene radical anion. Annihilation of the oppositely charged ions gives an excited singlet of 1,3-DIBF (with subsequent fluorescence) (82JA1041).

The PE spectra of 6H-cyclohepta[*c*]furan-6-one (and molecular orbital calculations on this system) have been reported (84BCJ856).

XII. Other Physical Properties

The heat of combustion of 1,3-DIBF has been determined (9952.0 ± 9.0 kJ/mol; 85MI1). The heat of sublimation was found to be 73.7 ± 0.6 kJ/mol (85ZOB1965).

XIII. Applications

A few applications of isobenzofurans have been reported in the patent literature, e.g., for the preparation of polymers [90JAP(K)02/75625; 90JAP(K)02/263824], for electrophotographic photoreceptors [88JAP(K)-63/60453; 93JAP(K)04/212166, 93USP5250395; 94JAP(K)05/158620, 94JAP(K)06/161132; 97JAP(K)09/15882], photochromic 4,5,6-trialkylisobenzofurans as optical recording devices [88JAP(K)62/201880], for electroluminescent devices [91EUP406762, 91USP5077142; 92JAP(K)04/02096] for dye lasers (87MI4), and for other purposes (97JPK09/241629; 97JPK09/302225; 97USP5663029).

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1,7-Electrocyclizations of $\alpha,\beta,\gamma,\delta$ -Unsaturated 1,3-Dipoles

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I. Introduction

All 1,3-dipoles contain an allyl anion type π system, i.e., four electrons delocalized over three parallel atomic π orbitals, but, in addition, 1,3-dipoles of the propargyl–allenyl type contain an additional π bond in the plane perpendicular to the allyl anion MO (Fig. 1).

1,3-Dipoles have now been the subject of intense study for over 25 years, and most work has concentrated on the formation of 5-membered heterocycles, via either 1,3-dipolar cycloaddition to multiple bonds (Scheme 1a) (84MI1), or the 1,5-electrocyclization of 1,3 dipoles with α,β -unsaturation (Scheme 1b) [79CRV181; 80AG(E)947].

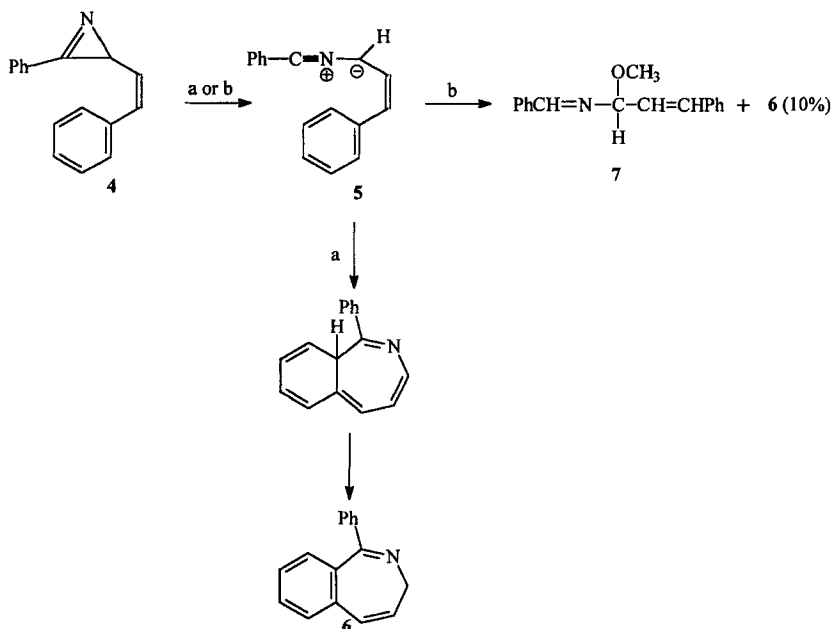
1,3-Dipoles with $\alpha,\beta,\gamma,\delta$ -unsaturation are isoelectronic with the heptatrienyl anion **1** and, as such, would be expected to undergo a 1,7-electrocyclization (8π electron process) analogous to the heptatrienyl **1**–cycloheptadienyl **2** rearrangement (Scheme 2). Examination of the HOMO of the heptatrienyl anion, Ψ_4 , **3** shows that this ring closure must proceed in a con-

II. 1,3-Dipoles of the Propargyl–Allenyl Type

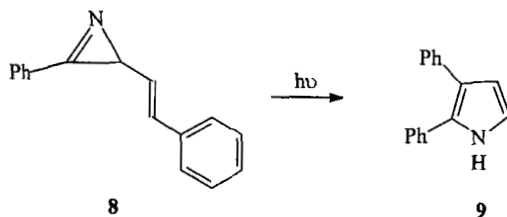
The 1,7-electrocyclization of only three types of propargyl–allenyl 1,3-dipole has been reported, and each of these will be covered in detail.

A. NITRILE YLIDES

The first example of the 1,7-electrocyclization of a nitrile ylide conjugated to a diene was reported by Padwa and co-workers (75JA4682). Photochemical ring opening of (*Z*)-2*H*-azirine **4** gave nitrile ylide **5**, which underwent a 1,7-electrocyclization, followed by a [1,5]-H shift, to give the benzazepine **6** (Scheme 4). Upon irradiating azirine **4** in methanol, the yield of benzazepine **6** was reduced to 10%, with the major product being benzalimine **7**, which is formed by the trapping of the nitrile ylide **5** by methanol, thus proving the intermediacy of a nitrile ylide in this process. Photolysis of the (*E*)-azirine **8**, for which 1,7-electrocyclization is geometri-



SCHEME 4. (a) $h\nu$, benzene; (b) $h\nu$, MeOH.

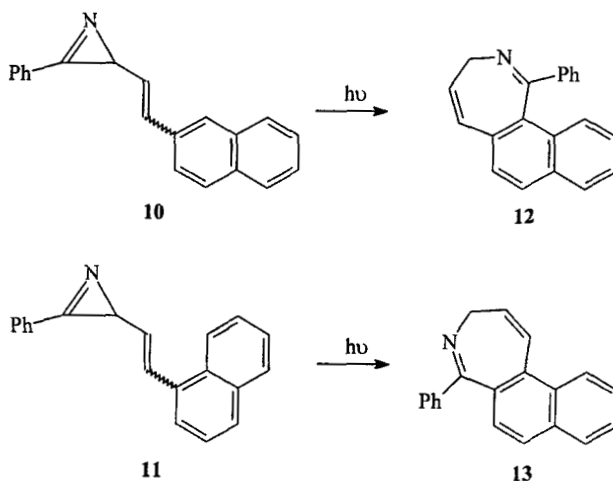


SCHEME 5

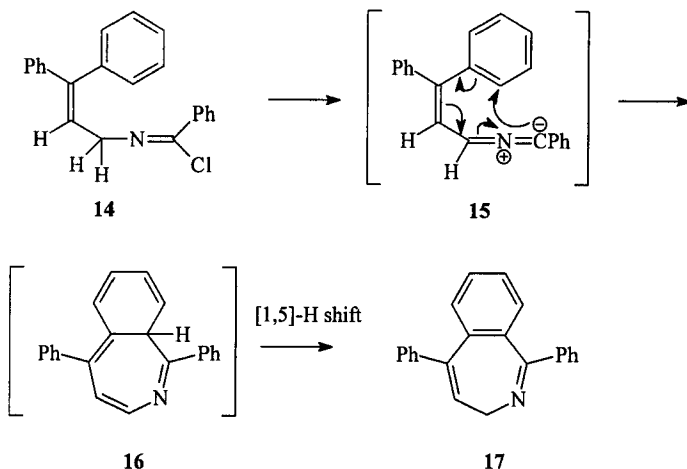
cally impossible, gave 2,3-diphenylpyrrole **9** via a 1,5-electrocyclization (Scheme 5). The formation of benzazepine **6** was rationalized in terms of cyclization via a seven-membered transition state being easier than via the five-membered transition state required for 1,5-electrocyclization.

Azepines **12** and **13** were also formed upon irradiation of naphthylvinyl-substituted azirines **10** and **11** (Scheme 6) (75JA4682).

The possibility existed that the photochemical generation of these nitrile ylides resulted in an excited state, and so we investigated the generation of suitably substituted nitrile ylides via a nonphotochemical route, the dehydrochlorination of an imidoyl chloride (Scheme 7) (87TL2069; 92T7951). Base-catalyzed dehydrochlorination of imidoyl chloride **14** gave the nitrile ylide **15**, which underwent 1,7-electrocyclization to give the intermediate **16**, followed by a [1,5]-H shift to give the benzazepine **17**. Studies on the mechanism of this process have shown that substituents in the 3'-position of the aromatic ring of nitrile ylide **18** always favor substitution at the ortho (2') position, to give benzazepine **19** [as opposed to product **20** from cy-



SCHEME 6



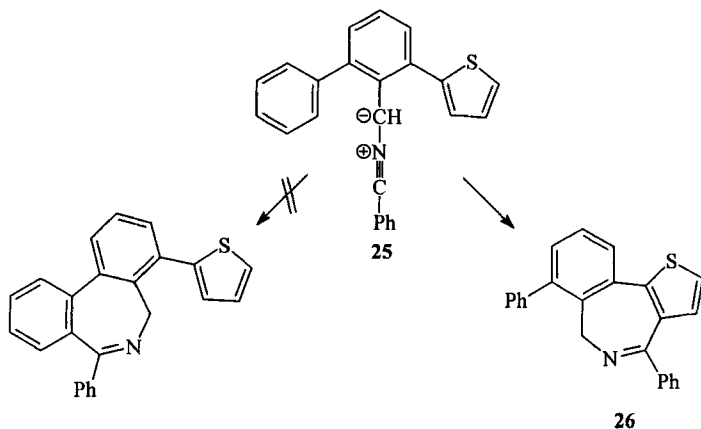
SCHEME 7

clization to the para (6') position], irrespective of their electronic effects (Table I). Deuterium labeling studies showed that the first step, the 1,7-electrocyclization, is irreversible for nitrile ylides ($k_{-1} = 0$) in contrast to the analogous diazo compounds (see later) (Scheme 8).

This reaction was then utilized to provide a new route to dibenz[*c,e*]azepines **24** by cyclization of the "biphenyl nitrile ylides" **22** (Scheme 9) [87JCS(CC)1367; 93JCS(P1)2961]. This work highlighted an interesting difference from the cyclizations of the α,β -alkenyl- γ,δ -aryl nitrile ylides. In the cyclization of ylides **15** a deep red/brown coloration was observed immediately upon addition of the base (at 0°C) to the imidoyl chloride **14**, but on the addition of base to the imidoyl chlorides **21** the color did not appear, or was much more transitory. This color was originally thought to be due to the presence of the nitrile ylide itself, but this is not consistent with the expectation that the 1,7-electrocyclization of the "biphenyl nitrile ylides" **22** should have a higher activation energy, and hence a slower rate of cyclization than those with only one aromatic ring. It is thus more likely

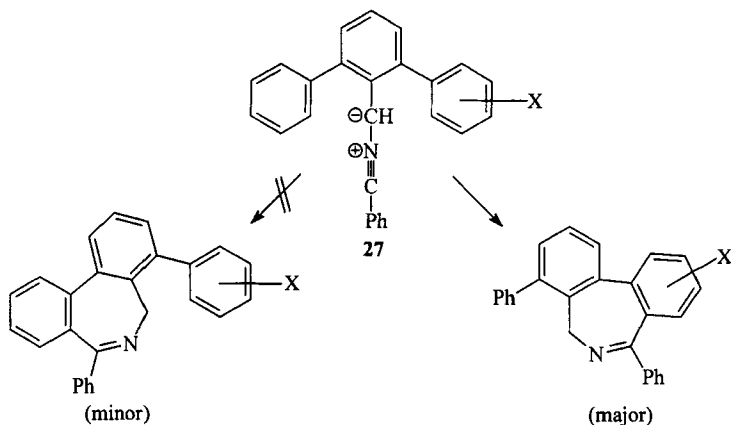
TABLE I
SUBSTITUENT DIRECTIVE EFFECTS IN THE
CYCLIZATION OF NITRILE YLIDES **18**

| R | Ratio 19:20 |
|-----------------|--------------------|
| Me | 2.5 |
| MeO | 1.5 |
| Cl | 2.1 |
| CF ₃ | 1.5 |



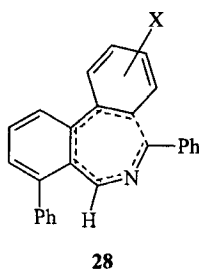
SCHEME 10

through a series of intramolecular competition reactions. For example, the nitrile ylide **25** cyclized only to the thiophene ring to give azepine **26**, as expected, since this double bond has greater olefinic character than that in benzene (Scheme 10) [91JCS(CC)658; 95JCS(P1)2565]. Competition reactions involving nitrile ylides **27** with both substituted and unsubstituted rings were also investigated (Scheme 11) and it was found that, with the exception of an *ortho*-methyl group, which directed cyclization to the unsubstituted ring, all substituents studied (electron donating or withdrawing) directed cyclization toward the substituted ring. This common effect of all substituents, in reducing the activation energy for the electrocyclization, is



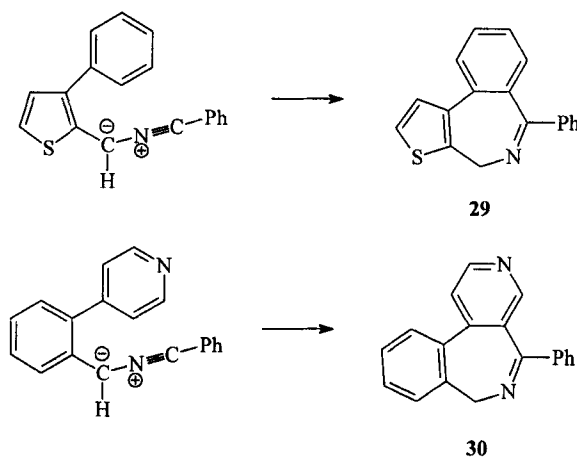
SCHEME 11

likely to be due to the stabilization of the helical late ("intermediate-like") transition state **28** by enhancement or extension of the electron delocalization. However, the substituents may also act by polarizing the molecules so as to produce a coulombic attraction between the reacting centers.

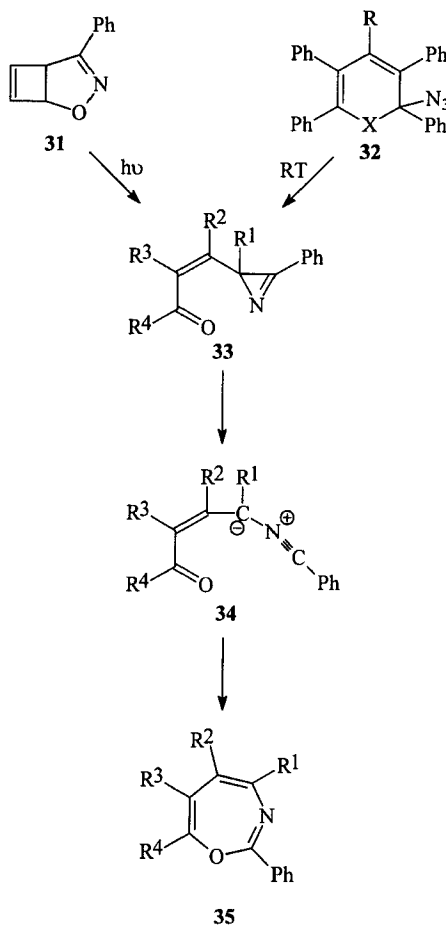


Sharp and co-workers further extended these 1,7-electrocyclizations to the synthesis of heterocyclo[*d*][2]benzazepines, e.g., **29** and **30** (Scheme 12) [94JCS(P1)1193].

Photolysis of the bicyclic isoxazoline **31** is believed to involve the intermediacy of the (*Z*)-azirine **33** ($R^1 = R^2 = R^3 = R^4 = H$), which subsequently ring-opens to the corresponding nitrile ylide **34**. 1,7-Electrocyclization of the nitrile ylide **34** onto the enone system then leads to the 1,3-oxazepine **35** (Scheme 13) [73TL1835; 74JCS(CC)373]. Thermolysis of the closely related (*Z*)-azirines **33** ($R^1 = R^3 = R^4 = Ph$), generated



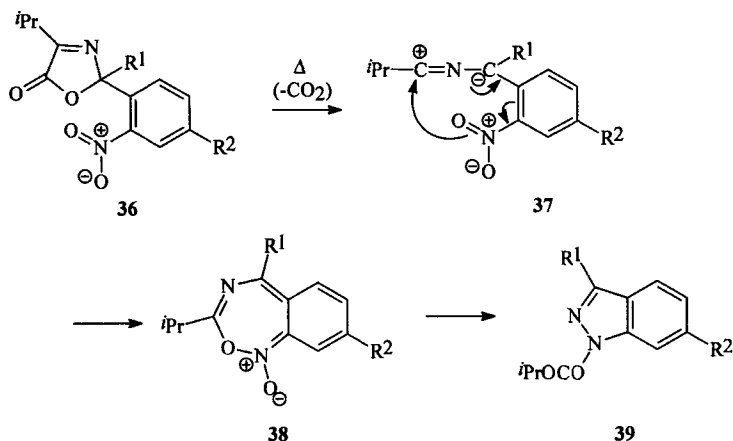
SCHEME 12



SCHEME 13

from the azidopyrans **32** at room temperature, also gave oxazepines **35** and, although the thermolysis of azirines is known to lead to vinylnitrenes, the intermediacy of a nitrile ylide has also been postulated in this case [75CR(C)37].

A nitrile ylide intermediate has also been postulated for the thermolysis of the thiapyrans **32** ($X = S$) [75CR(C)37]. The intermediacy of a nitrile ylide **37** and its 1,7-electrocyclization onto a nitro group to give intermediate **38** have been proposed as key steps in the thermolysis of 3-oxazolin-5-ones **36** to 1-acyloxyindazoles **39** (Scheme 14) (73CB2870).

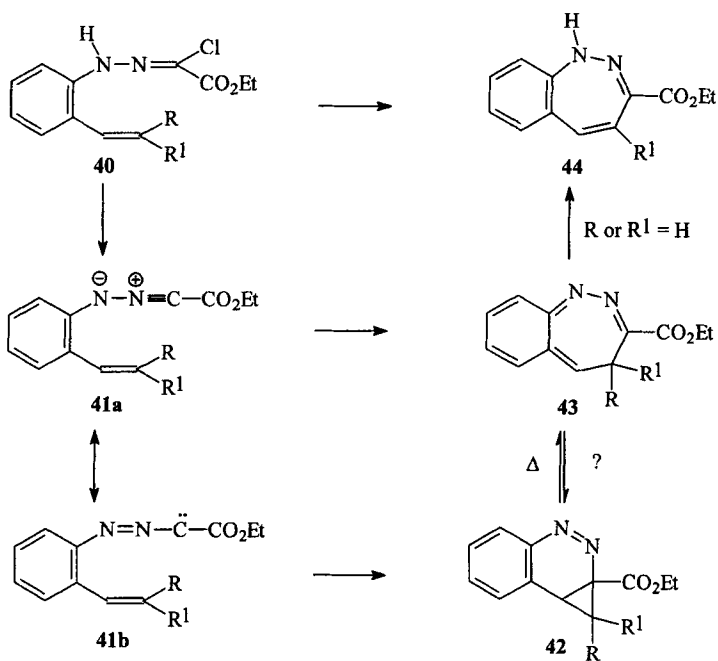


SCHEME 14

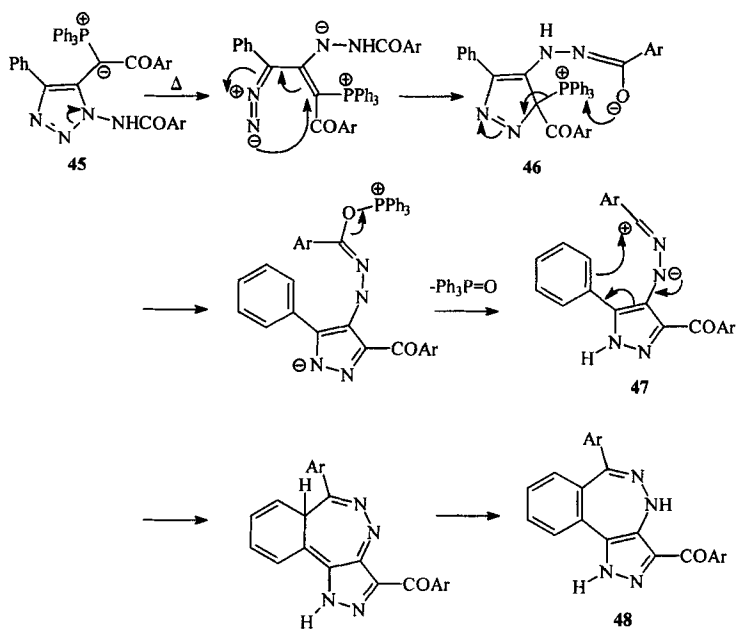
B. NITRILE IMINES

The formation of the benzodiazepines **44** from nitrile imines with $\alpha,\beta;\gamma,\delta$ -conjugation **41** can be regarded as a 1,7-electrocyclization followed by a [1,5]-hydrogen shift [77JCS(P1)2092; 79JOC4746; 80JCS(P1)116; 81JOC1402; 82JCS(P1)2041]. These diazepines **44** are obtained when the corresponding hydrazonyl chloride **40** is treated with triethylamine in benzene at reflux and when one of the terminal groups of the alkene is hydrogen. When the [1,5]-shift is precluded by the absence of a hydrogen at the 4-position, the cyclopropa[*c*]cinnolines **42** are obtained. In addition, the generation of the nitrile imines **41** from the hydrazonyl chlorides **40** by treatment with silver carbonate at room temperature gives only the cyclopropa[*c*]cinnolines **42**, even when R or R¹ is hydrogen. These cyclopropa[*c*]cinnolines could subsequently be converted to the 1,2-benzodiazepines **44** by heating, provided that R or R¹ was H (Scheme 15). This 1,1-cycloaddition of the nitrile imine carbene form **41b** to the double bond to give the cyclopropa[*c*]cinnoline **42** would seem to preclude a mechanism involving a 1,7-electrocyclization, but the order of the cyclization/cycloaddition steps still remains a subject for debate.

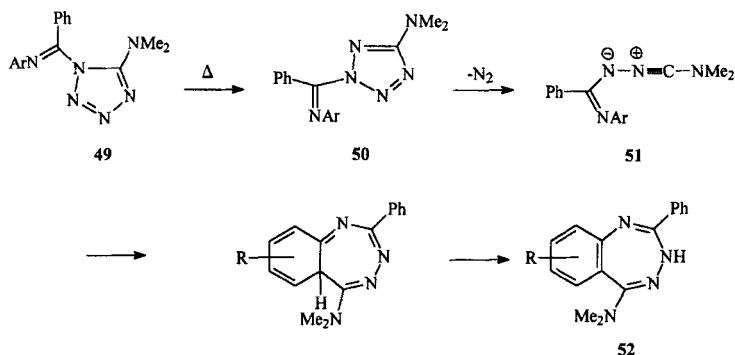
The 1,7-electrocyclization of nitrile imines **47** has been proposed as a key step in the conversion of the stable phosphorus ylides **45** to pyrazolo[4,3-*d*][2,3]benzodiazepines **48**, upon refluxing in xylene (Scheme 16). Ring-opening of the triazoles **45** and recyclization is postulated to give the pyrazoles **46**. Migration of the triphenylphosphine group, followed by the elimination of triphenylphosphine oxide, would then give the nitrile imine **47** (95TL5637).



SCHEME 15



SCHEME 16



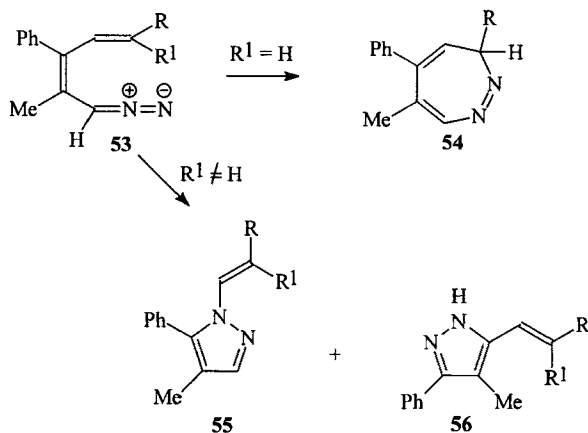
SCHEME 17

The 1,7-electrocyclization of nitrile imines with an α,β C=N bond **51** has also been observed by Boyd and co-workers [87JCS(CC)99]. Thermolysis of the tetrazoles **49** led to an initial migration of the imidoyl group. Loss of nitrogen from tetrazole **50**, followed by 1,7-electrocyclization and a [1,5]-hydrogen shift, gave the 1,3,4-benzotriazepines **52** (Scheme 17).

C. DIAZO COMPOUNDS

Diazoalkanes with $\alpha,\beta;\gamma,\delta$ -conjugation have been observed to undergo 1,5- and 1,7-electrocyclization, the activation energies for these processes being not very different. The periselectivity in these systems is dramatically affected by the presence of an aromatic double bond in the conjugated system and also by the substituents on the terminus of the double bond. For example, the diazo compound **53**, with olefinic double bonds in the α,β - and γ,δ -positions, undergoes a 1,7-electrocyclization to give the 3*H*-1,2-diazepine **54** when $R^1 = H$, but undergoes α 1,5-electrocyclization followed by successive [1,5]-vinyl and hydrogen migrations to give the pyrazoles **55** and **56** when $R^1 \neq H$ (Scheme 18) (84T3095).

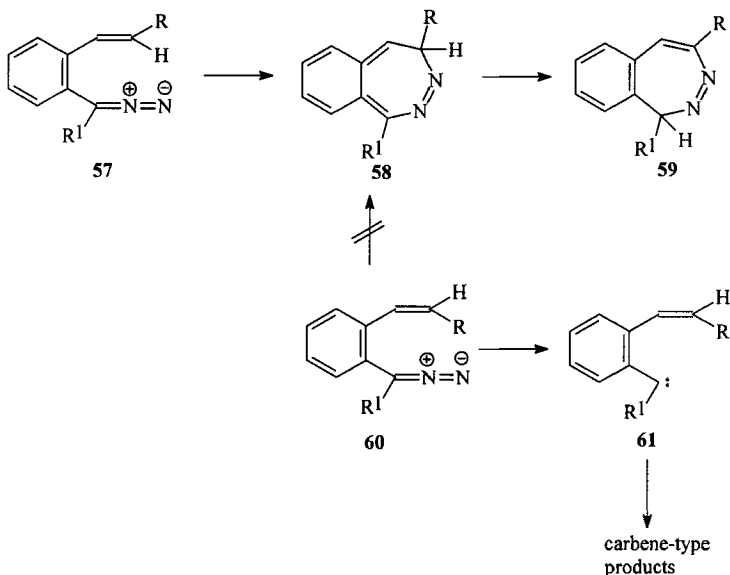
When there is an aromatic double bond in the α,β -position, once again 1,7-electrocyclization, followed by a [1,5]-hydrogen shift, is observed to give benzodiazepines **59** when there is a cis hydrogen. But when there is no cis hydrogen, the diazo compound loses nitrogen and reacts via carbene form **61**—no 1,5- or 1,7-electrocyclization being observed (Scheme 19) [73JCS(P1)2543; 84JCS(P1)849]. This is in direct contrast to the reactions of nitrile imines to give 1,2-benzodiazepines where the hydrogen on the alkene terminus may be either cis or trans. We may assume that these reactions of diazo compounds are indeed 1,7-electrocyclizations and do not pro-



SCHEME 18

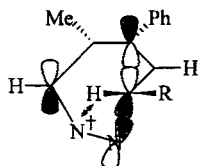
ceed via 1,1-cycloaddition followed by electrocyclic ring opening, as may be the case for the nitrile imines.

It can also be seen that steric hindrance alone is preventing 1,7-electrocyclization of **60** since no formation of the common intermediate **58** can occur; otherwise, 1*H*-2,3-benzodiazepine **59** would be obtained. To account for these experimental observations Sharp [83JCS(CC)1003; 84T3095] has pos-



SCHEME 19

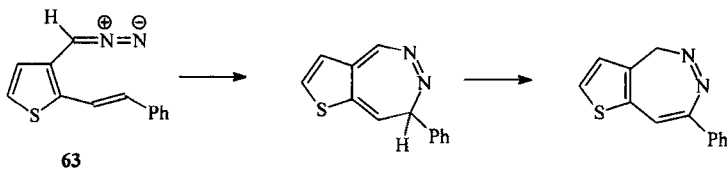
tulated that 1,7-electrocyclization proceeds via a helical transition state **62** with an easily accessible geometry, which brings the terminal atoms into a bonding overlap and requires only the minimum angular distortion of the diazo group from its preferred linear geometry. As mentioned previously, this transition state has the nodal properties of Ψ_4 of a heptatrienyl anion **3** and so formally requires a conrotatory ring closure.

**62**

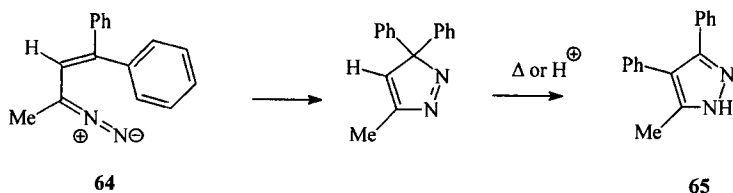
In this transition state the steric interaction [\leftrightarrow in **62**] between the cis hydrogen atom and N^+ of the diazo group is small and will not impede the approach of the terminal atoms. However, a methyl group at this position has a significant steric interaction with N^+ . This will raise the activation energy for 1,7-electrocyclization, either by inhibiting orbital overlap between the terminal atoms, or by twisting the γ,δ -double bond out of conjugation. The propensity for 1,7- as opposed to 1,5-electrocyclization in the diazo compound can be readily explained in terms of the high degree of bending of the diazo group required in the transition state for 1,5-electrocyclization.

The α,β -double bond in diazo compounds of the type **57** may also be part of a heterocyclic ring, e.g., the 2,3-bond of thiophene **63** (Scheme 20), but not the 3,4-bond, which does not have sufficient double bond character to allow an electrocyclic process to occur [80JCS(P1)1718].

When the α,β -bond is olefinic and the γ,δ -bond is aromatic, then 1,5- or 1,7-electrocyclization can be observed [75JCS(P1)102; 79JCS(P1)1433], depending upon the substituents present on the α,β -bond. In the simple acyclic case, the diazo compound **64** reacted to give pyrazoles **65** exclusively

**63**

SCHEME 20

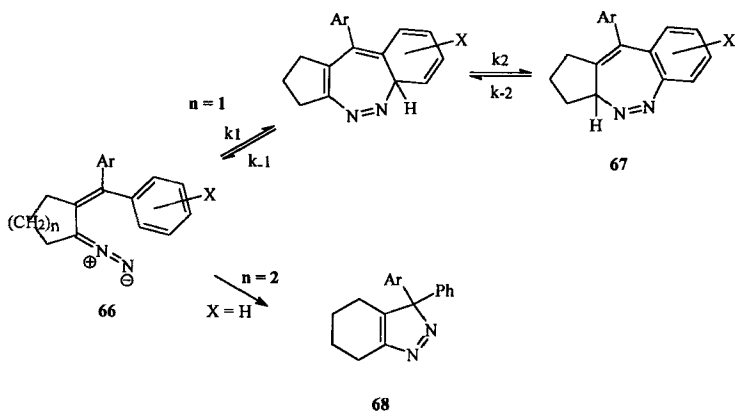


SCHEME 21

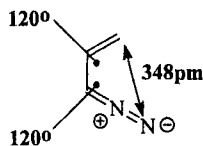
(Scheme 21). Fusion of a cyclohexyl ring at C2–C3 **66** ($n = 2$) also led to the formation of pyrazoles **68**, whereas the fusion of a cyclopentyl ring at C2–C3 **66** ($n = 1$) led to a complete change in periselectivity, the benzodiazepines **67** being the only observed products (Scheme 22) [75JCS(P1)102].

This difference in periselectivity was rationalized in terms of greater separation of the termini in the cyclopentyl fused compound **69**, requiring a greater distortion of the diazo group to achieve cyclization. This distortion has a large energy barrier and so the 1,7- becomes preferable to 1,5-electrocyclization. The 1,5-ring closure also leads to a more strained product, a 5,5-fused system, than that formed from the cyclohexyl fused compound **70**, which also has a smaller separation between the termini of the π system.

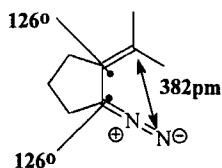
Deuterium labeling studies on this reaction have shown that, for $X = \text{Me}$ or H , the first step is an equilibrium ($k_{-1} \neq 0$), and that for $X = \text{OR}$, CF_3 , or Cl the second step is reversible ($k_{-2} \neq 0$), leading to an equilibration at 80°C of the isomers formed from attack ortho or para to a meta substituent (Scheme 22) [81TL(22)1537; 84JCS(P2)823].



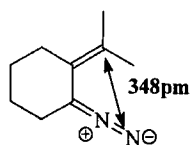
SCHEME 22



64

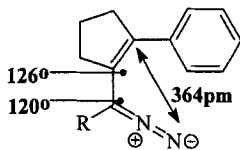


69



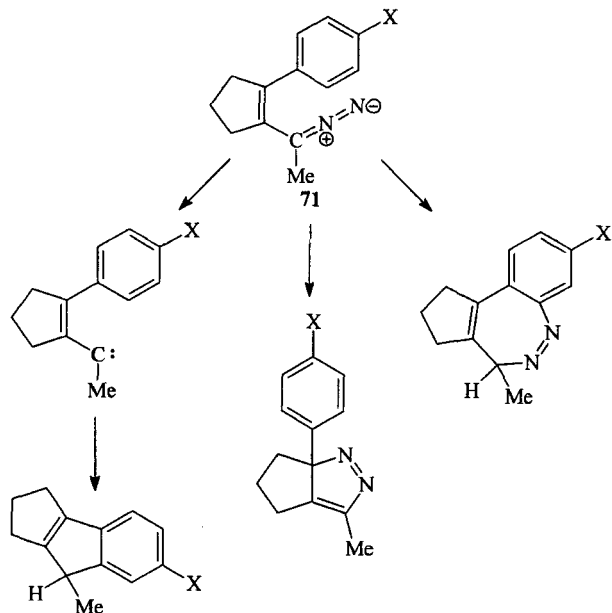
70

Fusion of a cyclohexyl ring at C1–C2 also produced only the pyrazole, but when a cyclopentyl ring was fused at C1–C2 the diazo compound **71** reacted via all three possible modes of reaction (Scheme 23). This was the first example of a 3-aryl-1-diazoalkane reacting by both 1,5- and 1,7-electrocyclization. This effect can be attributed to the fact that in **71a** the termini separation is slightly greater than in the acyclic case **64** but not as great as in **69**. So, in contrast to **69**, both 6π - and 8π -electrocyclizations are possible, and the carbene reactions are also competitive [79JCS(P1)1433].



71a

The effect of a chiral substituent at the alkene terminus on the course of the 1,7-electrocyclization of diene-conjugated diazo compounds has also been examined [88TL6361; 94JCS(P1)3149]. Cyclization of diazo com-

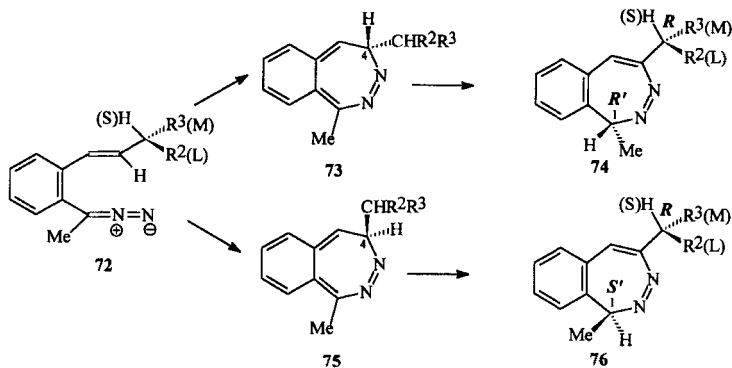


SCHEME 23

pound **72** can occur to either face of the double bond, leading to the formation of diastereoisomeric intermediates **73** and **75**. The chirality generated at C-4 is then transferred stereospecifically—via a suprafacial [1,5]-hydrogen shift—to C-1 to give the product diastereoisomers **74** and **76** (Scheme 24). The results in Table II show that the natures of both the large L and the medium-sized M group have a strong influence. It was assumed that the transition state is also helical and that attack of the terminal nitrogen occurs anti to the large group (Ph or Bu^t). The diastereoisomeric outcome is then dictated by the position of the medium-sized group—with

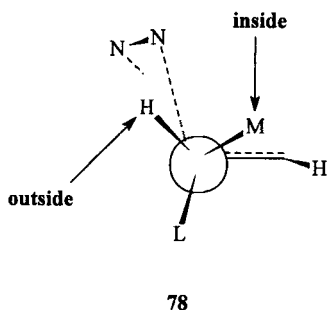
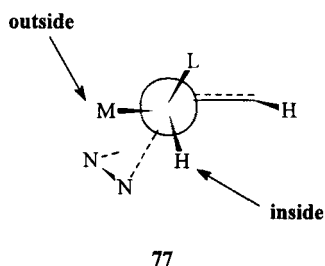
TABLE II
DIASTEREOISOMERIC RATIOS FOR THE
CYCLIZATION OF DIAZO COMPOUNDS **72**

| L (R ²) | M (R ³) | Ratio 74 : 76 |
|---------------------|------------------------------------|-----------------------------|
| Bu ^t | Et | 63:37 |
| Bu ^t | O ⁻ Li ⁺ | 85:15 |
| Bu ^t | OMe | 8:92 |
| Bu ^t | OH | 28:72 |
| Bu ^t | OSiMe ₂ Bu ^t | 9:91 |



SCHEME 24

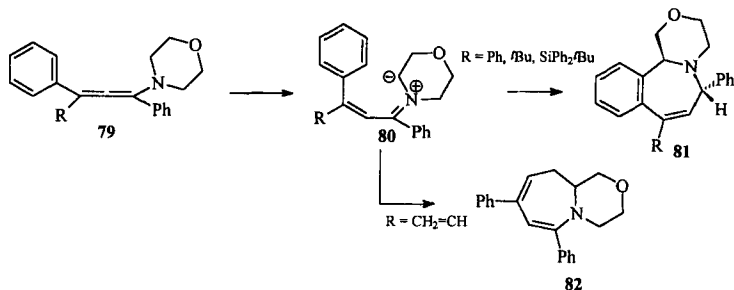
alkyl groups (M) favoring an “outside” preference **77** and alcohol and alkoxy groups (M) having strong “inside” preferences **78**.



III. 1,3-Dipoles of the Allyl Type

A. AZOMETHINE YLIDES

Upon heating a toluene solution of morpholinoallenes **79** at 120–130°C, in a Schlenk tube, benzazepines **81** are formed in quantitative yield. The first step in this transformation is postulated as the [1,4]-shift of an NCH₂



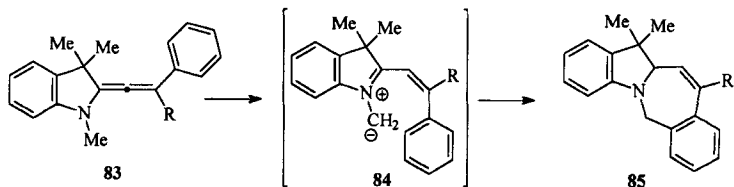
SCHEME 25

proton to the highly basic allenic central carbon atom. The intermediate $\alpha,\beta;\gamma,\delta$ -conjugated azomethine ylides **80** could then undergo a 1,7-electrocyclization to give the benzazepines **81**. The participating aromatic ring can be replaced by an olefinic double bond to give the bicyclic system **82** (Scheme 25) (92TL205).

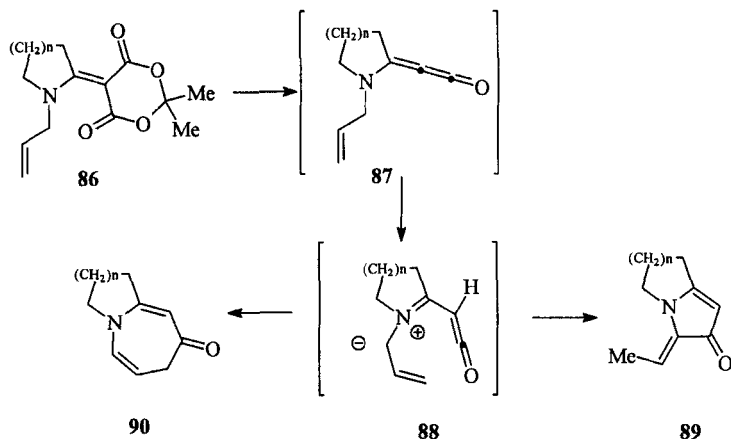
This method has been utilized to construct other fused azepine derivatives, e.g., **85** from indolylallenes **83** (Scheme 26). Indirect evidence for the involvement of the azomethine ylides **84** came from their trapping in a [3 + 2] cycloaddition (97JOC7744).

There is less evidence for the participation of azomethine ylides **88** in the early examples of the thermal cyclization reactions of Meldrum's acid derivatives **86**. This reaction, conducted under flash vacuum pyrolysis conditions, may proceed via the methyleneketene **87**. Hydrogen transfer from this highly unstable species may lead to the dipolar intermediate **88**, which could cyclize either in a 6π , to give **89**, or 8π , to give **90**, manner (Scheme 27) [83JCS(CC)988; 85TL833; 87JCS(CC)140].

Noguchi *et al.* developed a new type of cyclization for the formation of fused azepine rings **93**, which can be regarded formally as an intramolecular imine (X = N) or carbonyl (X = O) ene reaction (Scheme 28) [94JCS(P1)565, 94T1063; 96T13081; 97MI1]. The experimental results and theoretical investigations, based upon PM3 molecular orbital calculations, reveal that the azepine ring formation consists of two consecutive orbital-allowed reactions: a [1,6]-hydrogen shift leading to a conjugated azomethine ylide intermediate **92**, and its subsequent 1,7-electrocyclic ring closure



SCHEME 26



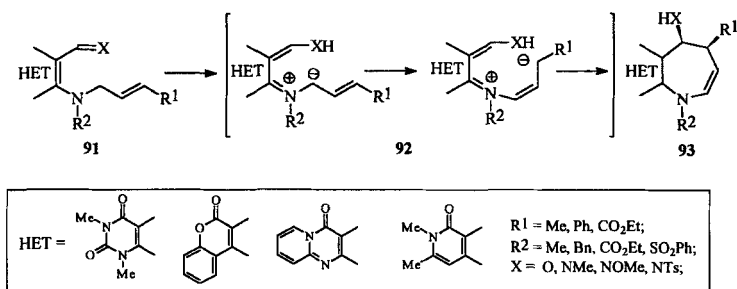
SCHEME 27

(96T13097). The asymmetric version of this cyclization has also been performed using precursors **91** bearing a chiral center in the alkenylamino moiety (96T13111).

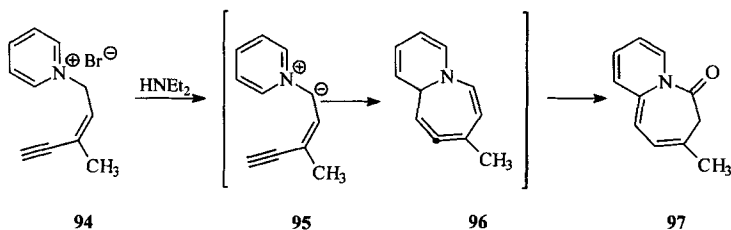
Eberbach and his group have studied the reactions of conjugated pyridinium ylides of the type **95**, generated by the treatment of the corresponding pyridinium salt **94** with base (Scheme 29). These dipoles undergo the expected 8π -electrocyclization affording the unstable cycloallenes **96**, which, in the presence of water and H_2O_2 , are subsequently transformed into the substituted pyrido[1,2-*a*]azepinones **97** (Scheme 29) (91HCA1095).

When the terminal acetylenic group was replaced with an olefinic double bond the analogous process gave rise to the stereoselective formation of dihydropyrido[1,2-*a*]azepines **98** in a good yield (Scheme 30) (97T14687).

In the preceding work (97T14687) there is also a brief mention of the transformation of the diphenyl epiminohexadiene **99** into the dihydro-



SCHEME 28



SCHEME 29

azepine **101**, via the azomethine ylide **100**, but no further details are given (Scheme 31) (87TH1).

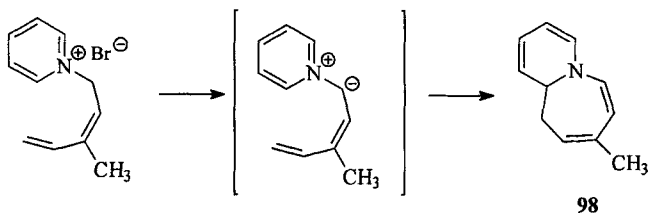
More recently, we have described the 1,7-electrocyclization of nonstabilized azomethine ylides **104** (Scheme 32) (98TL3267). The 1,3-dipoles were generated by the decarboxylation method (89AHC231) from conjugated aldehydes **102** and *N*-substituted amino acids **103**. The azomethine ylides undergo 1,7-electrocyclization, followed by a [1,5]-hydrogen shift, to give the dihydrobenzazepines **105**.

B. AZOMETHINE IMINES

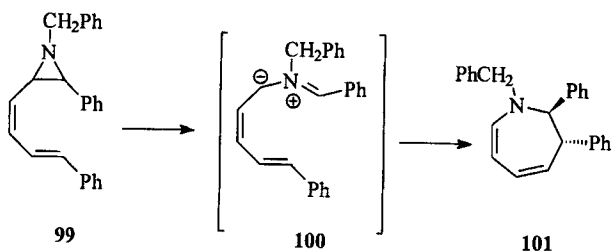
The 1,7-electrocyclization of azomethine imines **106** and **109**, with an α,β -aromatic bond and the $\text{N}=\text{O}$ bond of a nitro group as the γ,δ -bond, has been proposed as a key step in the conversion of azomethine imines **106** (Scheme 33) [62AG(E)158] or diaziridines **108** (Scheme 34) to benzotriazole-1-oxides **107** and **110**, respectively (72JOC2980).

C. NITRONES

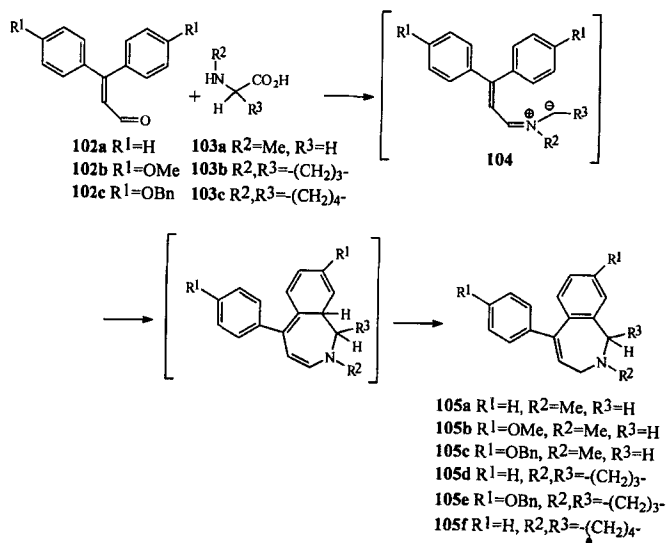
As an extension of their work on the carbonyl ylides, Eberbach and co-workers have published a series of papers on the intramolecular reac-



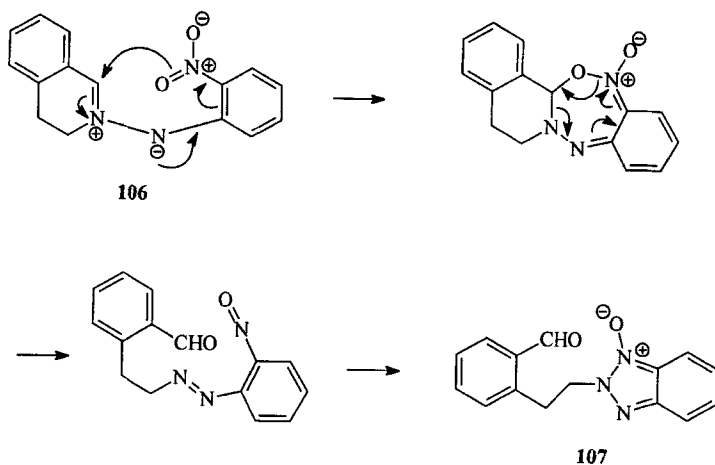
SCHEME 30



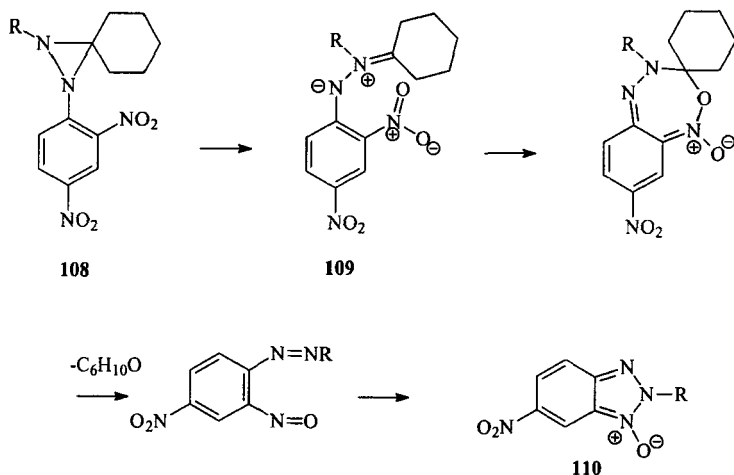
SCHEME 31



SCHEME 32



SCHEME 33

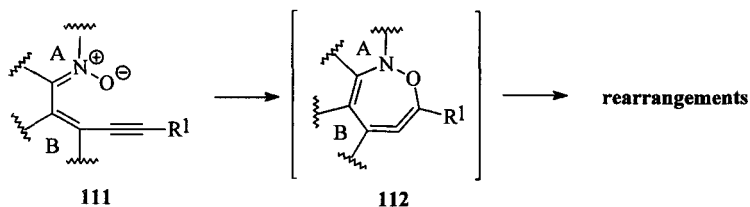


SCHEME 34

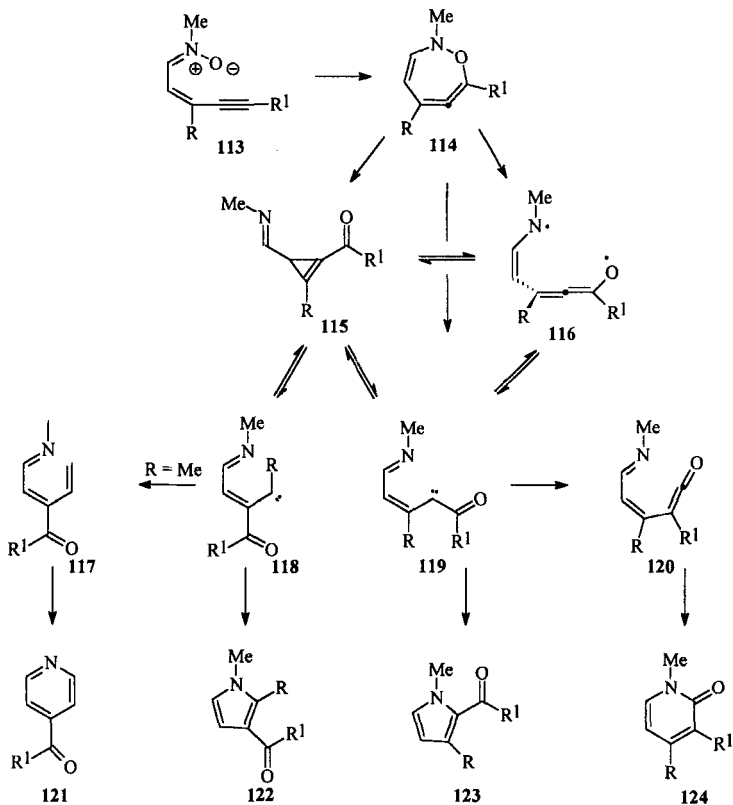
tivity of *C*-(1-buten-3-ynyl) nitrones **111** (Scheme 35). In most cases, a 1,7-electrocyclization of the dipolar system takes place, affording the highly strained oxaza-cycloallenes **112**, upon short-time thermolysis (350–420°C/10 s). These unstable intermediates undergo a series of further rearrangements to yield the pyrrole or pyridine derivatives.

The product distribution depends upon the substituents on the dipolar system. The details of the complex mechanistic pathway were demonstrated on the most simple first example as early as 1987 (Scheme 36) (87TL2689). In all cases the first step is postulated as a 1,7-electrocyclization. The formation of pyrrole **123** may proceed through the key intermediate **119** (a conjugated keto-carbene) which is formed either directly from **114**, or from **116**, or possibly from the *N/O* Cope product **115**.

The most important competition in this sequence is between the 6π cyclization process, affording the 2-acylpyrroles **123**, and the Wolff rearrangement—producing pyridones **124** via ketenes **120**. The product distribution



SCHEME 35



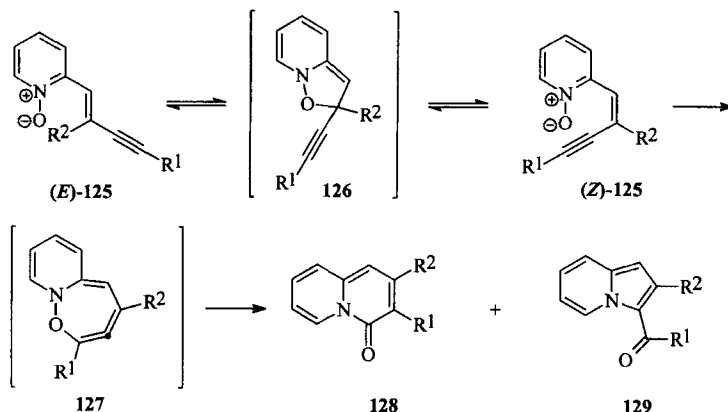
SCHEME 36

in all cases is related to the migratory aptitude of R^1 (Table III). The occurrence of the two minor products **121** and **122** was explained by the involvement of the carbene intermediate **118** (Scheme 36).

The same reaction course was observed using different cyclic analogs of **113**. The α -substituted pyridine *N*-oxides **125**, a special class of nitrones,

TABLE III
PRODUCT DISTRIBUTION
IN REACTION OF NITRONES **113**

| | 121 | 122 | 123 | 124 |
|---------------------------------|------------|------------|------------|------------|
| $R = R^1 = \text{'Bu}$ | — | — | 90% | — |
| $R = R^1 = \text{Me}$ | 5% | 5% | 33% | 33% |
| $R = \text{Me}; R^1 = \text{H}$ | — | — | — | 78% |



SCHEME 37

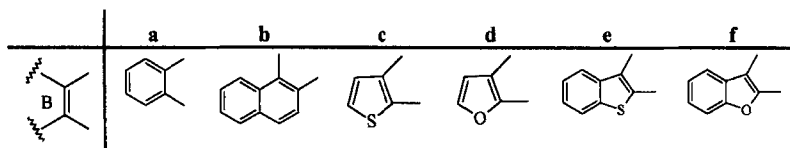
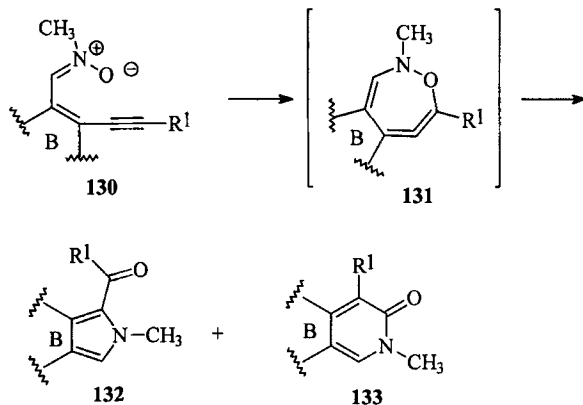
gave at 380°C quinolizines **128** and indolizines **129**, both of which form the common core structures of natural products (Scheme 37). The *(E)*-**125** and *(Z)*-**125** precursors reacted with the same efficiency to give the cycloallene **127**. Isoxazolidine **126**, formed by reversible 6 π -electrocyclization, has been proposed as a relay species (89TL5591).

Aromatic and heteroaromatic rings can participate effectively in the 8 π electrocyclization of nitrones. Upon thermal activation, the benzo derivative **130a** did not produce the expected products (92TL61). The conversion of the other derivatives **130b–f** at 420°C did, however, give the annulated pyrrole **132** and pyridone **133** derivatives, via the intermediates **131**, along with some minor by-products (Scheme 38) (94CB247).

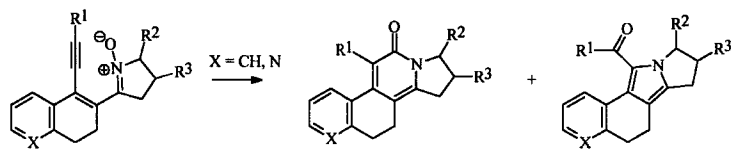
The same experimental technique has been used as a synthetic approach to 13-azasteroids and C-nor-13-azasteroids, along with their 4,13-diaza analogs (Scheme 39) (96LA1855).

D. CARBONYL YLIDES

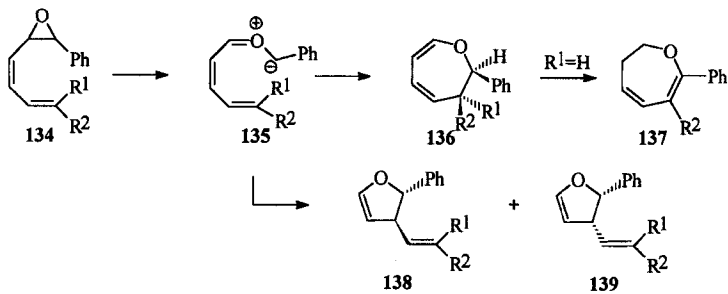
The 1,7-electrocyclization of conjugated carbonyl ylides **135** (derived from the corresponding oxiranes **134** by thermal ring opening) to oxepines **136** takes place concurrently with the 6 π process, which yields vinylfuranes **138** and **139** (Scheme 40) (79TL4049, 79TL4649; 81CB2979; 85CB4035). The primary 1,7-electrocyclization products **136** are not isolable—they rearrange to the more stable isomers **137**. In one case **136** was captured in a hetero Diels–Alder reaction—the stereochemistry of this cycloadduct showing that the 1,7-electrocyclic process proceeds in a conrotatory manner (81CB2979).



SCHEME 38



SCHEME 39



SCHEME 40

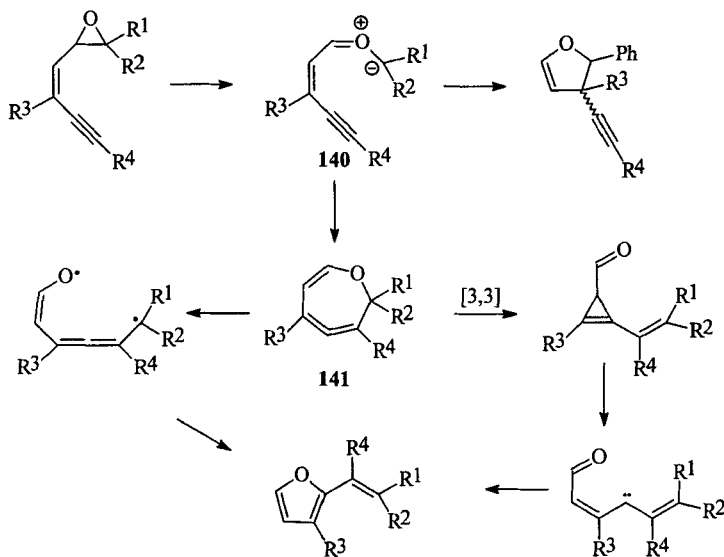
The intramolecular rearrangement of a range of variously substituted carbonyl ylides **140**, under short-time pyrolysis conditions, is the subject of a series of papers (Scheme 41). In these cases, the 1,5-electrocyclic process usually represents only a very minor pathway. The intramolecular participation of the acetylenic bond in the reaction gives rise to the formation of a highly strained unsaturated ring system. The further rearrangement of the seven-membered cycloallene **141** may proceed via one of the pathways summarized in Scheme 41 (84TL2455; 85H2797; 87TL2685).

The intramolecular behavior of a range of annulated $\alpha,\beta,\gamma,\delta$ -unsaturated carbonyl ylides has also been examined (Scheme 42).

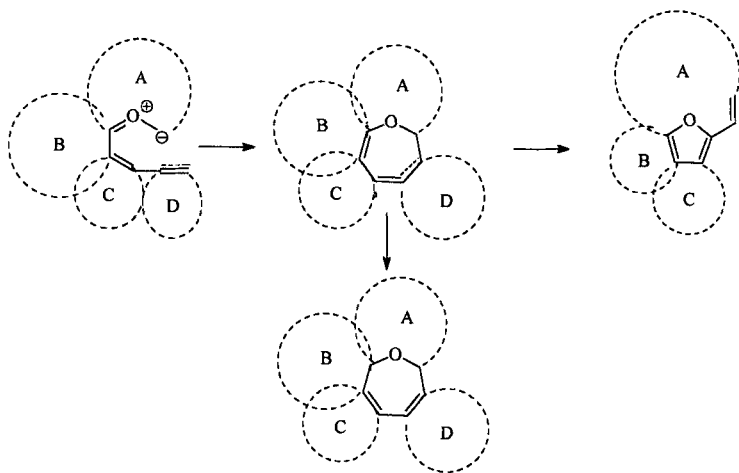
The investigation of *type A* systems, e.g., **142**, led to a new synthetic entry to the basic structure of furanophane natural products **143**. The 1,5-electrocyclization, to give **144**, was again only a minor pathway (Scheme 43) (92TL57).

The 8π -electrocyclization of carbonyl ylides of *type B*, e.g., the ylide derived from oxirane **145**, was less favored than the 6π electrocyclization to yield a mixture of three compounds **146** and isomers **147** (in a ratio of 3:7). The annulated vinylfuran derivative **147** formed as mixture of isomers (*cis-trans* 4:3) while the stereochemistry of the single isomer of **146** has been established by X-ray analysis of the Diels–Alder adduct (Scheme 44) [81TLC194953; 85CB4035].

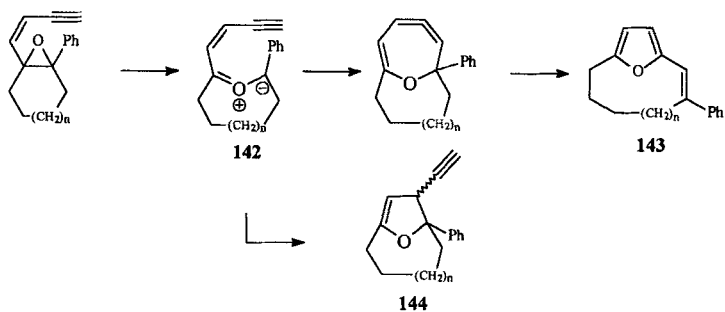
In the case of *type C*—the carbonyl ylides derived from oxiranes **148** ($n = 1-4$)—the effect of annulated cycloalkyl and aromatic rings has also



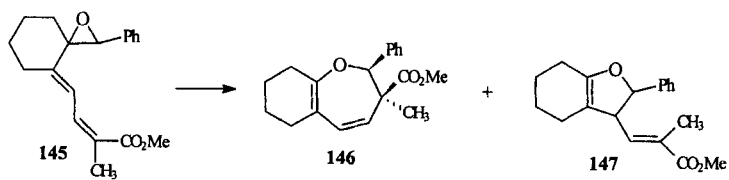
SCHEME 41



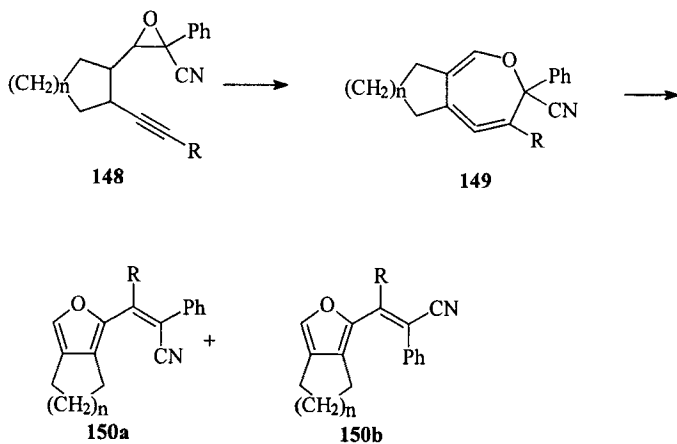
SCHEME 42



SCHEME 43



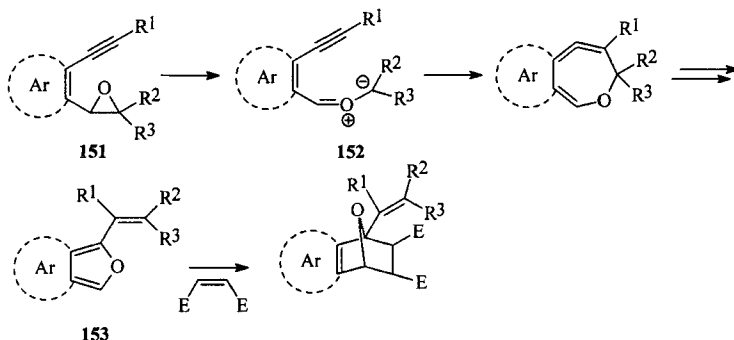
SCHEME 44



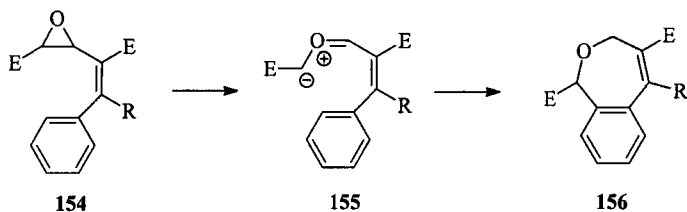
SCHEME 45

been examined. A surprisingly high periselectivity toward the 1,7-ring closure of these extended dipoles to give the cycloallenes **149** was observed, leading subsequently to vinylfurans **150a,b** (Scheme 45). This selectivity, compared to that of the ring closure of butadienyl carbonyl ylides **145**, was explained by reference to the special bonding situation in the acetylenic unit. The two orthogonal π -bonds not only compensate, but exceed, the geometrical disadvantage caused by the 180° bond angle which increases the distance of the terminal reaction centers (86T2221).

The annulated ring in *type C* carbonyl ylides **152**, generated from oxiranes **151**, can be heteroaromatic (furo- or thieno-), and the products **153** have good Diels–Alder reactivity, functioning as *o*-quinodimethane heteroanalogs (Scheme 46) [88AG(E)568; 93CB975].



SCHEME 46

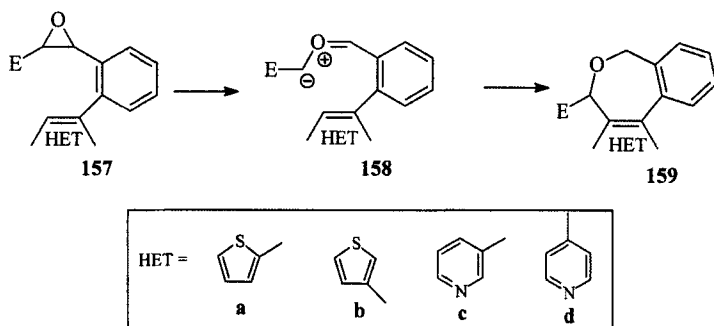


SCHEME 47

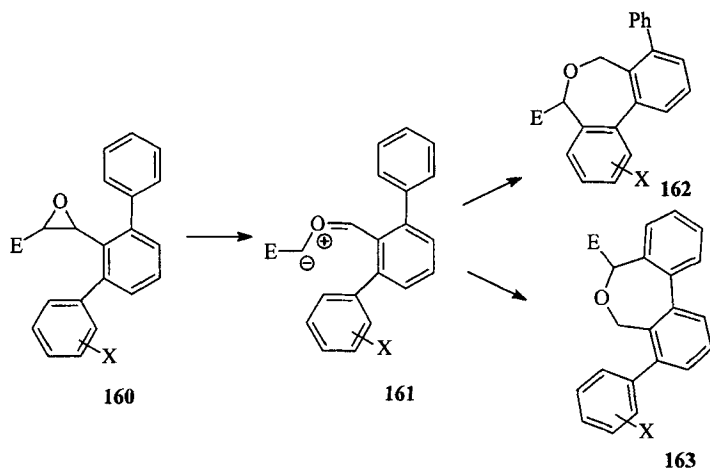
(*Z*)-Styryloxiranes **154** undergo a thermal ring expansion reaction to give carbonyl ylides **155**, which cyclize to 2,7-dihydro-3,4-benzoxepines **156** (Scheme 47) (79TL4049; 85CB4035).

Diene systems of the type **158** have been studied by O'Shea and Sharp [96JCS(P1)515]. The oxiranes **157** were subjected to flash vacuum pyrolysis at 625°C, to yield some new hetero-fused dihydrobenzoxepines **159**. This 1,7-electrocyclization of carbonyl ylides **158**, irrespective of whether the heterocyclic ring under attack is electron rich or electron poor, parallels the cyclization of the analogous nitrile ylides (Scheme 48).

Finally, a series of reactions has been carried out, generating conjugated carbonyl ylides of the type **161** from the oxiranes **160** in order to observe the competition between the cyclization onto the substituted and unsubstituted aromatic rings (Scheme 49) [97JCS(P1)3025]. The relative reactivity of the two groups was determined by measuring the product ratio **162/163**. As was the case in similar studies on nitrile ylides, the order of reactivity was olefin > thiophene > benzene, and para substituents were always activating, favoring the formation of benzoxepine **162**. A major difference, however, was the case of meta substituents, which were either moderately activating (3,5-dichloro or 3-nitro), or deactivating [3,5-dimethyl or 3,5-bis(trifluoromethyl)], favoring the formation of **163**.



SCHEME 48



SCHEME 49

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72JOC2980
73CB2870
73JCS(P1)2543
73TL1835
74JCS(CC)373
75JA4682
75CR(C)37
75JCS(P1)102
77JCS(P1)2092
79CRV181
79JCS(P1)1433
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79TL4049
79TL4649
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The Chemistry of 1,2,4-Triazolopyrimidines I: 1,2,4-Triazolo[4,3-*a*]Pyrimidines

MOHAMMED A. E. SHABAN AND ALI E. A. MORGAAN

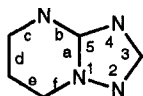
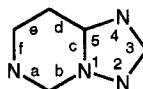
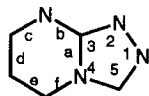
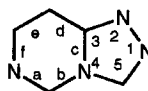
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I. Introduction

Fusion of a 1,2,4-triazolo ring onto a pyrimidine nucleus to form 1,2,4-triazolopyrimidine systems may take place in four different modes that lead to the following isomeric structures, all of which possess a nitrogen bridgehead (alternative non-IUPAC nomenclatures are also given):

- (i) 1,2,4-Triazolo[1,5-*a*]pyrimidines (1,3,3a,7-tetraazaindenes; 1,3,4-triazaindolizines) (**1**)
- (ii) 1,2,4-Triazolo[1,5-*c*]pyrimidines (1,3,3a,5-tetraazaindenes; 1,3,6-triazaindolizines) (**2**)
- (iii) 1,2,4-Triazolo[4,3-*a*]pyrimidines (1,2,3a,7-tetraazaindenes; 2,3,4-triazaindolizines) (**3**)
- (iv) 1,2,4-Triazolo[4,3-*c*]pyrimidines (1,2,3a,5-tetraazaindenes; 2,3,6-triazaindolizines) (**4**)

**1****2****3****4**

The chemistry of 1,2,4-triazolo[1,5-*a*]pyrimidines (**1**) has been comprehensively reviewed [93AHC(57)81] and the syntheses of 1,2,4-triazolo[4,3-*a*] and [4,3-*c*]pyrimidines were briefly surveyed [77HC(30)179; 90AHC(49)277; 91AHC(52)1].

We plan now reviews of the chemistry of the other three permutations **2**, **3**, and **4**. The present chapter surveys the chemistry of 1,2,4-triazolo[4,3-*a*]pyrimidines (**2**) and is subdivided into four major sections: synthesis, reactions, spectral properties, and applications of the title compounds. The literature has been scrutinized up to issue number 7, Volume **128** (1988), of *Chemical Abstracts*.

II. Synthesis

Many efforts have been directed toward the synthesis of 1,2,4-triazolo[4,3-*a*]pyrimidines, not only because of academic interests, but also because of their diverse applications. Synthesis of these compounds has usually been performed according to the following general strategies:

- (i) Annulation of the 1,2,4-triazole ring onto a pyrimidine foundation
- (ii) Annulation of the pyrimidine ring onto a 1,2,4-triazole foundation
- (iii) Rearrangement of 1,2,4-triazolo[1,5-*a*]pyrimidines
- (iv) Rearrangement of pyrimido[1,2-*b*]1,2,4,5-tetrazines

A. SYNTHESIS BY ANNULATION OF THE 1,2,4-TRIAZOLE RING ONTO A PYRIMIDINE

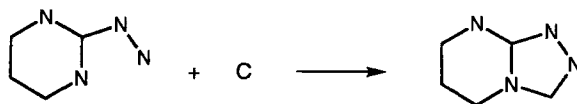
Reaction pathways devised to accomplish such an approach included the following:

- (i) Heterocyclization of pyrimidine derivatives having a two-nitrogen appendage attached to C2 (2-hydrazinopyrimidines) by reaction with one-carbon fragments (Scheme 1)
- (ii) Heterocyclization of 1(3),2-unsubstituted pyrimidines by reaction with fragments containing one carbon and two contiguous nitrogens (Scheme 2)
- (iii) Heterocyclization of pyrimidines carrying a good leaving group at C2 by reaction with fragments containing one carbon and two contiguous nitrogens (e.g., acylhydrazines, thioacylhydrazines, or tetrazoles) (Scheme 3)
- (iv) Heterocyclization of 1-alkoxycarbonylpyrimidines carrying a good leaving group at C2 by reaction with compounds containing two contiguous nitrogens (hydrazine and its derivatives) (Scheme 4)

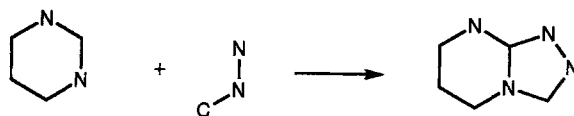
1. *Cyclization of 2-Hydrazinopyrimidines by Reaction with One-Carbon Fragments*

Structures of the cyclization products of this reaction depend upon whether the starting 2-hydrazinopyrimidine is symmetrically substituted, unsymmetrically substituted, or blocked at either of the pyrimidine ring nitrogens.

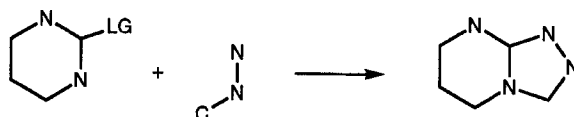
a. *Cyclization of Symmetrically Substituted 2-Hydrazinopyrimidines.* In the presence of oxygen, hydrazones (6) derived from aromatic aldehydes and symmetrically substituted 2-hydrazinopyrimidines (5) undergo photosensitized autooxidative cyclization [77CPB3137, 77H(6)423] or chemical oxidative cyclization with lead tetraacetate [57JCS727; 60YZ956; 71GEP(O)2004713; 77AJC2515, 77CPB3137, 77H(6)423; 81MI1] to give the corresponding 1,2,4-triazolo[4,3-*a*]pyrimidines 7 (Scheme 5). 3-Substituted 1,2,4-triazolo[4,3-*a*]pyrimidines (7) were also obtained by cyclocondensation of symmetrically substituted 2-hydrazinopyrimidines (5)



SCHEME 1

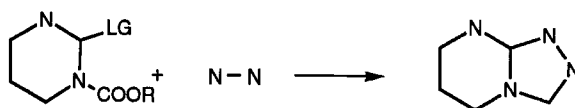


SCHEME 2



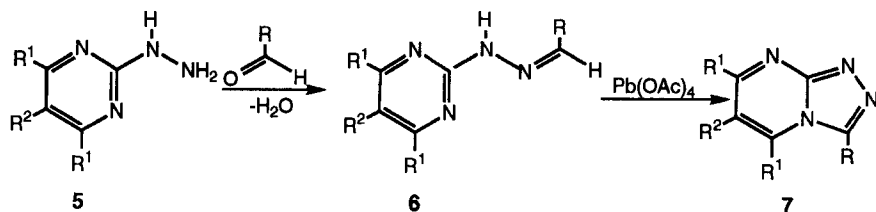
LG = leaving group

SCHEME 3

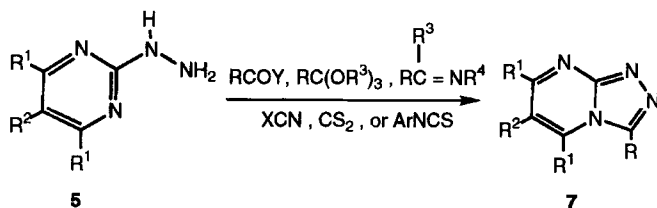


LG = leaving group

SCHEME 4

R=Ph, 4-ClC₆H₄, 4-BrC₆H₄, 3- or 4-NO₂C₆H₄, 2,6- or 2,4-Cl₂C₆H₃, or 5-nitrofuryl

SCHEME 5



$\text{X}=\text{Cl}$ or Br ; $\text{Y}=\text{OH}$, Cl , or RCOO ; $\text{R}=\text{H}$, alkyl, aryl, PhCH_2 , CH_2COOEt , or $\text{CH}_2\text{CONMe}_2$; $\text{R}^3=\text{Et}$ or OEt ; $\text{R}^4=\text{H}$ or CN .

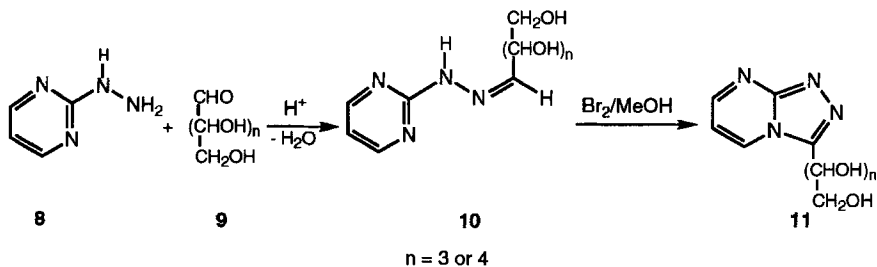
SCHEME 6

with various monocarboxylic acids (59JAP59/3326, 59YZ903; 71ZC422; 94PHA27), acid chlorides (94PHA27), acid anhydrides (71ZC422; 94PHA27), orthoesters [59YZ903; 60JCS1829; 66JHC269; 77AJC2515], acid imidates [67JCS(C)498; 73KGS423], cyanogen halides [66CB2237, 66JCS(C)2031; 70PHA460; 80UKZ835], carbon disulfide [57JCS727; 58JAP58/8072; 60JCS1829, 60YZ1542; 75JHC1187; 78AJC397; 81MI1], phosgene [88JCS(P1)351], or phenyl isothiocyanate (94PHA27) (Scheme 6).

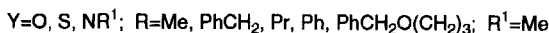
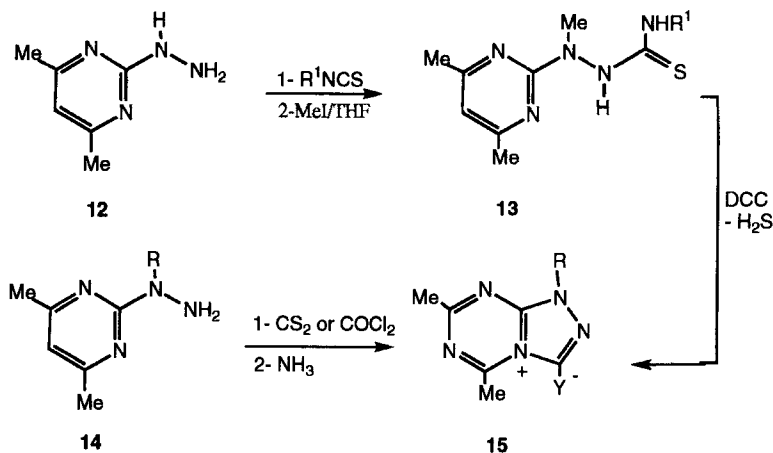
Hydrazone **10** derived from aldose monosaccharides (**9**) and 2-hydrazinopyrimidine (**8**) gave, upon oxidative cyclization with bromine in methanol, the corresponding 3-(alditol-1-yl)-1,2,4-triazolo[4,3-a]pyrimidines **11** [97JHC(34)1115] (Scheme 7).

A number of mesoionic 1,2,4-triazolo[4,3-a]pyrimidines (**15**) were obtained upon desulfurization of 1-methyl-1-(4,6-dimethylpyrimidin-2-yl)thiosemicarbazides (**13**) with dicyclohexylcarbodiimide (DCC) [88JCS(CC)506; 93JCS(P1)705] or by cyclization of 1-alkyl-1-(4,6-dimethylpyrimidin-2-yl)hydrazines (**14**) with carbon disulfide or phosgene [88JCS(P1)351] (Scheme 8).

b. *Cyclization of N1(3)-Blocked 2-Hydrazinopyrimidines.* Hydrazone (**17**) derived from aromatic aldehydes and N3-substituted 2-hydrazino-



SCHEME 7

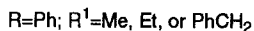
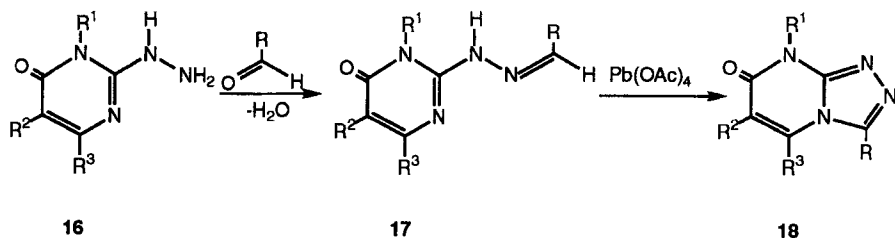


SCHEME 8

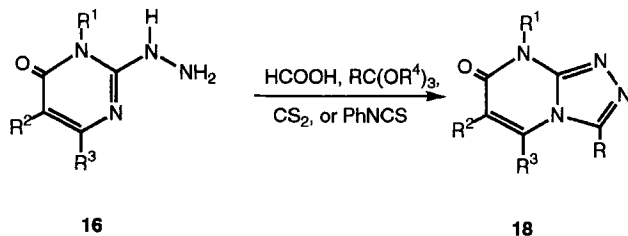
pyrimidin-4-ones (**16**) possess only N1 to cyclize upon oxidative cyclization to give a single product, namely the 3-aryl-8-substituted-1,2,4-triazolo[4,3-a]pyrimidin-7-ones (**18**) [60YZ956; 67JCS(C)498; 90MI1] (Scheme 9).

N1(3)-substituted 2-hydrazinopyrimidines (**16**) have also been cyclocondensed with formic acid (58YZ1395; 59JAP59/3326; 60JOC361, 60YZ956; 84JHC1307; 87LA797; 90MI1, 90MI2), triethyl orthoformate (60JOC361, 60YZ956; 87JOC2220), carbon disulfide (58JAP58/8072; 60YZ1542; 90MI1, 90MI2), or phenyl isothiocyanate (60JOC361) to provide (**18**) (Scheme 10).

c. *Cyclization of Unsymmetrically Substituted 2-Hydrazinopyrimidines.* Oxidative cyclization of the unsymmetrically substituted 2-arylidenehydrazino-6-methylpyrimidin-4-one (**19**) with lead tetraacetate may, theoretic-

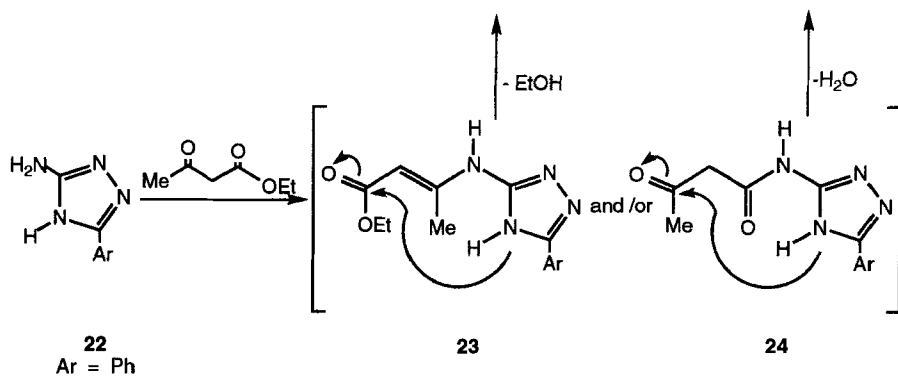
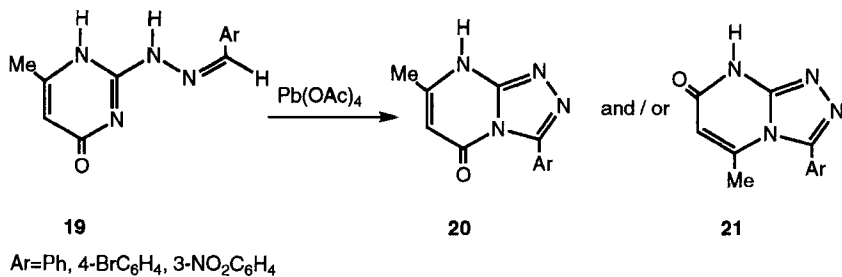


SCHEME 9

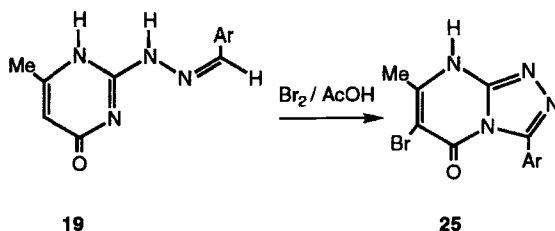


SCHEME 10

cally, afford 3-aryl-7-methyl-1,2,4-triazolo[4,3-*a*]pyrimidin-5-one (**20**) or 3-aryl-5-methyl-1,2,4-triazolo[4,3-*a*]pyrimidin-7-one (**21**) or a mixture of both. Practically, however, the reaction furnished only one product, which was assigned structure **20** by Bower and Doyle (57JCS727) and **21** by Allen *et al.* (60JOC361). The latter authors rationalized their conclusion on the basis of obtaining **21** also from the reaction of 3-amino-5-aryl-1,2,4-triazole (**22**) with ethyl acetoacetate. Evidently, this rationale is irrelevant since the last reaction may yield either **20** or **21** (60JOC361) (Scheme 11). Oxidative cyclization of 2-(4-methoxybenzylidenehydrazino)-6-methylpyrimidin-4-one (**19**, Ar = 4-MeOC₆H₄) with bromine in acetic acid took place



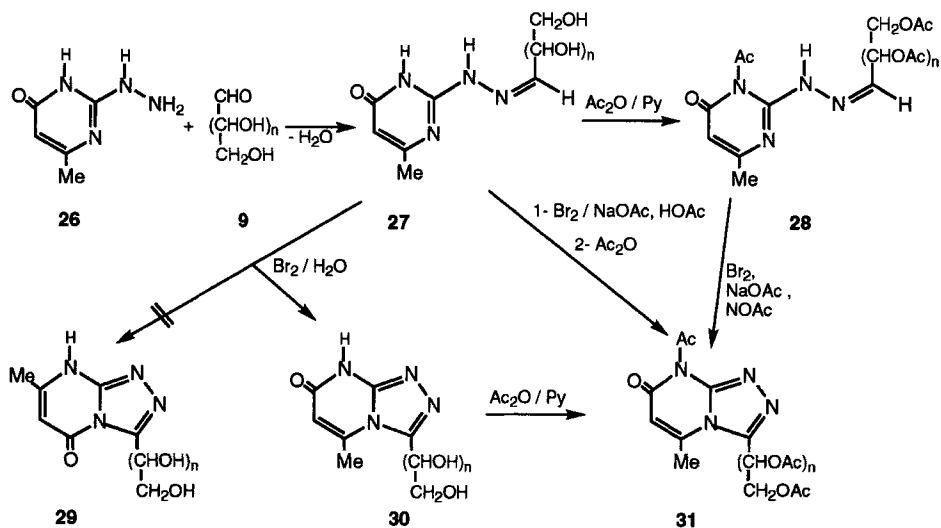
SCHEME 11



SCHEME 12

with concomitant bromination of the pyrimidine ring to form 6-bromo-3-(4-methoxyphenyl)-7-methyl-1,2,4-triazolo[4,3-*a*]pyrimidin-5-one (**25**) (92PS145) (Scheme 12).

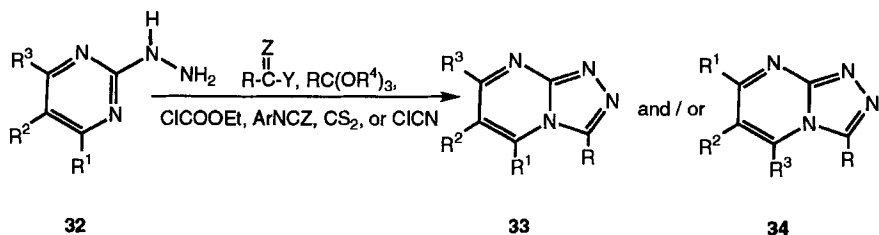
A number of 3-(alditol-1-yl)-5-methyl-7-oxo-1,2,4-triazolo[4,3-*a*]pyrimidines [1,2,4-triazolo[4,3-*a*]pyrimidines acyclo *C*-nucleosides] (**30**) were synthesized (95PHA784) by oxidative cyclization of the corresponding *aldehydo*-sugar pyrimidin-2-ylhydrazones **27** with bromine in water. The alternative structure **29** was eliminated based on finding that acetylation of **30** afforded the same acetylated acyclo *C*-nucleosides **31** as those obtained by oxidative cyclization of the (*N*3-acetyl-poly-*O*-acetyl)hydrazones **28**. Compounds **31** were also obtained by one-pot oxidative cyclization and acetylation of **27**. In contrast to the oxidation and concurrent bromination of **19** to **25**, it was possible to avoid nuclear bromination of **27** and **28** by performing the reaction in the absence of light (Scheme 13).



SCHEME 13

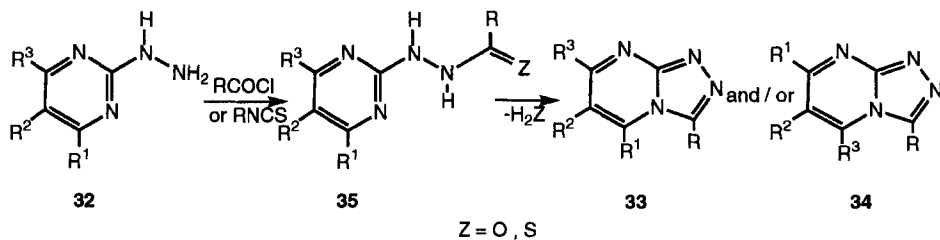
Extensively studied was the cyclocondensation of unsymmetrically substituted 2-hydrazinopyrimidines (**32**) with a variety of one-carbon cyclizing acid derivatives, namely: carboxylic acids [53CB1401; 57BEP561108; 58USP2852375, 58YZ1395; 59JOC787; 60YZ952, 60YZ956; 62BSF355, 62FRP1308696; 63ZOB2673; 78MIP1; 86JCS(P1)711; 95JIC181; 96JHC229], acid chlorides [57JCS727, 57BEP561108; 58USP2852375; 59JOC793; 86JCS(P1)711; 87JHC1605; 95MI1], acid anhydrides [59YZ899; 68ZC421; 71MI1; 86JCS(P1)711; 95MI1], acid imidates [67JCS(C)498], esters (60JOC361; 62BSF355), orthoesters [59JOC787, 59JOC793, 59YZ1482, 59YZ1487; 60JOC361, 60YZ952; 66JHC269; 77AJC2515; 80JHC1479, 80USP4209621; 85FRP2549834; 86H(24)1899; 93IJC(B)449], aryl isothiocyanates [58BEP570978; 59JOC787; 60USP2956876, 60YZ1542; 77GEP(0)2533120; 95MI2], ethyl dithiolates [89H(28)239, 89MI1], ethyl chloroformate (60YZ1542; 95MI1), carbon disulfide [57BRP874204, 57JCS727; 58JAP58/8072, 58USP2861076; 60YZ1542; 83ZN(B)1686; 92PS145], cyanogen chloride [66JCS(C)2031], or dimethylformamide (59JOC787). These cyclizations were reported to yield either of the two isomeric 1,2,4-triazolo[4,3-*a*]pyrimidines **33** [53CB1401; 57BRP874204, 57JCS727; 58JAP58/8072; 59JAP59/3326, 59JOC787, 59JOC793, 59YZ899; 60YZ952, 60YZ956, 60YZ1542; 63ZOB2673; 68ZC421; 85FRP2549834; 86JCS(P1)711; 89MI1; 92PS145; 96JHC229], or **34** (57JCS727, 57BEP561108; 58BEP570978, 58USP2852375; 59JOC787; 60JOC361, 60USP2956876, 60YZ1542; 62BSF355; 71MI1; 95PS145), in which the C5 and C7 substituents are transposed, or a mixture of both [57BRP874204; 58USP2861076, 58YZ1395; 59JAP59/3326, 59JOC787, 59JOC793, 59YZ1482, 59YZ1487; 60YZ1542; 62BSF355, 62FRP1308696; 66JCS(C)2031, 66JHC269; 89H(28)239] (Scheme 14).

The hydrazides or thiohydrazides **35**, formed as intermediates in the aforementioned reaction, have frequently been isolated, which could then be cyclized to **33** and/or **34** [57JCS727; 59JOC793; 60YZ1542; 86JCS(P1)711; 87JHC1605; 95MI2] (Scheme 15).



Y=OH, Cl, OCOR, OR, NMe₂, or SR, Z=O, S

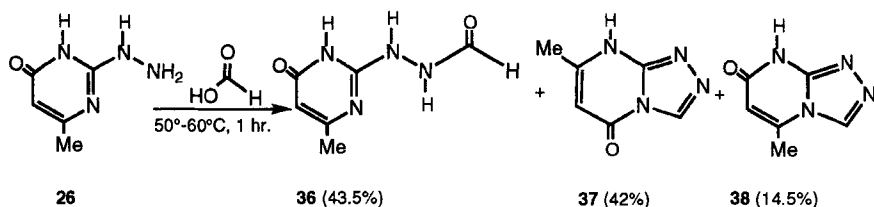
SCHEME 14



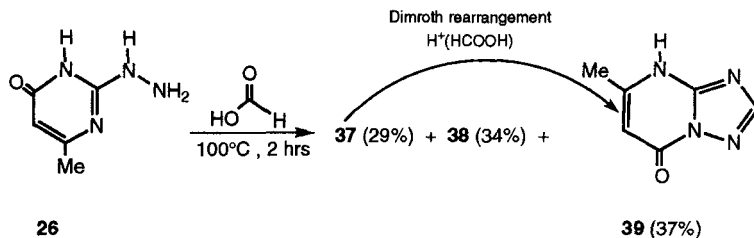
SCHEME 15

Cyclization of 2-hydrazino-6-methylpyrimidin-4-one (**26**) with formic acid represents an interesting case; mixtures of products were obtained which varied in number, structures, and relative amounts depending upon the reaction conditions (time, temperature, and pH) (53CB1401; 57BEP561108; 58USP2852375, 58YZ1395; 59JAP59/3326, 59JOC787; 62BSF355; 78MIP1, 78T2927). Results published before 1978 were not in harmony because of the dependence, mainly, on UV and IR measurements to elucidate the structures of the isomeric products: techniques which are not always foolproof. In 1978, La Noce and Giuliani studied this reaction in detail and measured the ^1H NMR characteristic data of each isomer (78T2927). They carried out the reaction of **26** with formic acid at 50–60°C for 1 hour and obtained a mixture of the formylhydrazone **36**, (43.5%), 7-methyl-5-oxo-1,2,4-triazolo[4,3-*a*]pyrimidine (**37**, 42%), and 5-methyl-7-oxo-1,2,4-triazolo[4,3-*a*]pyrimidine (**38**, 14.5%) (78T2927). Compounds **37** and **38** were formed as a result of dehydrocyclization of hydrazone **36** through nucleophilic attack of the pyrimidine N1 or N3, respectively, onto the formylhydrazino carbonyl carbon (Scheme 16). When this reaction was performed at 100°C for 2 hours, the isolated products were **37** (29%), **38** (34%), and 7-methyl-5-oxo-1,2,4-triazolo[1,5-*a*]pyrimidine (**39**, 37%) (78T2927). The last was formed as a result of acid-induced Dimroth-like rearrangement of **37** (Scheme 17).

Longer reaction time (12 hours) in the presence of excessive amounts of



SCHEME 16



SCHEME 17

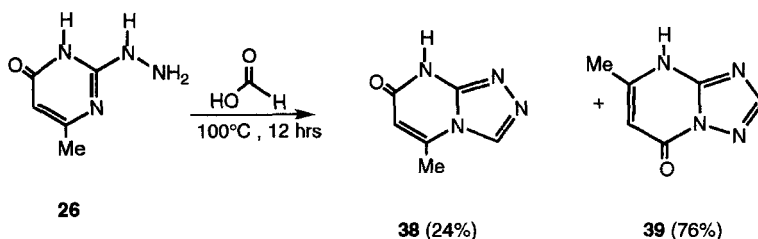
formic acid gave **38** (24%) and **39** (76%). Under such reaction conditions, **37** completely isomerized to **39** while **38** did not (78T2927) (Scheme 18).

2. Heterocyclization of 1(3),2-Unsubstituted Pyrimidines by Reaction with Fragments Containing One Carbon and Two Contiguous Nitrogens

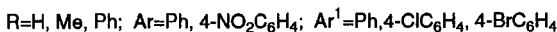
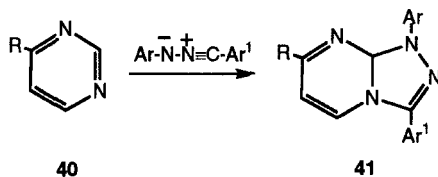
1,3-Dipolar cycloaddition of diarylnitrileimines, obtained from the reaction of *N*-aryl-4-substituted benzhydrazonoyl chlorides with triethylamine, onto pyrimidines (**40**), afforded the corresponding 1,3-diaryl-1,8a-dihydro-1,2,4-triazolo[4,3-*a*]pyrimidines (**41**) (94LA1005) (Scheme 19).

3. Heterocyclization of Pyrimidines having a Good Leaving Group at C2 by Reaction with Fragments Containing One Carbon and Two Contiguous Nitrogens

a. *Cyclization of 2-Mercaptopyrimidines by Reaction with Acylhydrazines.* Cyclocondensation of 2-mercapto- (91MI1; 93RRC701; 95JIC181, 95MI3) or 2-alkylmercaptopyrimidines (**42**) (88SUL203; 96PS67) with acid hydrazides (91MI1; 93RRC701; 95JIC181), semicarbazide (88SUL203), thiosemicarbazide (96PS67), or hydrazonoyl bromides (95MI3) afforded

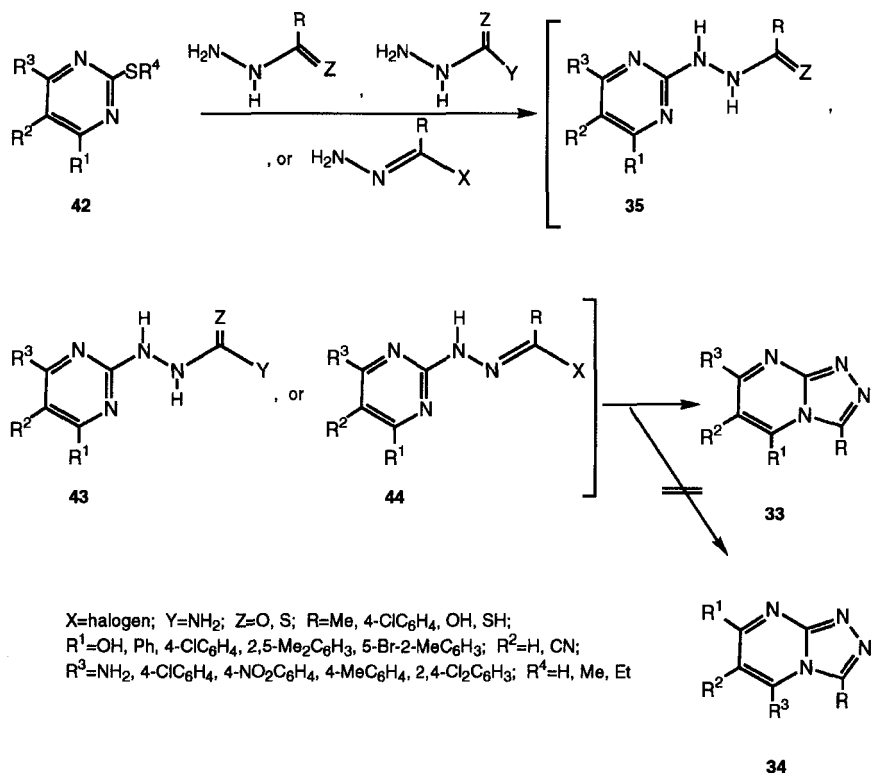


SCHEME 18

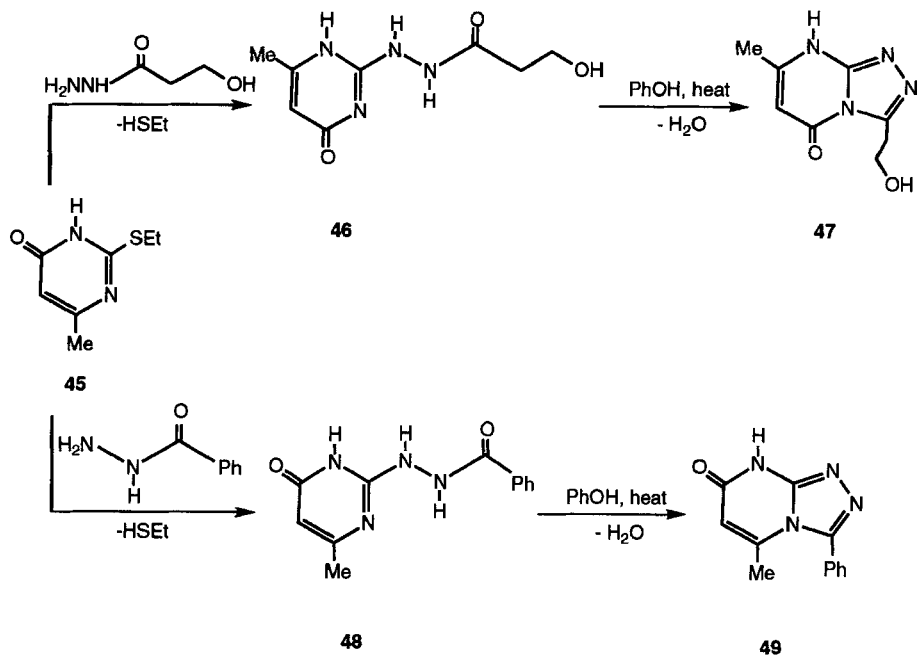


SCHEME 19

the 3-substituted-1,2,4-triazolo[4,3-*a*]pyrimidines **33** (Scheme 20). It seems that the utilized acid hydrazide exerts a directive influence during this cyclization. Thus, whereas N3 of 2-ethylmercapto-6-methylpyrimidin-4-one (**45**) was the cyclization site when 3-hydroxypropanoylhydrazine was utilized to give 3-(β -hydroxyethyl)-7-methyl-1,2,4-triazolo[4,3-*a*]pyrimidin-5-



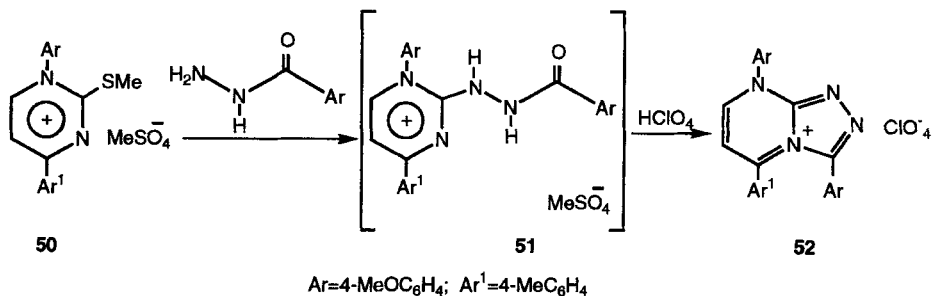
SCHEME 20



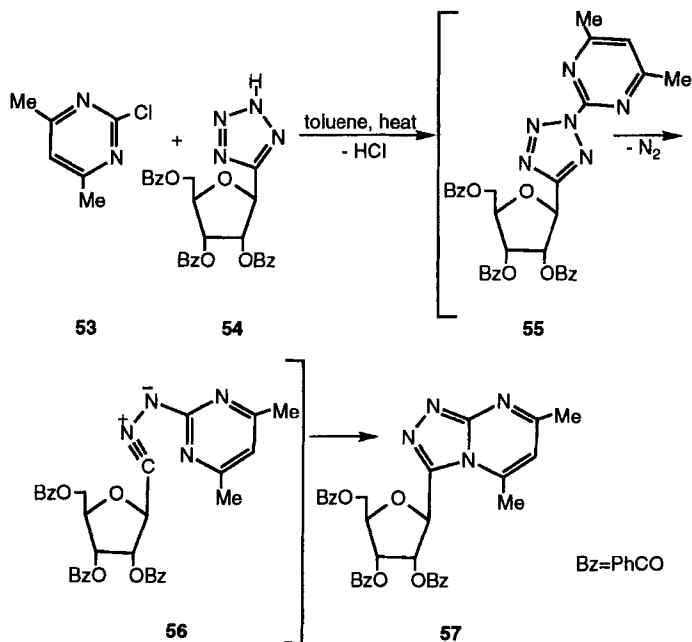
SCHEME 21

one (**47**) (59JOC793), cyclization with benzoylhydrazine took place at N1 to give 5-methyl-3-phenyl-1,2,4-triazolo[4,3-*a*]pyrimidin-7-one (**49**) (60JOC 361) (Scheme 21).

Cyclocondensation of the 1,4-diaryl-2-methylmercaptopyrimidinium methyl sulfate **50** with aroylhydrazines in the presence of perchloric acid gave the 3,5,8-triaryl-1,2,4-triazolo[4,3-*a*]pyrimidinium perchlorates **52** [81GEP(D)147944] (Scheme 22).



SCHEME 22



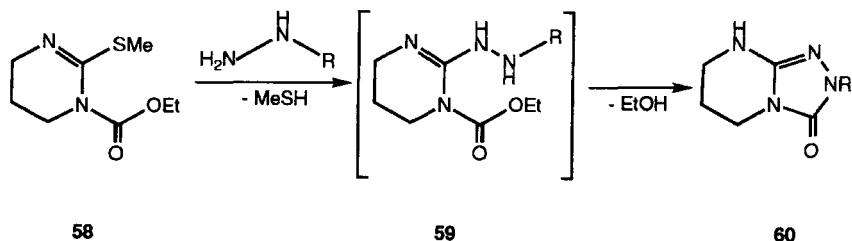
SCHEME 23

b. *Cyclization of 2-Chloropyrimidines by Reaction with Tetrazoles.* 2-Chloro-4,6-dimethylpyrimidine (**53**) reacted with 5-(2,3,5-tri-*O*-benzoyl-β-D-ribofuranosyl)tetrazole (**54**) to give the 3-(2,3,5-tri-*O*-benzoyl-β-D-ribofuranosyl)-5,7-dimethyl-1,2,4-triazolo[4,3-*a*]pyrimidine (**57**). During this reaction, the tetrazole **54** served as a latent 2,5-anhydro-D-allonic acid hydrazide (79MI1) (Scheme 23).

4. *Cyclization of 1-Alkoxy carbonylpyrimidines having a Good Leaving Group at C2 by Reaction with Hydrazine Derivatives*

The 1,2,4-triazole ring of 2,3,5,6,7,8-hexahydro-1,2,4-triazolo[4,3-*a*]pyrimidin-3-ones (**60**) was constructed by cyclocondensation of the 1-ethoxycarbonyl-2-methylthio-1,4,5,6-tetrahydropyrimidine **58** with hydrazine (86JPR331), arylhydrazines [86H(24)93, 86KGS1350; 88JPR753], or thiosemicarbazide (87KGS1540) (Scheme 24).

Hydrazinolysis of the imide and carboxylic ester functions of 1-aryl-oxycarbonyl-1,6-dihydro-2-methoxypyrimidines (**61**) with 1 molar equivalent of hydrazine hydrate culminated in the formation of the 1,2,4-triazolo[4,3-*a*]pyrimidine-3-ones **63** [89GEP(O)3839711] (Scheme 25).



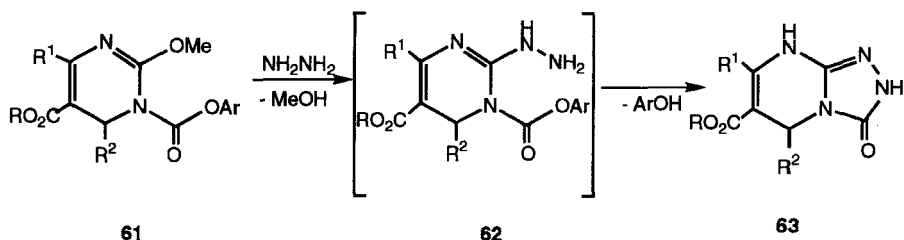
SCHEME 24

B. SYNTHESIS BY ANNULATION OF THE PYRIMIDINE RING ONTO A 1,2,4-TRIAZOLE

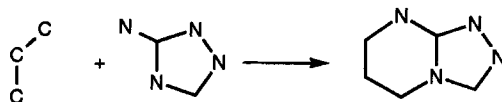
This annulation has invariably been made by reaction of 3-amino-1,2,4-triazole derivatives with three-carbon cyclizing fragments. The three-carbon fragments may be incorporated in one step or in two consecutive steps as schematically represented in Schemes 26 and 27, respectively.

1. Cyclization of 3-Amino-1,2,4-triazoles by Reaction with Appropriately Functionalized Three-Carbon Fragments

Suitably 1,3-bifunctionalized three-carbon fragments are capable of cyclizing 3-amino-1,2,4-triazoles (**64**) in one step to afford 1,2,4-triazolo[4,3-*a*]pyrimidines (**33** and/or **34**). The type of reactions which take place during this process depend on the two functional groups of the three-carbon fragment. Whenever 1,3-dicarbonyl compounds are utilized, such as 1,3-diketones (R^1, R^3 = alkyl or aryl) [73ABC441; 74KGS565; 95JCS(P1)2907], 1,3-ketoesters (R^1 = alkyl or aryl; R^3 = alkoxy) (60JOC361; 61JAP61/14724; 62BSF355; 73ABC441; 88MI1), and 1,3-dicarboxylic esters (R^1 = R^3 = alkoxy) (88MI1), as well as 1,3-alkoxyaldehydes (R^3 = H, Y = alkoxy) (83S44), 1,3-haloketones (R^3 = alkyl, Y = chloro) (74KGS565),



SCHEME 25



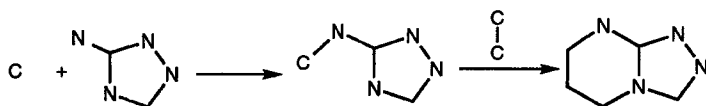
SCHEME 26

1,3-dimethylaminoketones ($R^3 = \text{aryl}$, $Y = \text{NMe}_2$) (89PHA820), and 1,3-haloesters ($R^3 = \text{alkoxy}$, $Y = \text{chloro}$) (53CB1401), the annulation proceeds through cyclocondensation (Scheme 28). In contrast, 2,3-unsaturated carbonyl compounds (70CB3266; 71CB2702, 71CB3961; 87JIC753), 1,2-cyanoesters (73ABC441), and diketene [71GEP(0)2103249] cyclized 3-amino-1,2,4-triazoles (**64**) through consecutive or concurrent addition/condensation to give the possible isomeric 1,2,4-triazolo[4,3-*a*]pyrimidines **33** and/or **34** in which the C5 and C7 substituents are transposed (Scheme 29).

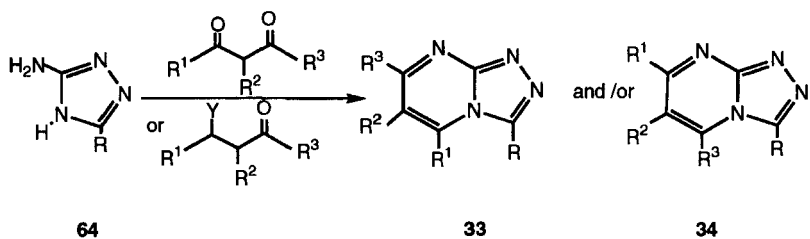
Finally, cyclization of **65** with ethoxymethylene- or 4-methoxybenzylidenmalononitrile gave 1,2,4-triazolo[4,3-*a*]pyrimidines (**66**) and/or 1,2,4-triazolo[1,5-*a*]pyrimidines (**67**) through [3 + 3] cycloaddition [70JPR254; 88IJC(B)478] (Scheme 30).

a. *Cyclization of 3-Amino-1,2,4-Triazoles by Reaction with 1,3-Diketones.* Cyclocondensation of symmetrically substituted 1,3-diketones with 3-amino-5-substituted-1,2,4-triazoles (**64**) produced the expected 3,5,7-trisubstituted-1,2,4-triazolo[4,3-*a*]pyrimidines (**7**) (73ABC441). This reaction has been successfully applied to 3-amino-1-benzyl-1,2,4-triazolium perchlorates (**69**); the products were the 2-benzyl-1,2,4-triazolo[4,3-*a*]pyrimidinium perchlorates (**71**) (74KGS565) (Scheme 31).

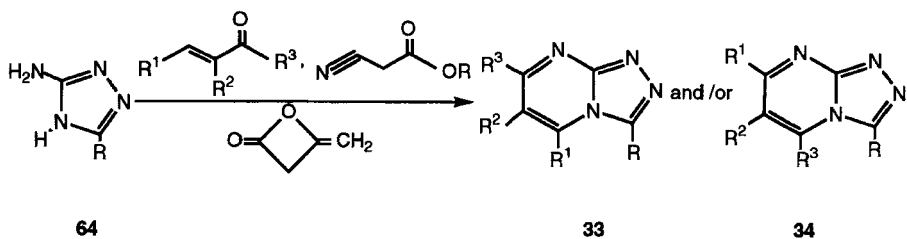
The reaction of unsymmetrically substituted 1,3-diketones such as 5-acetoacetyl-6-methoxy-2,3-diphenylbenzofuran or its 6-acetoacetyl-5-methoxy isomer with 5-amino-2-phenyl-1,2,4-triazol-3-one (**72**) could produce **74** and/or **76**. However, a single product was obtained which was assigned the structure **74** on the basis of spectral properties (88PJS334) (Scheme 32).



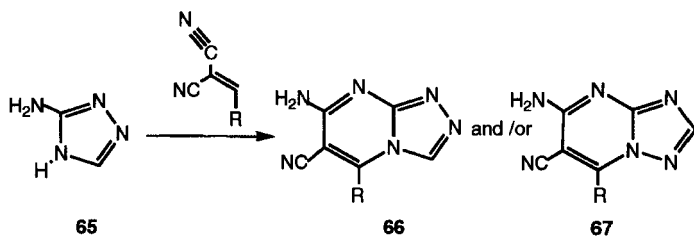
SCHEME 27



SCHEME 28

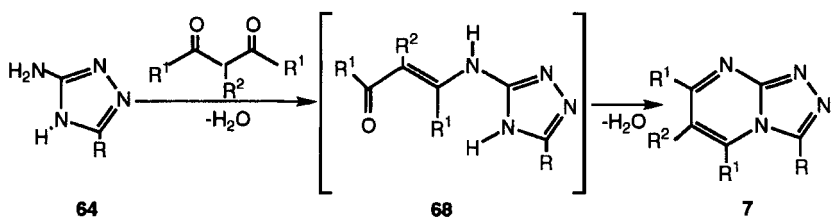


SCHEME 29

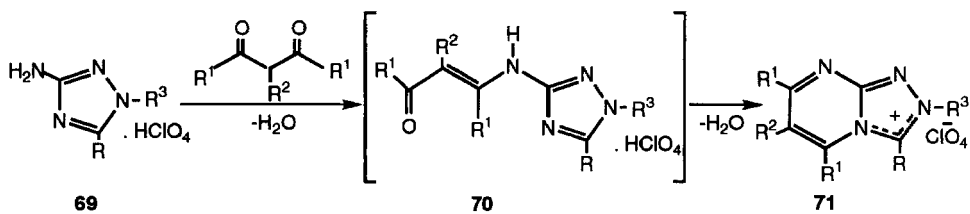


R=OEt, 4-MeOC₆H₄

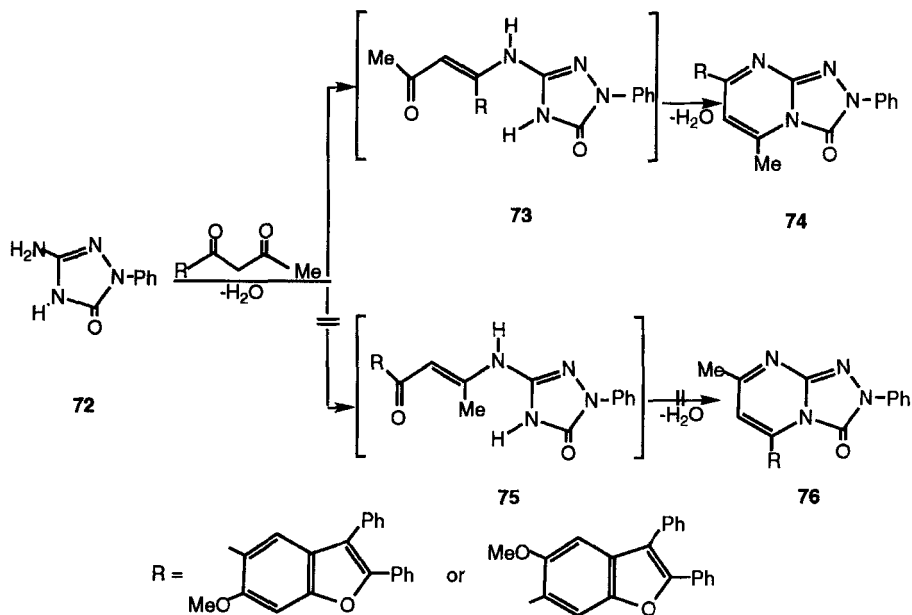
SCHEME 30



R=OH, SH, SCH₂Ph, 4-ClC₆H₃CH₂S, 4-NO₂C₆H₃CH₂S; R¹=Me; R²=H

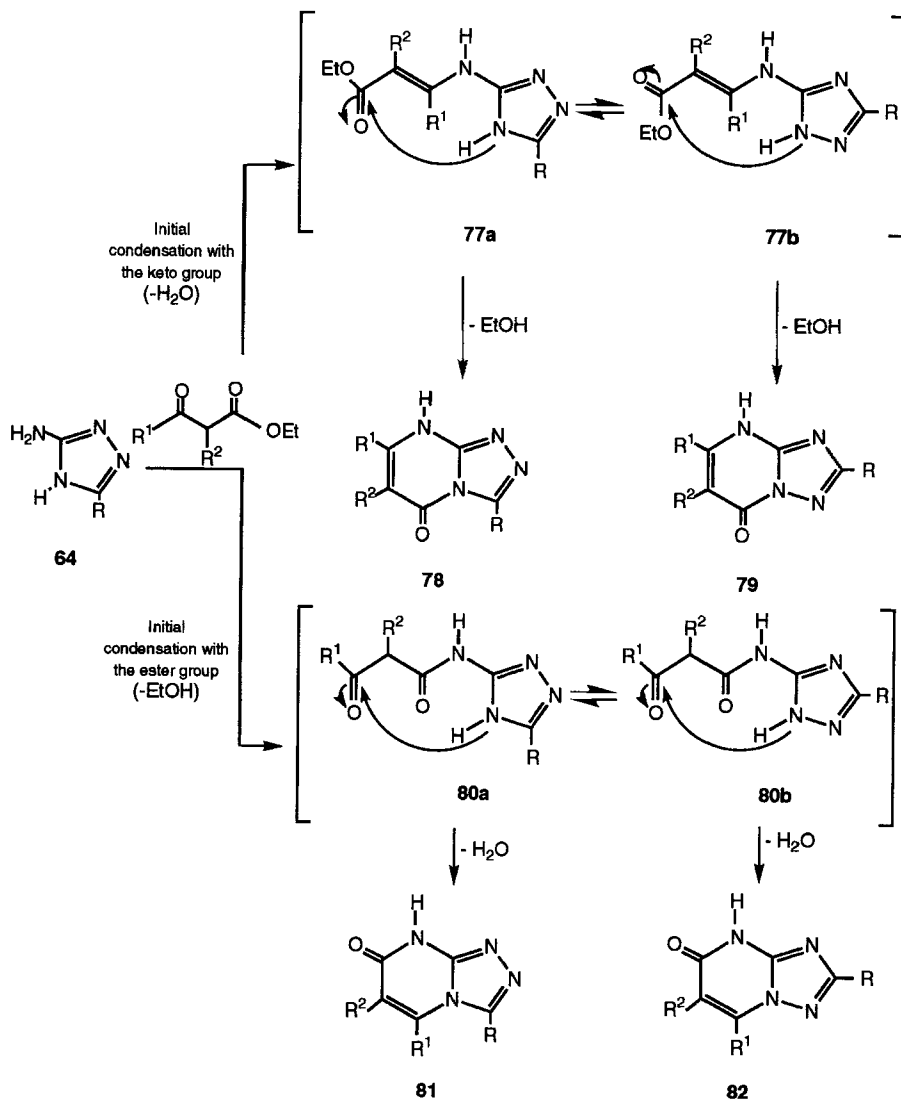


SCHEME 31



SCHEME 32

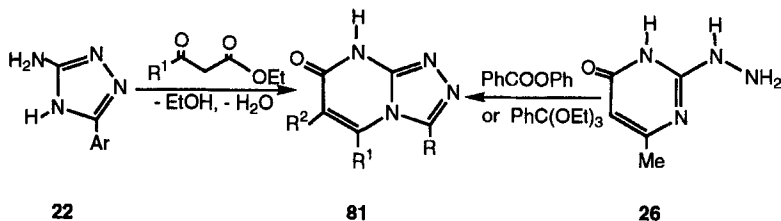
b. *Cyclization of 3-Amino-1,2,4-triazoles by Reaction with 1,3-Ketoesters.* Theoretically, the reaction of 3-amino-1,2,4-triazoles (64) with 1,3-ketoesters may afford the four possible isomeric 1,2,4-triazolopyrimidines 78, 79, 81, and 82. Formation of these isomers may be explained on the basis of whether the amino group of 64 initially condenses with the keto or ester function of the ketoester to produce the enamine (77) or amide (80) intermediates, respectively (59JOC787). Heterocyclization of the enamine intermediates 77a and 77b by nucleophilic attack of the triazole N4 or N1 onto the ester carbonyl would afford 78 and/or 79, respectively. Comparable cyclization of the amide intermediates 80a and 80b would lead to the formation of 81 and 82 (Scheme 33). However, in practice cyclocondensations of 64 with ethyl acetoacetate (73ABC441; 74PHA612; 88MI1), ethyl 2-haloacetoacetate (61JAP61/14724), or ethyl benzoylacetate (88MI1) were found to afford only the corresponding 5-oxo-1,2,4-triazolo[4,3-a]pyrimidine (78). Allen *et al.*, however, assigned the alternative structure, namely, 5-methyl-7-oxo-3-phenyl-1,2,4-triazolo[4,3-a]pyrimidine 81, to the reaction product of 3-amino-5-phenyl-1,2,4-triazole (22) with ethyl acetoacetate (60JOC361). This assignment was based on the result that compound 81 was also obtained by cyclocondensation of 2-hydrazino-6-methylpyrimidin-4-one (26) with phenyl benzoate or triethyl orthobenzoate (60JOC361). It



SCHEME 33

is clear that this evidence is without solid foundation since the three reactions may, theoretically, afford either or both of the two isomeric 1,2,4-triazolo[4,3-*a*] pyrimidines **78** and **81** (Scheme 34).

Libermann and Jacquier isolated two of the four possible isomeric 1,2,4-triazolopyrimidines, namely, 5-oxo-7-substituted-1,2,4-triazolo[4,3-*a*]pyrim-



SCHEME 34

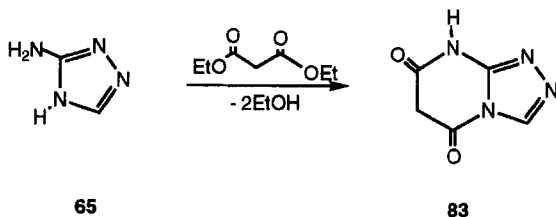
idine (**78**) and 7-oxo-5-substituted-1,2,4-triazolo[1,5-*a*]pyrimidine (**82**), from the reaction of ethyl acetoacetate or ethyl benzoylacetate with 3-amino-5-substituted-1,2,4-triazoles (**64**, R = Me, Ph) (62BSF355).

UV (59JOC779; 61JCS3046; 68T2839) and ¹³C NMR (87T2497) spectra of **78**, **79**, **81**, and **82** were studied.

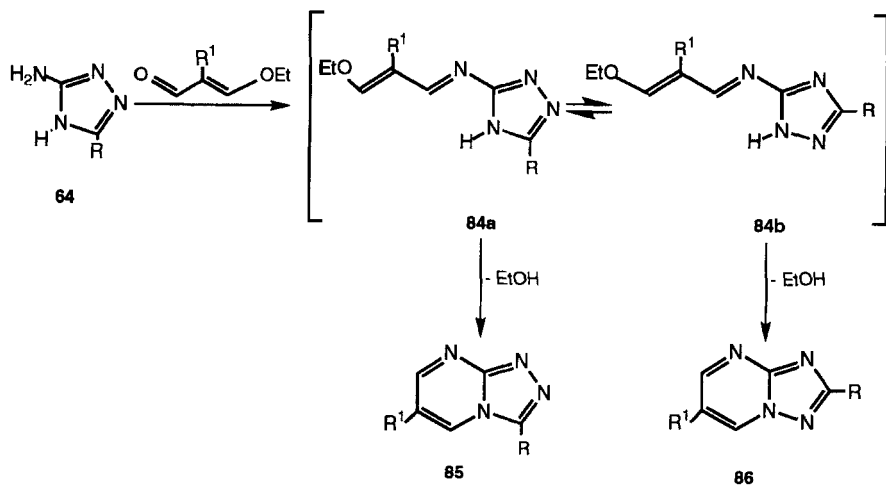
c. *Cyclization of 3-Amino-1,2,4-triazoles by Reaction with Malonic Esters.* Only one report exemplifies this approach, which involved the reaction of diethyl malonate with 3-amino-1,2,4-triazole (**65**) to give the 1,2,4-triazolo[4,3-*a*]pyrimidine-5,7-diones **83** (88MI1) (Scheme 35).

d. *Cyclization of 3-Amino-1,2,4-triazoles by Reaction with 1,3-Ethoxyaldehydes.* One example belonging to this approach was reported; it comprised the reaction of 3-ethoxyacrylaldehydes with **64** to give the two isomeric 1,2,4-triazolo[4,3-*a*] and [1,5-*a*]pyrimidines **85** and **86**, respectively (83S44) (Scheme 36).

e. *Cyclization of 3-Amino-1,2,4-triazoles by Reaction with 1,3-Haloketones.* Golubushina *et al.* assigned the 1-methyl-1,2,4-triazolo[4,3-*a*]pyrimidinium perchlorate structure **87** for the reaction products of methyl-β-chlorovinyl ketones with 1-methyl-3-amino-1,2,4-triazolium perchlorate (**69**) on the basis of ¹H NMR (74KGS565) (Scheme 37).



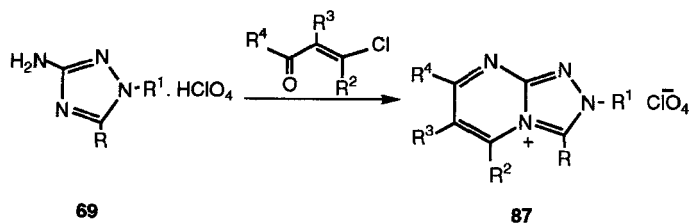
SCHEME 35



SCHEME 36

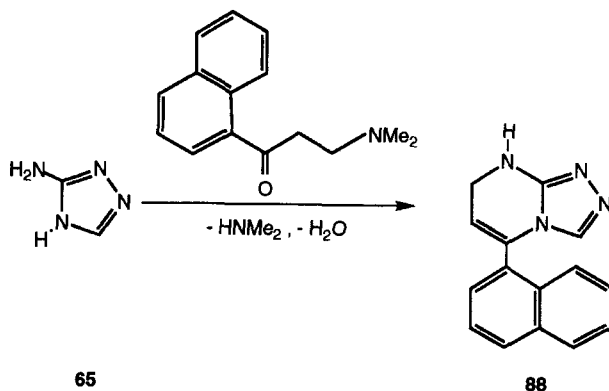
f. *Cyclization of 3-Amino-1,2,4-triazoles by Reaction with 1,3-Dimethylaminoketones.* Condensation of 3-amino-1,2,4-triazole (**65**) with 1-(3-dimethylaminopropionyl)naphthalene yielded the 5-(naphth-1-yl)-7,8-dihydro-1,2,4-triazolo[4,3-*a*]pyrimidine (**88**) (89PHA820) (Scheme 38).

g. *Cyclization of 3-Amino-1,2,4-triazoles by Reaction with 1,3-Chloroesters.* Cyclocondensation of ethyl 3-chlorobut-2-enoate with 3-amino-1,2,4-triazole (**65**) afforded only 7-methyl-1,2,4-triazolo[4,3-*a*]pyrimidine-5-one (**37**); the alternative possible isomer 5-methyl-1,2,4-triazolo[4,3-*a*]pyrimidine-7-one (**38**) has not been formed (53CB1401). Assignment of the structure of **37** was claimed to be confirmed by direct comparison with the product



$\text{R} = \text{H}, \text{Me}; \text{R}^1 = \text{Me}; \text{R}^2 = \text{R}^3 = \text{H}, \text{Me}; \text{R}^4 = \text{Me}, \text{Ph}$

SCHEME 37

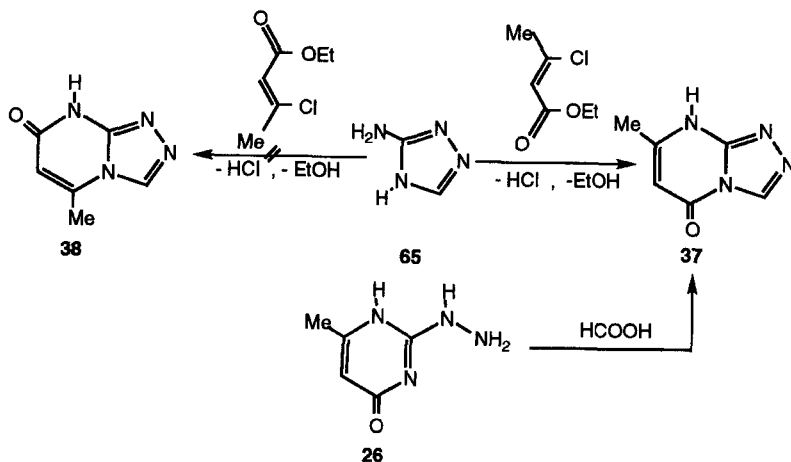


SCHEME 38

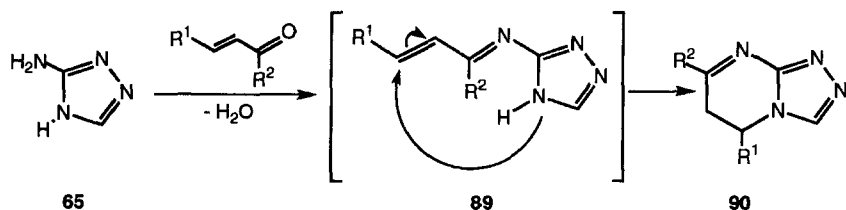
obtained from the reaction of 2-hydrazino-6-methylpyrimidine-4-one (**26**) with formic acid (53CB1401) (Scheme 39).

h. *Cyclization of 3-Amino-1,2,4-triazoles by Reaction with α,β -Unsaturated Ketones.* 3-Amino-1,2,4-triazole (**65**) reacted with α,β -unsaturated ketones via condensation/addition to give **90** (87JIC753; 89PHA820) (Scheme 40).

i. *Cyclization of 3-Amino-1,2,4-triazoles by Reaction with α,β -Unsaturated Esters.* Cyclization of 3-amino-1,2,4-triazole (**65**) with methyl acrylate, through condensation/addition, gave the two isomeric 5,6-dihydro-



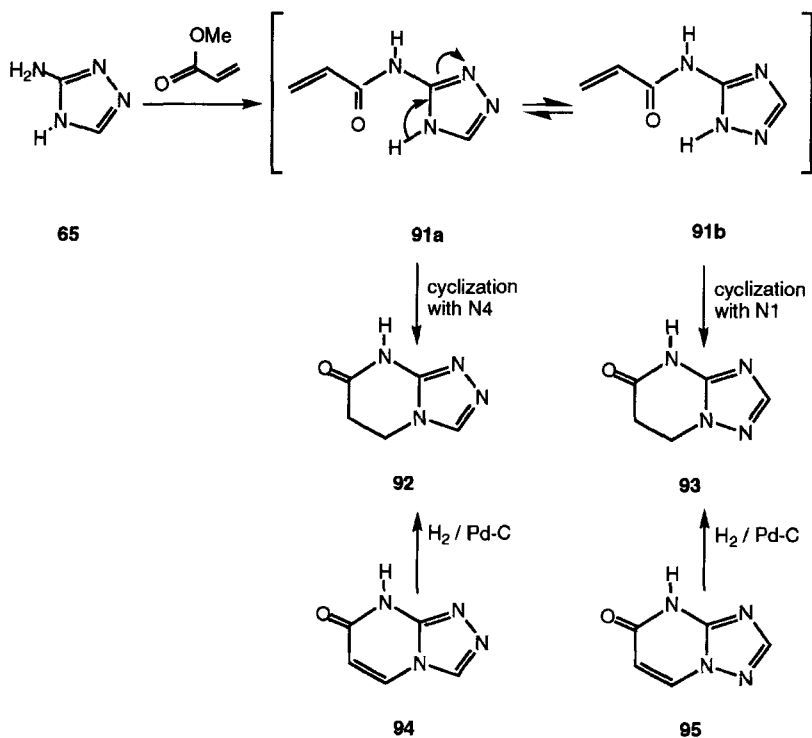
SCHEME 39



$R^1=4\text{-BrC}_6\text{H}_4$, $4\text{-MeOC}_6\text{H}_4$; $R^2=\text{ferrocenyl}$, $\alpha\text{-naphthyl}$

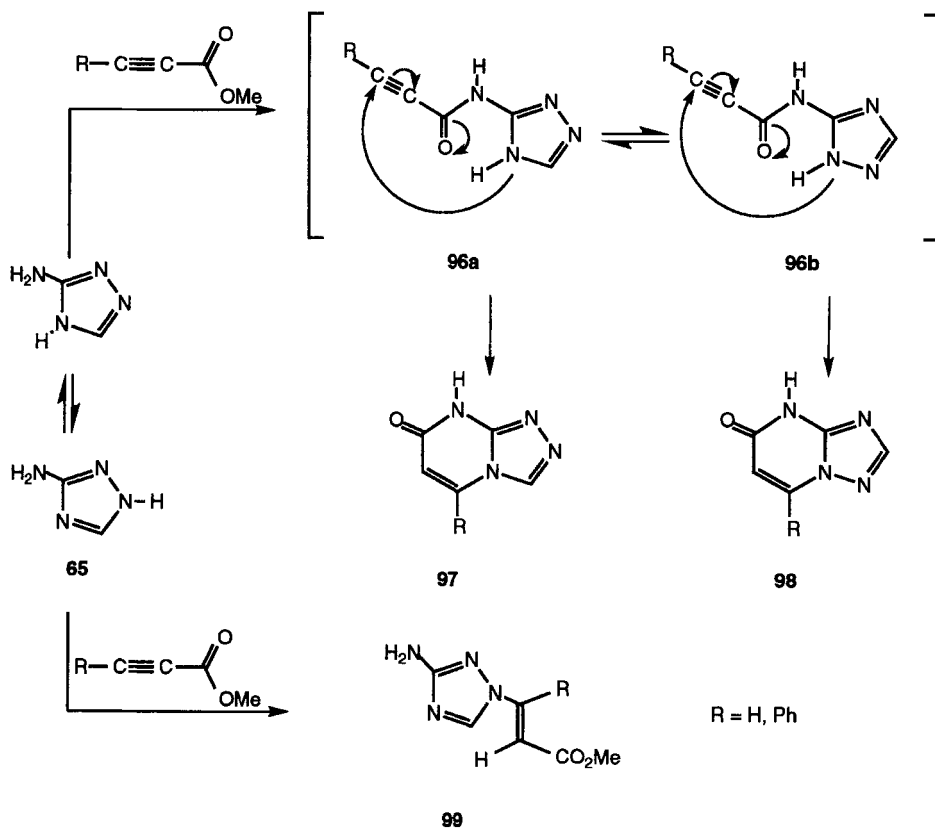
SCHEME 40

1,2,4-triazolo[4,3-*a*]pyrimidin-7-one (**92**) and 5,6-dihydro-1,2,4-triazolo[1,5-*a*]pyrimidin-7-one (**93**) (71CB3961). The structures of these products were corroborated by comparison with authentic materials obtained (71CB3961) from the catalytic reduction of the known (70CB3266) 1,2,4-triazolo[4,3-*a*]pyrimidin-7-one (**94**) and 1,2,4-triazolo[1,5-*a*]pyrimidin-7-one (**95**), respectively (Scheme 41).



SCHEME 41

Similarly, cyclization of 3-amino-1,2,4-triazoles (**65**) with methyl propiolate or methyl phenylpropiolate gave a mixture of the 1,2,4-triazolo[4,3-*a*]pyrimidin-7-ones **97** and the 1,2,4-triazolo[1,5-*a*]pyrimidin-7-ones **98** (70CB3266; 71CB2702). In addition, methyl *trans*-3-(3-amino-1,2,4-triazol-1-yl)acrylates (**99**) were also obtained. Production of the 1,2,4-triazolopyrimidines **97** and **98** started by condensation of the ester function with the amino group of **65**, followed by cycloaddition of the triazole N4 or N1 of the two tautomeric intermediates **96a** and **96b**, respectively, onto the carbon-carbon triple bond of the side chain. In contrast, formation of the triazolyl acrylates **99** took place through addition only of the triazole N1 onto the propiolate carbon-carbon triple bond. The relative amounts of products were found to depend on the reaction conditions (temperature, solvent, and time) (70CB3266) (Scheme 42).

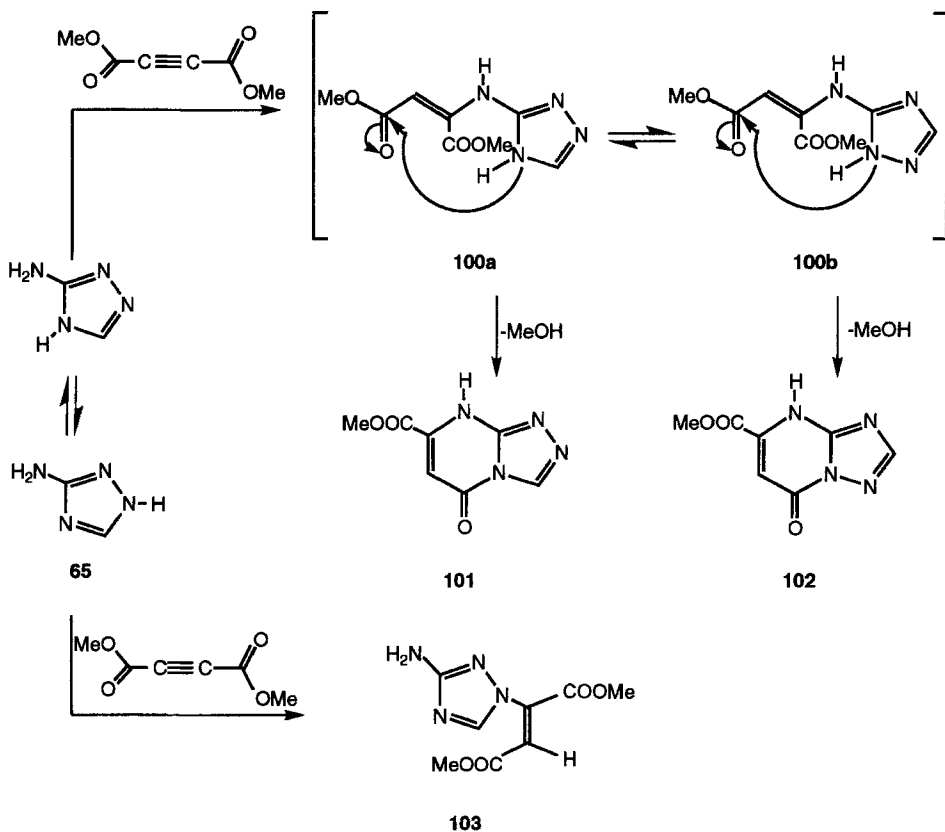


SCHEME 42

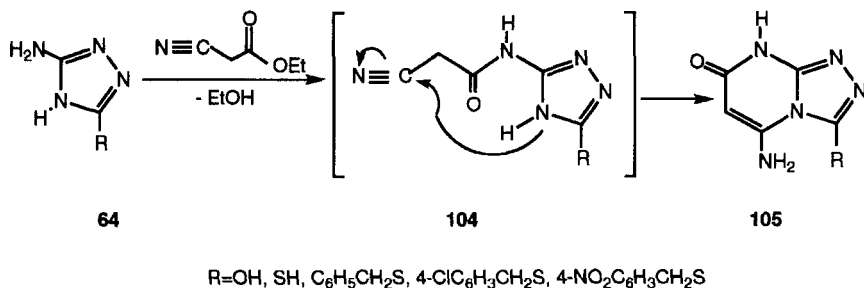
Related to Scheme 42 was the reaction of **65** with dimethyl acetylenedicarboxylate, which gave a mixture of 7-methoxycarbonyl-1,2,4-triazolo[4,3-*a*]pyrimidin-5-one (**101**), 7-methoxycarbonyl-1,2,4-triazolo[1,5-*a*]pyrimidin-5-one (**102**), and dimethyl (3-amino-1,2,4-triazol-1-yl)fumarate (**103**) (71CB2702) (Scheme 43).

j. *Cyclization of 3-Amino-1,2,4-triazoles by Reaction with 1,2-Cyanoesters.* The condensation/addition reaction of ethyl cyanoacetate with 3-amino-5-substituted-1,2,4-triazoles (**64**) gave the 5-amino-1,2,4-triazolo[4,3-*a*]pyrimidin-7-one **105** (73ABC441) (Scheme 44).

k. *Cyclization of 3-Amino-1,2,4-triazoles by Reaction with Diketene.* When the 3,5-diamino-1-aryl-1,2,4-triazole **106** was cyclized with diketene,



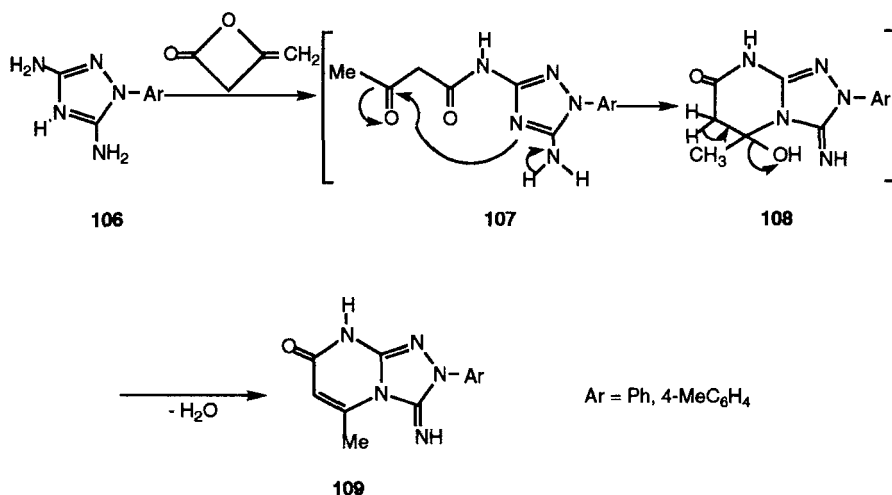
SCHEME 43



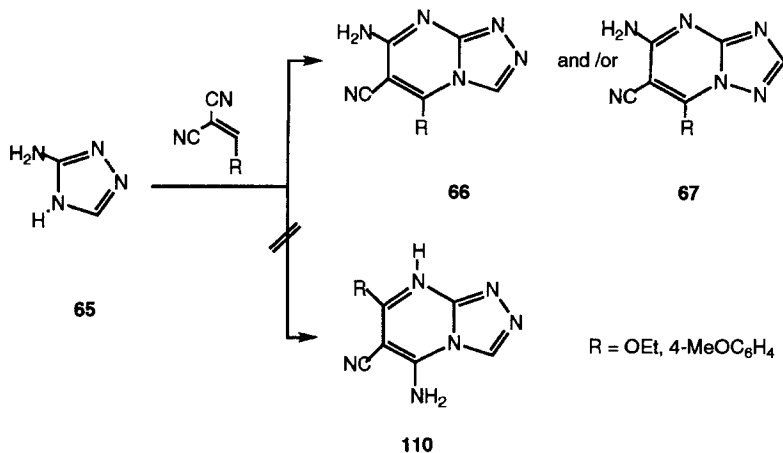
SCHEME 44

it gave the 2-aryl-3-imino-5-methyl-1,2,4-triazolo[4,3-*a*]pyrimidin-7-one **109** [71GEP(O)2103249] (Scheme 45).

1. *Cyclization of 3-Amino-1,2,4-triazoles by Reaction with Alkylidene- or Arylidenemalononitrile.* [3 + 3] Cycloaddition of 3-amino-1,2,4-triazole (**65**) onto 4-methoxybenzylidenemalononitrile produced only the 7-amino-6-cyano-5-(4-methoxyphenyl)-1,2,4-triazolo[4,3-*a*]pyrimidine (**66**) [88IJC(B) 478]. The alternative addition that may afford the 5-amino-6-cyano-7-(4-methoxyphenyl)-1,2,4-triazolo[4,3-*a*]pyrimidine (**110**) did not take place. Utilization of ethoxymethylene malononitrile in place of arylidenemalononitrile in this reaction gave **66** (R = H) in addition to 7-amino-6-cyano-1,2,4-triazolo[1,5-*a*]pyrimidine **67** (R = H) (70JPR254) (Scheme 46).



SCHEME 45



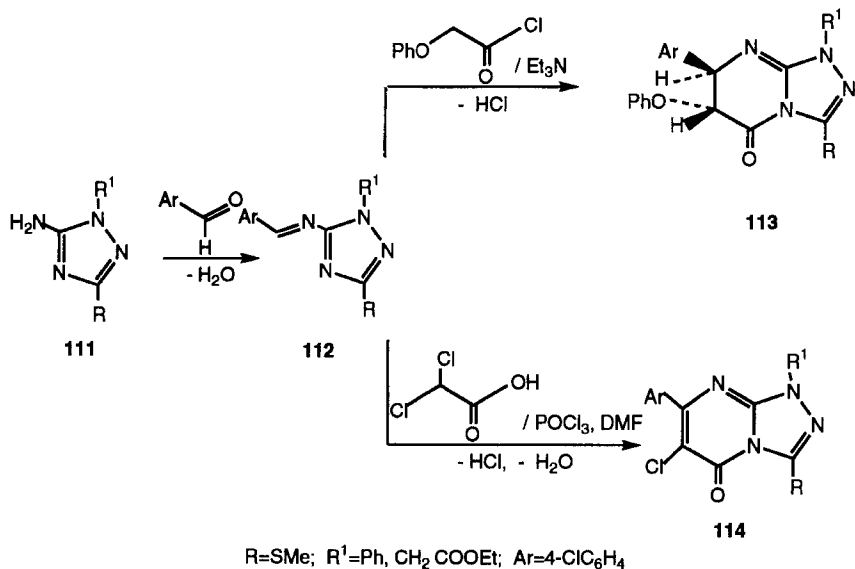
SCHEME 46

2. Consecutive Cyclization of 3-Amino-1,2,4-triazoles by Reaction with One-Carbon Followed by Two-Carbon Fragments

Schiff bases (**112**) derived from 4-chlorobenzaldehyde and 1-substituted-5-amino-3-methylthio-1,2,4-triazoles (**111**) underwent cyclization with phenoxyacetyl chloride or dichloroacetic acid in the presence of phosphoryl chloride and dimethylformamide to give the 7-(4-chlorophenyl)-*trans*-6,7-dihydro-3-methylthio-6-phenoxy-1-substituted-1,2,4-triazolo[4,3-*a*]pyrimidin-5-one **113** and 1-substituted-6-chloro-7-(4-chlorophenyl)-3-methylthio 1,2,4-triazolo[4,3-*a*]pyrimidin-5-one **114**, respectively (88JHC173) (Scheme 47).

C. SYNTHESIS BY REARRANGEMENT OF 1,2,4-TRIAZOLO[1,5-*a*]PYRIMIDINES

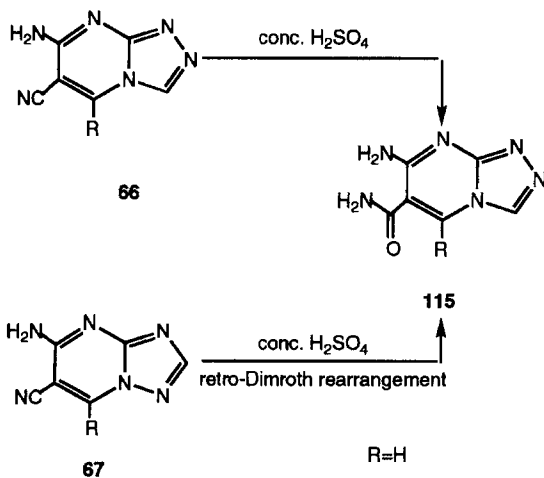
Muehlstaedt *et al.* reported an unusual ring transformation in which the thermodynamically more stable 7-amino-6-cyano-1,2,4-triazolo[1,5-*a*]pyrimidine (**67**) underwent an acid-catalyzed retro-Dimroth rearrangement to the thermodynamically less stable 7-amino-6-carboxamido-1,2,4-triazolo[4,3-*a*]pyrimidine (**115**) (70JPR254). The structure of this product was confirmed by comparison with authentic material obtained (70JPR254) from the acid hydrolysis of the known 7-amino-6-cyano-1,2,4-triazolo[4,3-*a*]pyrimidine (**66**) (Scheme 48).



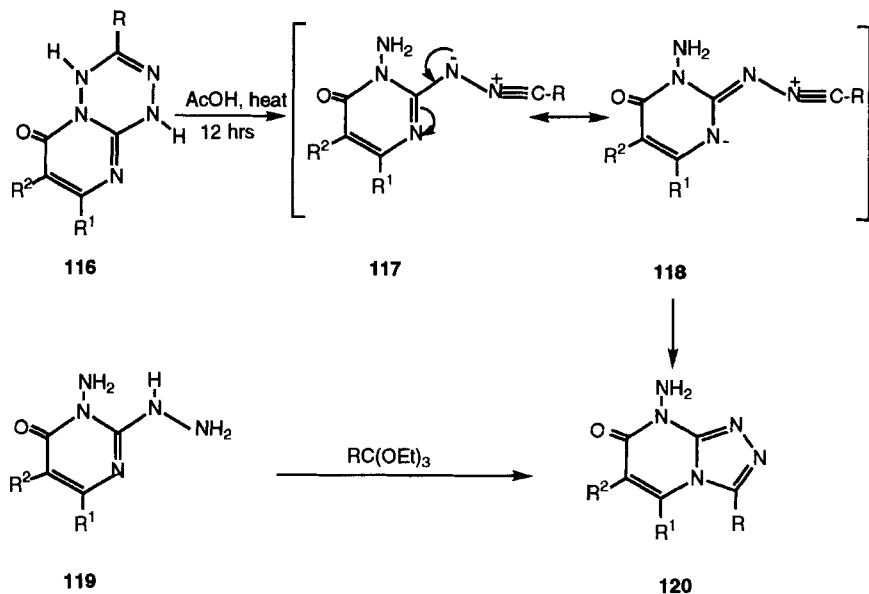
SCHEME 47

D. SYNTHESIS BY REARRANGEMENT OF PYRIMIDO[1,2-*b*]1,2,4,5-TETRAZINES

Acid-catalyzed 1,2,4,5-tetrazine ring contraction of the pyrimido[1,2-*b*]1,2,4,5-tetrazines **116** led to the formation of the 8-amino-1,2,4-tria-



SCHEME 48



SCHEME 49

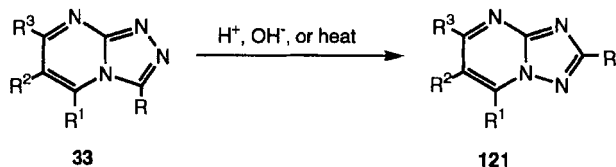
zolo[4,3-*a*]pyrimidin-7-ones (**120**) (87JOC2220). The latter compounds were formed as a result of recyclization of the nitrileimine intermediates (**118**), and their structure was confirmed by unequivocal synthesis from the 3-amino-2-hydrazinopyrimidin-4-ones (**119**) and orthoesters (Scheme 49).

III. Reactions

A. ISOMERIZATION (DIMROTH REARRANGEMENT)

One of the most important reactions of 1,2,4-triazolo[4,3-*a*]pyrimidines (**33**) is their rearrangement to the thermodynamically more stable 1,2,4-triazolo[1,5-*a*]pyrimidines (**121**) (Scheme 50). This isomerization may be induced by acids [57BEP561108; 58USP2852375; 59JOC787, 59YZ903; 60JCS1829; 66CB2237, 66JCS(C)2031; 67JCS(C)498; 75JHC 1187; 77AJC2515, 77CPB3137; 78AJC397; 83S44; 89H(28)239], by bases [59YZ903; 60YZ1542, 60YZ1550; 66CB2237, 66JCS(C)2031; 67JCS(C)498; 75JHC1187; 78AJC397, 78MI1; 94MI1, 94MI2], or by heating [59YZ899; 60YZ952, 60YZ956; 66CB2237, 66JCS(C)2031].

The mechanism of this isomerization in acid media is protonation of **33** followed by pyrimidine-ring opening of **122** to form the carbocation **123a**. Nucleophilic attack of the triazole N1 onto the positively charged carbon



SCHEME 50

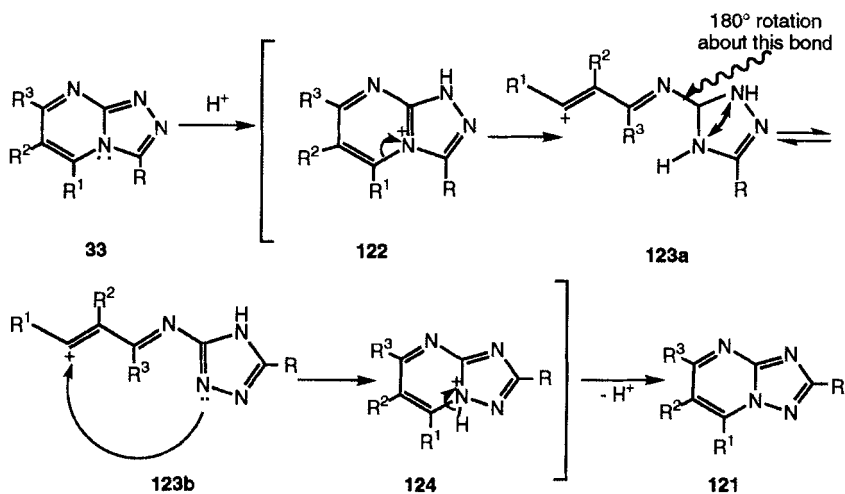
of the tautomeric structure **123b** gives the protonated cyclic isomer **124**, which deprotonates to the 1,2,4-triazolo[1,5-*a*]pyrimidine **121** (83S44) (Scheme 51).

In basic media, the rearrangement of **33** most probably occurs by the nucleophilic attack of the base onto C5 causing pyrimidine-ring rupture to form **125a** and **125b**. Recyclization of the side-chain carbonyl carbon with the triazole N1 of the tautomeric structure **125c** and elimination of the base gave **121** [67JCS(C)498; 75JHC1187; 94MI2] (Scheme 52).

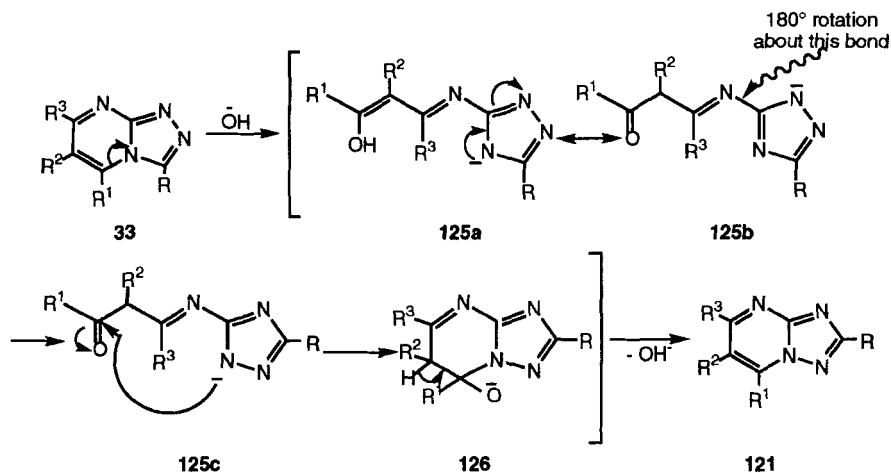
Thermally induced isomerization of **33** was suggested to take place through the formation of the acyclic intermediate **128** [66JCS(C)2031]. Recyclization of the latter gives the isomeric 1,2,4-triazolo[1,5-*a*]pyrimidines **121** (Scheme 53).

All steps of a Dimroth rearrangement may be reversible; yet the difference in thermodynamic stability in favor of 1,2,4-triazolo[1,5-*a*]pyrimidines (**121**) drives the isomerization in one direction (94MI2).

The rearrangement has been found to be better induced with aqueous acidic or basic media rather than thermally [66JCS(C)2031]. The rate of re-



SCHEME 51

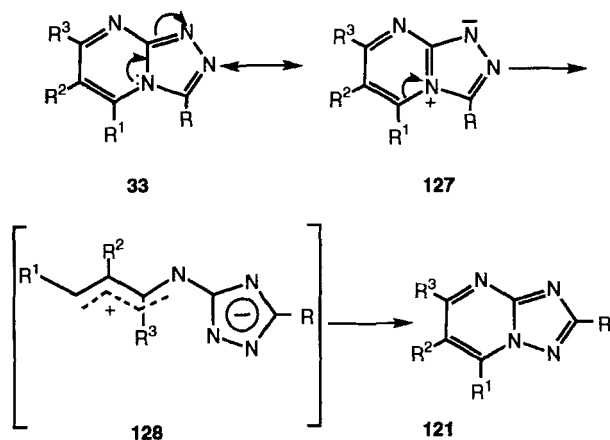


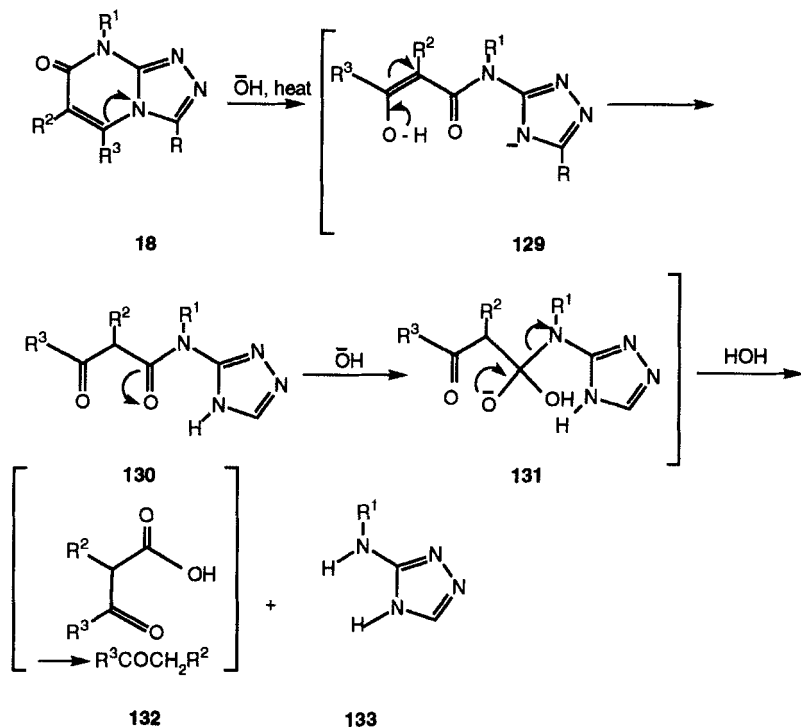
arrangement is affected by the pH of the medium and reaches a maximum at pH 10–12.5 in basic media or 1.5–2.5 in acidic media (77AJC2515).

B. CLEAVAGE REACTIONS

1. Pyrimidine Ring Cleavage

Pyrimidine ring cleavage of 1,2,4-triazolo[4,3-*a*]pyrimidin-7-ones (**18**) has been affected with bases to give the corresponding 3-amino-1,2,4-tria-





SCHEME 54

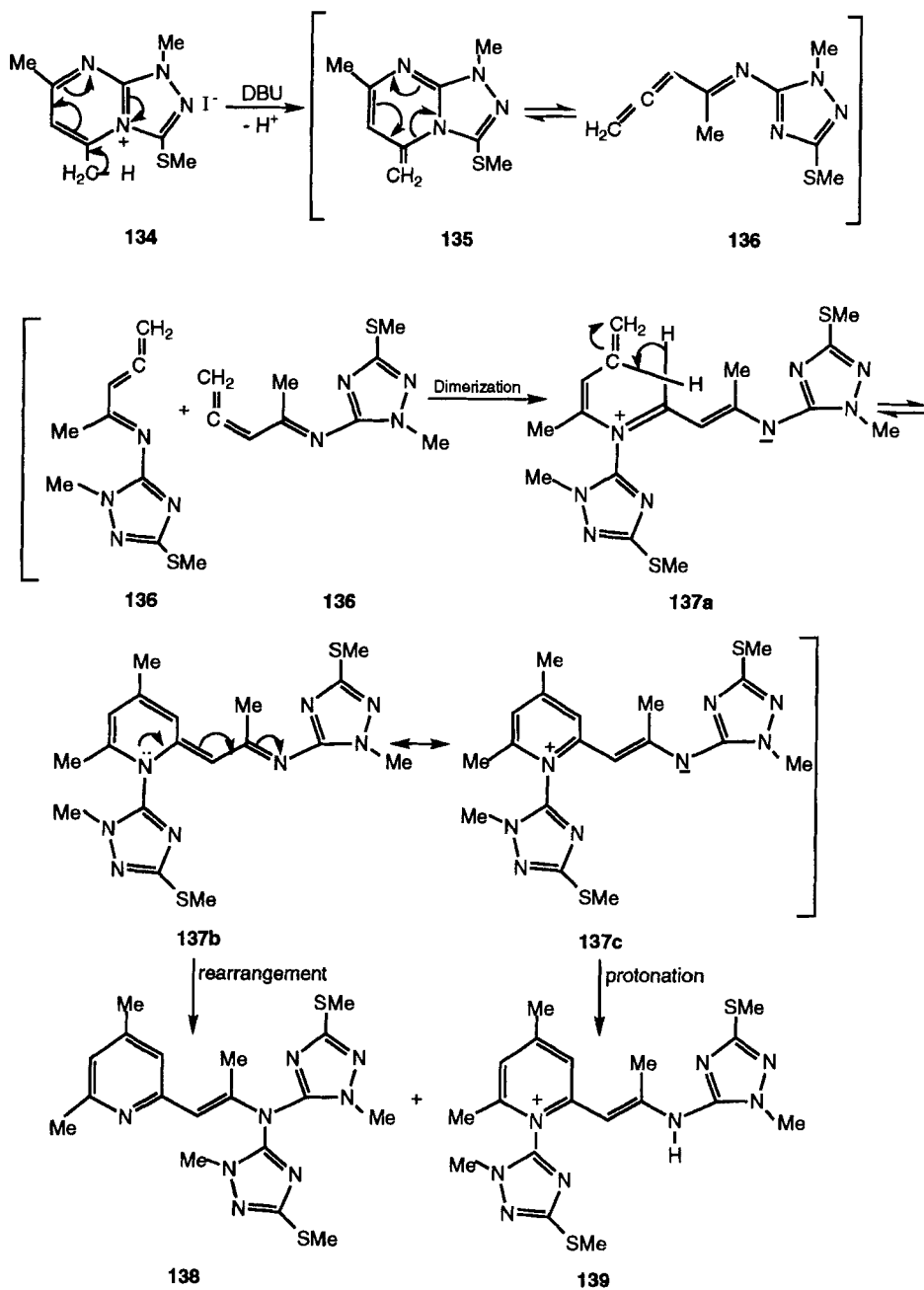
zole derivatives **133** (60YZ1550; 75BSF2561; 77ZC96) according to the plausible mechanism depicted in Scheme 54.

Reaction of 1,5,7-trimethyl-3-methylthio-1,2,4-triazolo[4,3-*a*]pyrimidinium iodide (**134**) with 1,8-diazabicyclo[5,4,0]undec-7-ene (DBU) led to the formation of **138** and **139**. The reaction starts by proton abstraction from the relatively acidic C5 methyl group to give the iminoallenic intermediate **136**, followed by Diels–Alder dimerization to dimer **137**. Subsequent sigmatropic migration of the *N*-triazolyl segment or protonation of **137** furnished **138** and **139**, respectively [88JCS(CC)506; 93JCS(P1)705] (Scheme 55).

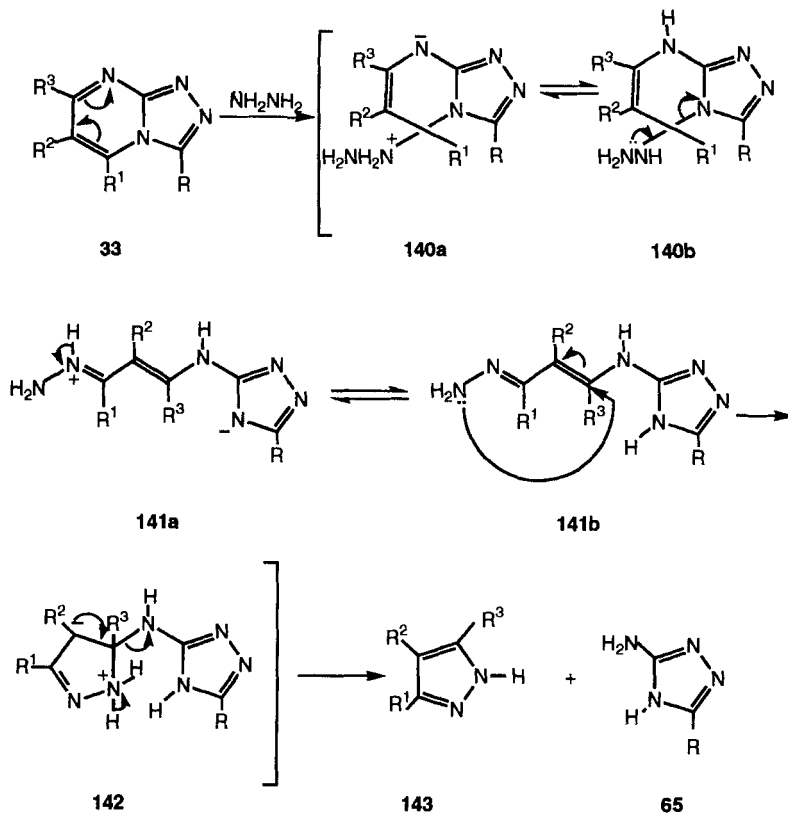
Hydrazinolysis of 1,2,4-triazolo[4,3-*a*]pyrimidines (**33**) caused pyrimidine ring cleavage and led to the formation of the pyrazole **143** and 3-amino-1,2,4-triazole derivatives **65** (58YZ1395; 62ZC369; 76KGS706). A probable mechanism of this reaction is shown in Scheme 56.

2. Triazole Ring Cleavage

Heating 5-hydroxy-3-mercapto-7-phenyl-1,2,4-triazolo[4,3-*a*]pyrimidine (**145**) with aniline gave the 2-anilino-4-hydroxy-6-methylpyrimidine (**144**)



SCHEME 55



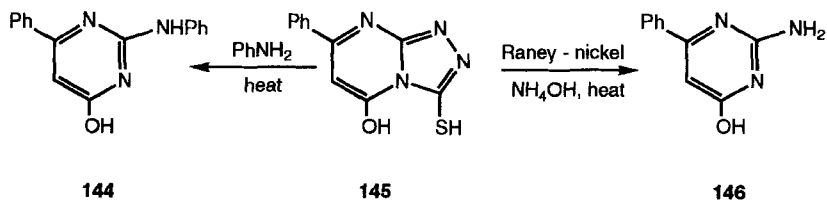
SCHEME 56

through 1,2,4-triazole ring rupture (60YZ1542). Reductive 1,2,4-triazole ring cleavage of **145** by treatment with ammonium hydroxide in the presence of Raney nickel afforded 2-amino-4-hydroxy-6-phenylpyrimidine (**146**) (60YZ1542) (Scheme 57).

Treatment of the unsubstituted 1,2,4-triazolo[4,3-*a*]pyrimidine (**147**) with an excess of phosphorus pentachloride cleaved the 1,2,4-triazole ring and gave the 2,4,5,6-tetrachloropyrimidine **148** (76JHC139) (Scheme 58).

C. CATALYTIC REDUCTION

Reduction of the 1,2,4-triazolo[4,3-*a*]pyrimidin-7-one **94** with hydrogen in the presence of palladium-on-carbon affected saturation of the C5-C6



SCHEME 57

double bond, forming the 5,6-dihydro-1,2,4-triazolo[4,3-*a*]pyrimidin-7-one **92** (71CB3961) (Scheme 59).

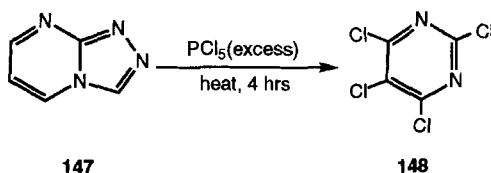
D. SULFURIZATION AND DESULFURIZATION

Sulfurization of 1-(2,3,5-tri-*O*-acetyl- β -D-ribofuranosyl)-1,2,4-triazolo[4,3-*a*]pyrimidin-5-one (**149**) with phosphorus pentasulfide gave the 1-(2,3,5-tri-*O*-acetyl- β -D-ribofuranosyl)-1,2,4-triazolo[4,3-*a*]pyrimidin-5-thione **150** (78M11) (Scheme 60).

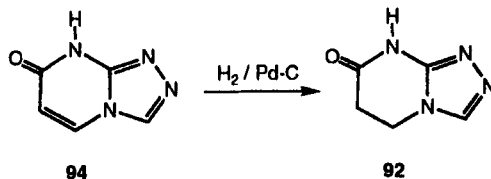
The reverse reaction, desulfurization, has been performed on 3-mercapto-1,2,4-triazolo[4,3-*a*]pyrimidines (**151**) by treatment with water (59JOC787) or ammonium hydroxide (57BRP874204; 60YZ1542) in the presence of Raney nickel or nitric acid (60JOC361) to give 1,2,4-triazolo[4,3-*a*]pyrimidines (**152**) (Scheme 61).

E. *N*-ALKYLATION AND *N*-ACYLATION

Alkylation of 1,2,4-triazolo[4,3-*a*]pyrimidines with methyl iodide (75BSF2561; 87T2497), dimethyl sulfate (60JOC361), or alkyl or aryl chlorides (60YZ956; 70CB3278; 88PHA723) in the presence of alkali or with methyl or phenyl isothiocyanate (88JPR753) seems to be regiospecific since only the N8 alkyl derivatives were isolated. Similarly, acylation reactions using acid chlorides (86JPR331, 86KGS1350) or anhydrides (86KGS1350) took place at N8. Thus, for example, treatment of the 5,6,7,8-tetrahydro-



SCHEME 58

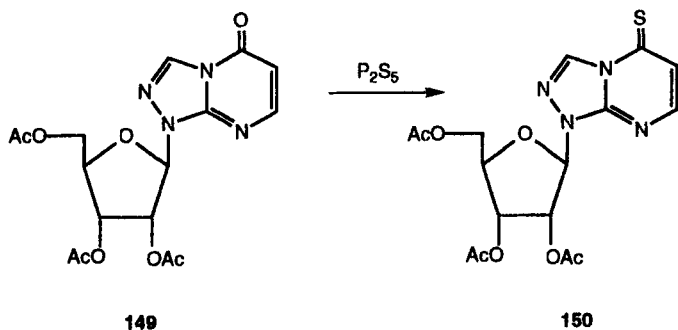


SCHEME 59

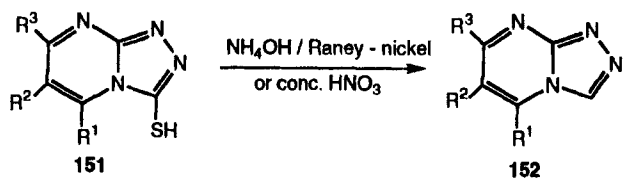
1,2,4-triazolo[4,3-*a*]pyrimidin-3-one **60** with methyl or phenyl isothiocyanates (88JPR753), with acid chlorides (86JPR331, 86KGS1350) or acid anhydrides (86KGS1350) gave the corresponding 8-thiocarbamoyl or 8-acyl 5,6,7,8-tetrahydro-1,2,4-triazolo[4,3-*a*]pyrimidin-3-ones **153** and **154**, respectively (Scheme 62).

F. GLYCOSYLATION

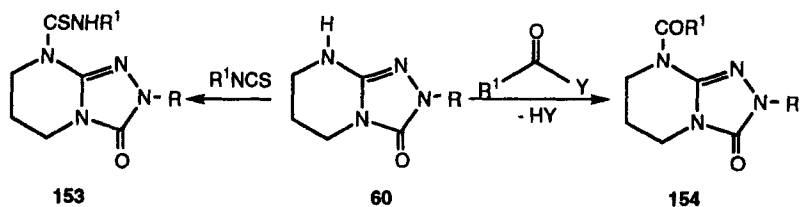
Ribosylation of the N1-activated 1-trimethylsilyl-1,2,4-triazolo[4,3-*a*]pyrimidin-7-one **155** with 2,3,4-tri-*O*-acetyl- β -D-ribofuranosyl chloride afforded the 1-(2,3,5-tri-*O*-acetyl- β -D-ribofuranosyl)-1,2,4-triazolo[4,3-*a*]pyrimidin-7-one **156** (75BSF2561; 76MI1). In contrast, direct ribosylation of the parent 1,2,4-triazolo[4,3-*a*]pyrimidin-7-one (**94b**) with 1,2,3,5-tetra-*O*-acetyl- β -D-ribofuranose diverted the glycosylation to N8 to give the 8-(2,3,5-tri-*O*-acetyl- β -D-ribofuranosyl)-1,2,4-triazolo[4,3-*a*]pyrimidin-7-one **157** (75BSF2561; 76MI1). Fusion of the N1-ribosylated product **156** caused its isomerization to the N8 ribosyl derivative **157**, which indicated that the former (**156**) is less stable than the latter (**157**) (75BSF2561; 76MI1) (Scheme 63).



SCHEME 60



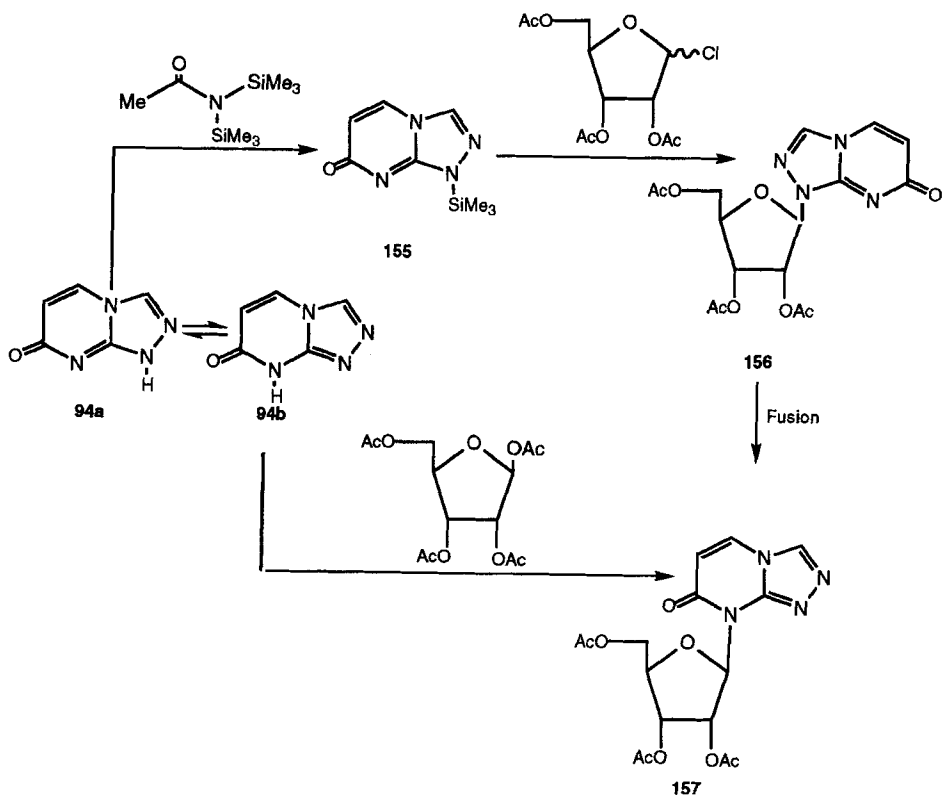
SCHEME 61



$R=H$; $R^1=Me, Ph$

$Y=Cl, OCOR^1$; $R=H, Ph, 4-NH_2SO_2C_6H_4$;
 $R^1=Me, Et, Ph, 4-MeC_6H_4, 4-ClC_6H_4$;
 $PhCH=CH$

SCHEME 62



SCHEME 63

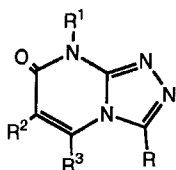
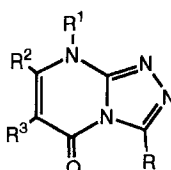
IV. Spectral Properties

A. INFRARED SPECTRA

The infrared spectra of 1,2,4-triazolo[4,3-*a*]pyrimidines bearing a potential hydroxyl group adjacent to either of the triazole or pyrimidine ring nitrogens showed amide rather than OH absorptions. This indicated the preponderance of the amide over the imidic acid tautomers of these compounds in the solid state [59JOC779; 61JCS3046; 88JCS(P1)351, 88PHA723]. Whereas an amide-carbonyl group attached to the 1,2,4-triazole subunit of 1,2,4-triazolo[4,3-*a*]pyrimidin-3-ones was reported [88JCS(P1)351, 88PHA723] to absorb at 1680–1733 cm^{-1} , the amide-carbonyl groups attached to the pyrimidine subunit in 1,2,4-triazolo[4,3-*a*]pyrimidin-5- or 7-ones usually absorb in the region 1640–1755 cm^{-1} (75BSF2561; 88JHC173; 95MI1). Saturation of the pyrimidine ring of 1,2,4-triazolo[4,3-*a*]pyrimidin-5-ones produces shifts to lower amide absorptions due to lack of conjugation (88JHC173). 1,2,4-Triazolo[4,3-*a*]pyrimidin-5-ones have been differentiated from 1,2,4-triazolo[4,3-*a*]pyrimidin-7-ones by their amide-carbonyl absorption; the latter absorb at a lower frequency by $\sim 10\text{--}15\text{ cm}^{-1}$ than the former (59JOC779; 61JCS3046; 70CB3266). Williams proposed that the infrared spectra of 1,2,4-triazolo[4,3-*a*]pyrimidin-5-ones contain an extra strong absorption band at 1563–1575 cm^{-1} (61JCS3046). However, Reiter *et al.* were unable to recognize such a band (87T2497).

B. ULTRAVIOLET SPECTRA

The ultraviolet absorption spectra of 1,2,4-triazolo[4,3-*a*]pyrimidines have been studied. Amide–imidic acid tautomerism in 1,2,4-triazolo[4,3-*a*]pyrimidinones has also been studied using this tool [59JOC779; 77HC(30)179]. The spectra of the 5-oxo-1,2,4-triazolo[4,3-*a*]pyrimidines (**158**) exhibited three absorption bands at $\sim 230, 260,$ and 310 nm (87T2497). The 7-oxo congeners (**18**), in contrast, revealed only one band at 230 nm (87T2497).

**18****158**

R, R¹, R², R³=H or CH₃

C. ^1H NMR SPECTRA

As a rule, the order of chemical shifts of the methine protons of 1,2,4-triazolo[4,3-*a*]pyrimidines was found to be δ (ppm) $\text{H3} > \text{H5} > \text{H7} > \text{H6}$ (77AJC2515; 83S44).

In harmony with this order is also the order of chemical shifts of the methine protons of 3- [77AJC2515; 78AJC397; 89H(28)239], 5- (77AJC 2515), 6- (77AJC2515), and 7-substituted-1,2,4-triazolo[4,3-*a*]pyrimidines (70CB3278; 77AJC2515):

3-Substituted 1,2,4-triazolo[4,3-*a*]pyrimidines $\delta \text{H5} > \text{H7} > \text{H6}$

5-Substituted 1,2,4-triazolo[4,3-*a*]pyrimidines $\delta \text{H3} > \text{H7} > \text{H6}$

6-Substituted 1,2,4-triazolo[4,3-*a*]pyrimidines $\delta \text{H3} > \text{H5} > \text{H7}$

7-Substituted 1,2,4-triazolo[4,3-*a*]pyrimidines $\delta \text{H3} > \text{H5} > \text{H6}$

D. ^{13}C NMR SPECTRA

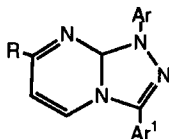
The order of chemical shifts for the carbons of 1,2,4-triazolo[4,3-*a*]pyrimidines was found to vary according to the type and positions of substituents attached to their skeleton (75JHC1187; 83S44; 89H(28)239; 94MI1; 95JCS(P1)2907].

E. X-RAY

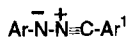
X-ray analyses were used to confirm the structure of some 1,2,4-triazolo[4,3-*a*]pyrimidines [83AX(C)1248; 88JCS(P1)351; 94MI3]. X-ray calculations based on bond lengths and bond angles indicated that 6-hydroxy-3-(pyridin-4-yl)-5,6,7,8-tetrahydro-1,2,4-triazolo[4,3-*a*]pyrimidine (94MI3) and 5,7-dimethyl-3- β -D-ribofuranosyl-1,2,4-triazolo[4,3-*a*]pyrimidine [83AX(C)1248] belong to the monoclinic space group, while 3-(4-pyridin-4-yl)-5,6,7,8-tetrahydro-1,2,4-triazolo[4,3-*a*]pyrimidine belongs to the triclinic space group (94MI3).

F. MASS SPECTRA

The mass spectra of 1,2,4-triazolo[4,3-*a*]pyrimidines showed, mainly, their molecular ion peaks [83S44; 88JCS(P1)351; 94LA1005]. The mass spectra of 1,8a-dihydro-1,3,7-trisubstituted-1,2,4-triazolo[4,3-*a*]pyrimidines **41** revealed a common peak of the nitrileimine **159** (94LA1005).



41



159

R=H, Me, Ph; Ar=Ph, 4-NO₂C₆H₄; Ar¹=Ph, 4-ClC₆H₄, 4-BrC₆H₄

V. Applications

A. BIOLOGICAL AND MEDICINAL APPLICATIONS

Many 1,2,4-triazolo[4,3-*a*]pyrimidines have been found to exhibit anti-viral (59GEP1071088; 87LA797), antifungal (89PHA820), antimicrobial [71GEP(O)2004713; 92JAN721; 93IJC(B)449], herbicidal [79JAP(K)79/05035], plant growth regulator [73JAP73/34220; 79JAP(K)79/05035], leishmanicidal (90MI2), nucleic acid antimetabolite (58JAP58/8072; 59JAP59/3326), antitumor (59GEP1071088), antihypertensive [89GEP(O)3839711; 95USP5387747], cardiovascular (85FRP2549834; 95USP5387747), and anxiolytic activities (80USP4209621).

B. APPLICATIONS IN PHOTOGRAPHY

Various 1,2,4-triazolo[4,3-*a*]pyrimidines are very useful in photography. Thus, they were utilized as stabilizing agents during storage of the silver halide grains in photographic emulsions [54MI1; 55MI1-55MI3; 57BEP561108, 57BRP874204; 58MI1; 60MI1; 62JPC559, 62MI1; 66MI1; 70MIP1; 74JAP(K)74/29835; 80MI1; 83CZP200886; 86JAP(K)86/91653; 87JAP(K)87/186252, 87JAP(K)87/192736; 90JAP(K)90/71254], antifogging agents [55MI3; 58BEP570978, 58MI2; 60USP2956876; 61MI1; 71GEP(O)2103249; 88JAP(K)88/246739], clarifiers for developers and emulsions [71GEP(O)2103249], or printing plates on the rotary press (63GEP1146367). They cause high image contrast [86JAP(K)86/91653, 86JAP(K)86/107242, 86JAP(K)86/145551, 86JAP(K)86/245157; 89EUP306833; 90JAP(K)90/71254; 92JAP(K)92/107445; 93JAP(K)93/333496] and sensitivity [87JAP(K)87/178239, 87JAP(K)87/192736; 92JAP(K)92/107445] and were also used to improve the adhesion of greasy printing inks to photographic silver images (62GEP1128296).

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Chemistry of Benzologs of Pyrido[1,2-*a*]pyrimidines: Part V of Series on Pyrido-oxazines, -diazines, and -thiazines

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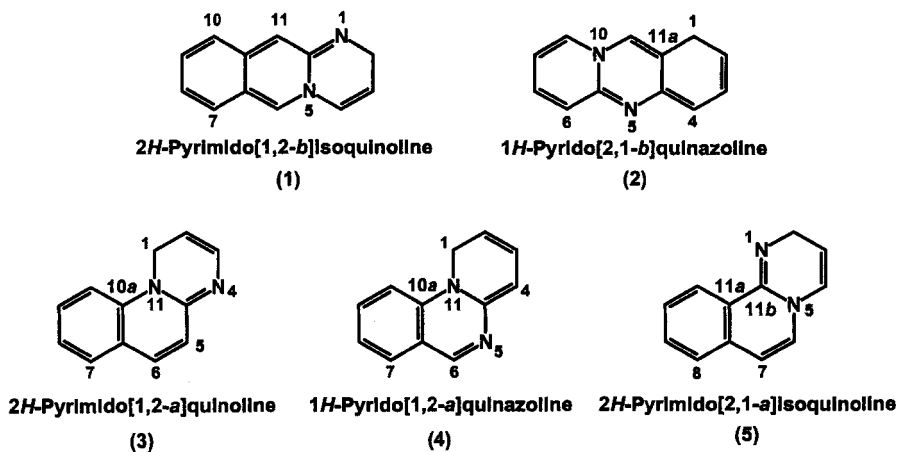
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I. Introduction

The chemistry of the benzologs of pyrido[1,2-*a*]pyrimidines: pyrimido[1,2-*b*]isoquinolines (**1**), pyrido[2,1-*b*]quinazolines (**2**), pyrimido[1,2-*a*]quinolines (**3**), pyrido[1,2-*a*]quinazolines (**4**), and pyrimido[2,1-*a*]isoquinolines (**5**) (Scheme 1) has been systematically reviewed only in part. Whereas the chemistry of pyrido[2,1-*b*]quinazolines (**2**) and pyrido[1,2-*a*]quinazolines (**4**) was discussed in 1986, comprising articles and patents that appeared in *Chemical Abstracts'* Subject and Chemical Substance Indexes up to and including Volume 100 [86AHC(39)281], only the early articles on pyrimido[1,2-*a*]quinolines were treated in Mosby's review in 1961 [61HC(15)1160], while the comprehensive chemistry of the benzologs of pyrido[1,2-*a*]pyrimidines was published in *Comprehensive Heterocyclic Chemistry II* in 1996 [96CHEC-II(8)563].

In the present article the primary chemical literature through July of 1997 has been surveyed. *Chemical Abstracts'* Subject and Chemical Substance Indexes through and including Volume 126 have been searched for pyrimido[1,2-*b*]isoquinolines (**1**), pyrimido[1,2-*a*]quinolines (**3**), and pyrimido[2,1-*a*]isoquinolines (**5**), and Volumes 101–126 for pyrido[2,1-*b*]quinazolines (**2**) and pyrido[1,2-*a*]quinazolines (**4**). Throughout this article the



SCHEME 1

II. Structure

A. THERMODYNAMIC ASPECTS

2*H*-Pyrimido[2,1-*a*]isoquinolin-2-ones and 3*H*-pyrimido[1,2-*a*]quinolin-3-ones have higher melting points than the isomeric 4*H*-pyrimido[2,1-*a*]isoquinolin-4-ones (72CB108; 84S152; 95MI1) and 1*H*-pyrimido[1,2-*a*]quinolin-1-ones (79CPB2927; 95MI1) because of the higher contribution of a zwitterionic mesomeric form to the aforementioned structures.

Solubilities of different 1,2,3,4- and 1,2,3,4,6,7,8,9-octahydro-11*H*-pyrido[2,1-*b*]quinazolin-11-ones have been determined in water, ethanol, and *n*-octanol (83MI2). Octahydro derivatives were more water soluble than tetrahydro derivatives.

Protonation constants of 1,2,3,4-tetrahydro-, 6,7,8,9-tetrahydro-, and 1,2,3,4,6,7,8,9-octahydro-11*H*-pyrido[2,1-*b*]quinazolin-11-ones and their 6-, 7-, 8-, and 9-methyl derivatives were determined and the calculated values were compared with the experimental data (83MI2; 84MI6; 84MI8; 85MI2; 86MI4). The pK_a values of 6,7,8,9-tetrahydro-11*H*-pyrido[2,1-*b*]quinazoline (6) and its 11-oxo derivative (7) were found to be 9.41 (96BMC737) and 3.69 ± 0.03 (91MI1), respectively. The latter compound contains an active methylene group at position 6, and these protons can be deuterated in D₂O via the 5,7,8,9-tetrahydro imino tautomeric form (91MI1). 6-Formyl-5,7,8,9-tetrahydro-11 *H*-pyrido[2,1-*b*]quinazolin-11-one underwent protonation on the oxygen atom of the formyl group (84JHC219).

The chromatographic behavior of 1,2,3,4-tetrahydro-, 6,7,8,9-tetrahydro-, and 1,2,3,4,6,7,8,9-octahydro-11*H*-pyrido[2,1-*b*]quinazolin-11-ones and their 6-, 7-, 8-, and 9-methyl derivatives has been extensively investigated and characterized by means of TLC, OPTLC (89MI4), GC (91MI6–91MI8), and HPLC (84MI5, 84MI6, 84MI9; 85MI4; 85MI6, 85MI8, 85MI10; 86MI6). Good correlation was found between the partition coefficients and HPLC data (85MI4; 86MI6). The correlation between molar refraction values and GC retention data (I^{apol} , I^{pol}) was also investigated (87MI1). A sensitive HPLC method with fluorescence detection was developed for the determination of antiallergic *N*-[4-(1*H*-imidazol-1-yl)butyl]-2-(2-propyl)-11-oxo-11*H*-pyrido[2,1-*b*]quinazoline-8-carboxamide and its 8-carboxylic acid metabolite in biological fluid (84MI7).

HPLC methods were developed for the detection and isolation of the free and Fe(III) complexed forms of the siderophores, pseudobactins, containing a pyrimido[1,2-*a*]quinoline chromophore (93JPR157; 94MI1, 94MI2). A microbial siderophore and its Fe(III) complex were analyzed by means of HPLC with amperometric detection (93MI4).

The octanol–water (pH 5) partition coefficients of 1,2,3,4-tetrahydro- and 1,2,3,4,6,7,8,9-octahydro-11*H*-pyrido[2,1-*b*]quinazolin-11-ones and their 6-, 7-, 8-, and 9-methyl derivatives were determined and the Hansch π values of the methyl substituents were also calculated (84MI5). The octahydro derivatives were more hydrophilic than the tetrahydro compounds.

HPLC retention data ($\log k'$ values) of 1,2,3,4-tetrahydro- and 1,2,3,4,6,7,8,9-octahydro-11*H*-pyrido[2,1-*b*]quinazolin-11-ones and their 6-, 7-, 8-, and 9-methyl derivatives were correlated with their octanol–water partition coefficients ($\log P$) (84MI5).

The polarographic behavior of 1,2,3,4-tetrahydro-, 1,2,3,4,6,7,8,9-octahydro-11*H*-, *cis*-4*a*,11*a*-H- and *trans*-4*a*,11*a*-H-1,4,4*a*,6,7,8,9,11*a*-octahydro-, and *cis*-4*a*,11*a*-H- and *trans*-4*a*,11*a*-H-1,2,3,4,4*a*,6,7,8,9,11*a*-decahydro-11*H*-pyrido[2,1-*b*]quinazolin-11-ones was investigated (84PHA106; 88MI1; 89PHA454; 90PHA109, 90PHA740; 91PHA846).

The surface activity characteristics of 11*H*-pyrido[2,1-*b*]quinazolin-11-one and its 3-chloro, 2-methoxy, 8-methyl, and 2,4-dinitro derivatives were determined in 3% hydrochloric acid (85MI1).

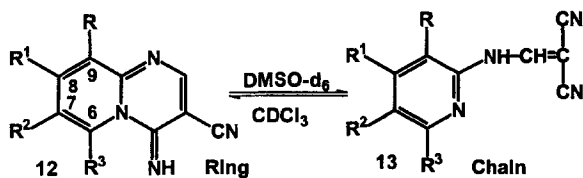
A competitive ELISA was developed to detect and quantity ferric pseudobactin (91MI5). The apparent stability constants (association constants) of metal complexes of different siderophores were measured [85MI7; 86MI3; 90MI5, 90ZN(B)1437; 91MI9, 91ZN(C)534; 92M151; 93JPR157; 94IC6391, 94MI5].

1. Tautomerization

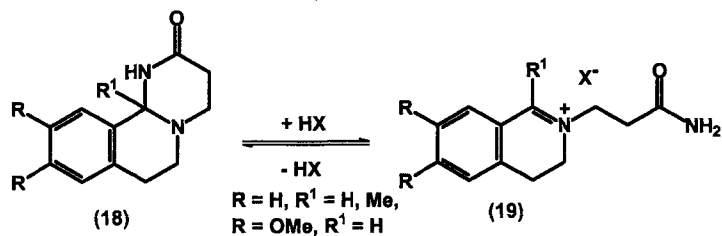
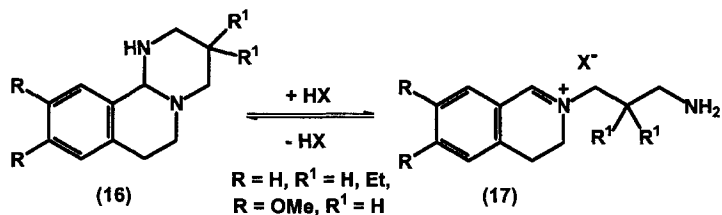
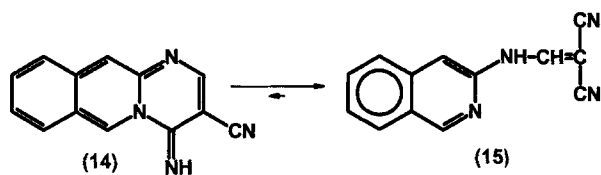
The equilibrium of the solvent dependent ring (**12**)-chain (**13**) tautomerization of 4-imino-4*H*-pyrido[1,2-*a*]pyrimidine-3-carbonitrile shifted to the chain form at its 6,7-benzolog and to the ring form at its 8,9-benzolog to avoid a steric compression in the alternative forms (Table I) (86JOC2988). The predominance of the chain form (**15**) at the 3-isoquinolyl derivative (**14**) was interpreted (86JOC2988) on the basis of the extension of Clar's principle (annulation effect) to polyfused heteroaromatic systems (84JOC3199). Clar's theorem states that the stability in a series of isomers increases with the number of aromatic sextets (72MI1). The chain form (**15**) contains such a sextet, indicated by a circle, whereas the ring form does not, and therefore the heteroaromatic stability of the former must be higher.

1,2,3,4,6,7-Hexahydro-11*bH*-pyrimido[2,1-*a*]isoquinolines (**16**) (59YZ1014; 62CB2122, 62MI1; 69YZ649), their 2-oxo derivatives (**18**) (62CB2122, 62MI1; 93KGS499), 2,3-dihydro-1*H*-pyrimido[1,2-*a*]quinolin-3-one, and 2,3,4,4*a*,5,6-hexahydro-1*H*-pyrimido[1,2-*a*]quinoline (63YZ682; 71KGS482) exhibit a ring–chain tautomerism by the action of acids and bases.

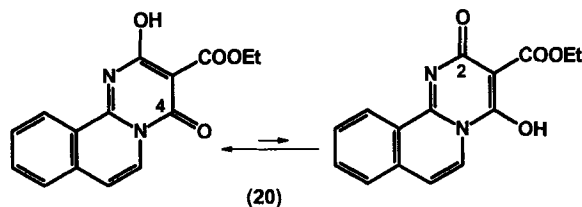
TABLE I
RING-CHAIN TAUTOMERISM OF 4*H*-PYRIDO[1,2-*a*]PYRIMIDINE-3-CARBONITRILE **12** AND ITS
BENZOLOGS (86JOC2988)



| R | R ¹ | R ³ | R ³ | In CDCl ₃ ring/chain | In DMSO-d ₆ ring/chain |
|-------------------------|-------------------------|-------------------------|----------------|------------------------------------|--------------------------------------|
| H | H | H | H | 80:20 | 5:95 |
| -(CH=CH) ₂ - | | H | H | 100:0 | 100:0 |
| H | -(CH=CH) ₂ - | | H | 0:100 | 0:100 |
| H | H | -(CH=CH) ₂ - | | 0:100 | 0:100 |



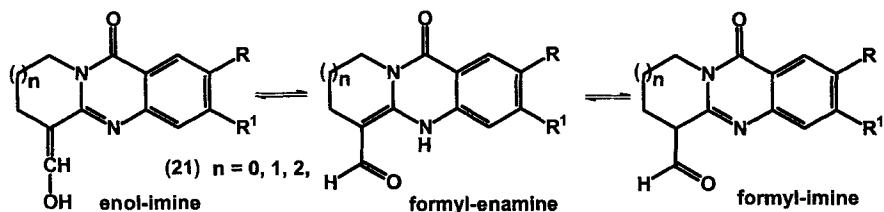
Semiempirical MO calculations using the AM1 Hamiltonian suggested that the 2-hydroxy-4-oxo form of compound **20** has a lower heat of formation than the 2-oxo-4-hydroxy tautomer by approximately 42 kJ/mol (89AJC2161).



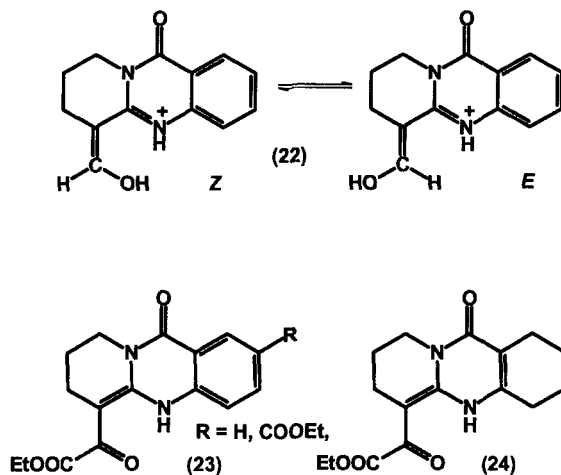
The structure of 6-formyltetrahydro-11*H*-pyrido[2,1-*b*]quinazolin-11-ones (**21**, $n = 1$) and the piperidine-ring homologs (**21**, $n = 0, 2$) was investigated by UV spectroscopy using different solvents, and by ^1H and ^{13}C NMR spectroscopy in CDCl_3 solvent (84JHC219). Similar to 9-formyltetrahydro-4*H*-pyrido[1,2-*a*]pyrimidin-4-ones [83JCS(P1)369, 83JCS(P2)1153; 85JCS(P2)1873, 85JCS(P2)1881; 91MI2], the tricyclic formyl derivatives (**21**) exhibit a ring-size-dependent tautomerism of enol-imine, formyl-enamine, and formyl-imine forms (Scheme 2), and 6-formyltetrahydro-11*H*-pyrido[2,1-*b*]quinazolin-11-ones (**21**, $n = 1$) are predominantly in the formyl-enamine form, whereas the lower homologs (**21**, $n = 0$) exist in the enol-imine tautomer (84JHC219; 87JHC1045). Earlier, the 6-ethoxycarbonyl derivative of 6,7,8,9-tetrahydro-11*H*-pyrido[2,1-*b*]quinazolin-11-one (**7**) was depicted in the formyl-imine tautomer (77JA2306; 86JHC53) and the 6-acetyl derivative in the enol-imine form (87JHC175); however, the presence of the formyl-enamine tautomers should be also considered for these derivatives.

The protonation of 6-formyl-5,7,8,9-tetrahydro-11*H*-pyrido[2,1-*b*]quinazolin-11-one occurred at the oxygen atom of the formyl group and gave a mixture of *Z* and *E* isomers of **22** in a 1:1 mixture of CDCl_3 and trifluoroacetic acid (84JHC219).

UV, ^1H , and ^{13}C NMR investigations revealed that 5,7,8,9-tetrahydro and 1,2,3,4,5,7,8,9-octahydro tautomeric forms are predominant for 6-ethoxalyl derivatives **23** and **24**, while the lower homologs, the pyrrolidino[2,1-*b*]quinazolin-10-ones, exist in the enol-imine forms [89JCS(P2)1613].



SCHEME 2



6-Arylhrazono-6,7,8,9-tetrahydro- and 6-arylhrazono-1,2,3,4,6,7,8,9-octahydro-11*H*-pyrido[2,1-*b*]quinazolin-11-ones (**25**) exhibit solvent-dependent *E*-*Z* isomerism (Table II) (84JHC1301; 87JHC1045).

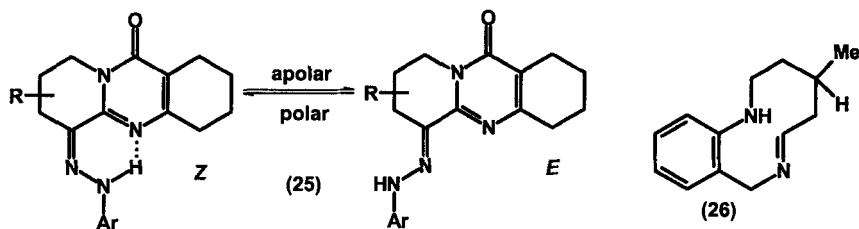


TABLE II
Z/E ISOMER RATIO OF 6-PHENYL-1,2,3,4,6,7,8,9-
OCTAHYDRO-11*H*-PYRIDO[2,1-*b*]QUINAZOLIN-11-
ONES (**25**, R = Ph) (87JHC1045)

| R | In CDCl ₃ Z/E ratio | In DMSO-d ₆ Z/E ratio |
|------|-----------------------------------|-------------------------------------|
| H | 100:0 | 80:20 |
| H | | 55:45 ^a |
| 7-Me | 100:0 | 100:00 |
| 8-Me | 100:0 | 90:10 |
| 9-Me | 95:5 | 70:30 |

^a 1,2,3,4-Tetrahydro Derivatives (84JHC1301)

A 1:2 mixture of isomeric *cis*-3,4*a*-H- and *trans*-3,4*a*-H-3-methyl-2,3,4,4*a*,5,6-hexahydro-1*H*-pyrido[1,2-*a*]quinazolines gave a 20:1 mixture in the presence of rhodium(II) acetate dimer and triphenylphosphine in ethyl acetate at 80°C for 20 h (94AJC1061). Epimerization probably occurs via a 10-membered ring intermediate (**26**).

B. THEORETICAL CALCULATIONS

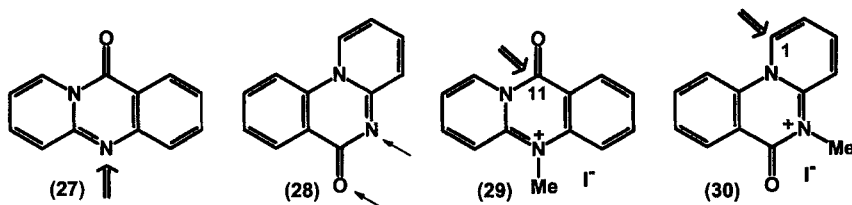
The observed regioselectivity of the alkylations of the isomeric linearly and angularly fused pyridoquinazolinones (**27** and **28**), and that of reactions of isomeric quaternary salts (**29** and **30**) toward *N*-nucleophiles were interpreted on the basis of FMO theory (90JHC2005). The c^2 values shows that alkylation of the oxygen atom is very improbable at the linear 11*H*-pyrido[2,1-*b*]quinazolin-11-one (**27**) because of the very low c values (Table III), and alkylation of the nitrogen atom (N5) with a notably high coefficient can be expected. The situation is entirely different with the isomeric angular 6*H*-pyrido[1,2-*a*]quinazolin-6-one (**28**), where both q_{NET} and c^2 values are almost the same and therefore a dependence on the hard and soft character of the reagent can be anticipated. Nucleophilic ring opening of isomeric linearly and angularly fused pyridoquinazolinium salts (**29** and **30**) occurred at different positions (positions 11 and 1, respectively). Comparing the experimental results and calculations it was concluded, concerning the positive net charge that the carbonyl carbon atom is superior to the pyridine-carbon atom in both cases, but the C_{LUMO} coefficient for the carbonyl carbon atom vanishes in the angular case (**30**) and is still reasonably high for the pyridine carbon atom (Table IV).

TABLE III
RESULTS OF AMI CALCULATIONS ON ISOMERIC PYRIDOQUINAZOLINONES
(**27** AND **28**) (90JHC2005)

| Compound | Atom | q_{NET} | C_{S} | $C_{\text{M-HOMO's}}$ | | Σc^2 |
|-------------|------|------------------|----------------|-----------------------|-----------------|--------------|
| | | | | C_{Px} | C_{Py} | |
| (27) | N | -0.21 | 0.33 | 0.36 | 0.51 | 0.50 |
| | O | -0.34 | 0 | 0.06 | 0.08 | 0.01 |
| (28) | N | -0.27 | 0.16 | 0.51 | 0.20 | 0.33 |
| | O | -0.30 | 0 | 0.53 | 0.25 | 0.34 |

TABLE IV
RESULTS OF AM1 CALCULATIONS ON ISOMERIC
PYRIDOQUINAZOLINIUM SALTS (29 AND 30) (90JHC2005)

| Compound | Pyridyl carbon | | Carbonyl carbon | |
|----------|-------------------|------------------|-------------------|------------------|
| | C _{LUMO} | q _{NET} | C _{LUMO} | q _{NET} |
| (29) | C-9 0.34 | 0.17 | C-11 0.10 | 0.32 |
| (30) | C-1 0.46 | 0.14 | C-6 0.02 | 0.35 |



Semiempirical molecular calculations (AM1) suggested that ethyl 2-hydroxy-4-oxo-4*H*-pyrimido[2,1-*a*]isoquinoline-3-carboxylate (20) has a lower heat of formation (by ca 42 kJ mol⁻¹) than its 4-hydroxy-2-oxo tautomer (89AJC2161).

A computer-automated structure evaluation program has been used to study 487 compounds, among them 2- and 3-substituted 11-oxo-11*H*-pyrido[2,1-*b*]quinazoline-8-carboxylic acids and 2-(1*H*-tetrazol-5-yl)-11*H*-pyrido[2,1-*b*]quinazolin-11-one, relevant to the inhibition of aldose reductase enzyme (88MI7). Topological indexes as a molecular parameter were used for structural evaluation of a database containing ca 500 compounds as aldose reductase inhibitors, among them 11-oxo-11*H*-pyrido[2,1-*b*]quinazoline-8-carboxylic acid (94MI4). A computer program system, named ANALOGS, was used to detect common features of compounds (among them 3-hydroxy-11-oxo-11*H*-pyrido[2,1-*b*]quinazolin-11-one) necessary for aldose reductase inhibition (90MI1).

C. ULTRAVIOLET, FLUORESCENCE, AND CIRCULAR DICHROISM SPECTROSCOPY

Isomeric 2-oxo-2*H*- and 4-oxo-4*H*-pyrimido[2,1-*a*]isoquinolines (31 and 32) could be distinguished on the basis of their UV spectra {70JCS(C)881; 72CB108}. The 2*H*-2-one isomers can be characterized in particular by lower ϵ values in the region above 320 nm, and the 4-one isomers contain a

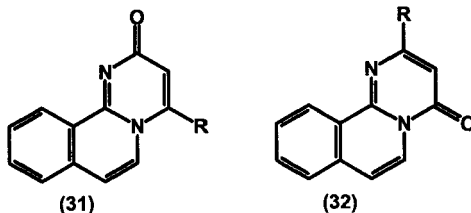
TABLE V
UV SPECTRA OF 2-OXO-2*H*- AND 4-OXO-4*H*-PYRIMIDO[2,1-*a*]ISOQUINOLINES (**31** AND **32**) IN METHANOL (72CB108)

| | R | λ_{\max} (ϵ) |
|---------------|----|--|
| (31) | Me | 349sh ^a (4000) 333 (5200) 303 (7100) 288 (9300) 255sh (23600) 223 (33400) 208 (30800) |
| (32) | Me | 367 (9800) 348 (10200) 333 (5800) 288 (19400) 270sh (9800) 257 (9500) 247 (8400) 231 (30000) 214 (22200) |
| (31) | Ph | 356 (330) 339 (4050) 323 (3600) 303 (10000) 285sh (10600) 249 (45600) |
| (32) | Ph | 400 (12000) 382 (18000) 300 (18000) 290sh (16500) 265 (11000) 231 (31000) 209 (28500) |

^a sh = shoulder

more conjugated chromophore system than their 2*H*-2-one isomers (see Table V).

The UV visible and/or CD spectra of psuedobactins, azoverdins



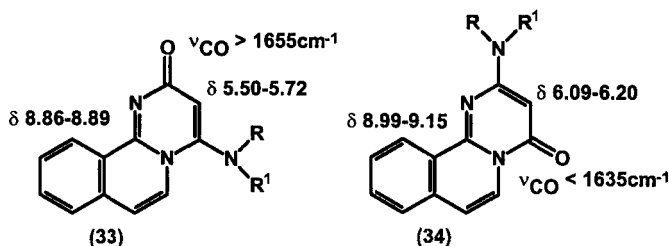
(91MI10) containing a pyrimido[1,2-*a*]quinoline chromophore, and their Fe(III) and Cr(III) complexes were recorded in aqueous solutions [81MI1, 81MI2; 83TL4877; 84MI10; 87MI4; 89LA375; 90MI2, 90MI5, 90MI6, 90ZN(B)1437; 91MI11, 91ZN(C)522, 91ZN(C)534; 92M151, 92MI5, 92TL1889, 92ZN(C)26, 92ZN(C)487; 93JPR157; 96MI2]. pK_a values of different pyoverdins were determined by spectrometric titration (84BB411).

The ferric complex of chloropyoverdin PaA was characterized by UV investigations (97TL97). The (3*S*)-5-amino-2,3-dihydro-8,9-dihydroxy-1*H*-pyrimido[1,2-*a*]quinoline-3-carboxylic acid chromophore (**11**) of an isopyoverdin was characterized by UV/vis spectra [95ZN(C)622]. UV and fluorescence data for pseudobactin, azoverdin and pyoverdin metal complexes were measured at different pH values [84BBA11; 85MI7; 86MI3, 86ZN(C)497; 87T2261; 95ZN(C)616; 96MI2].

(1*S*)-5,8,9-Trihydroxy-2,3-dihydro-1*H*-pyrimido[1,2-*a*]quinoline-1-carboxylic acid was characterized by CD spectra [91ZN(C)993].

D. INFRARED SPECTROSCOPY

Isomeric 1-oxo-1*H*- and 3-oxo-3*H*-pyrimido[1,2-*a*]quinolines [79CPB2927; 95MI1] and 4-amino-2-oxo-2*H*- and 2-amino-4-oxo-4*H*-pyrimido[2,1-*a*]isoquinolines (**33** and **34**) (95MI1) could be distinguished on the basis of the ν_{CO} band, as it appears at higher wavenumbers ($>1655\text{ cm}^{-1}$ vs $<1635\text{ cm}^{-1}$) in the case of 1-oxo-1*H*-pyrimido[1,2-*a*]quinolines and 2-amino-4-oxo-4*H*-pyrimido[2,1-*a*]isoquinolines than at their isomeric 3-oxo-3*H* and 4-amino-2-oxo-2*H* derivatives.



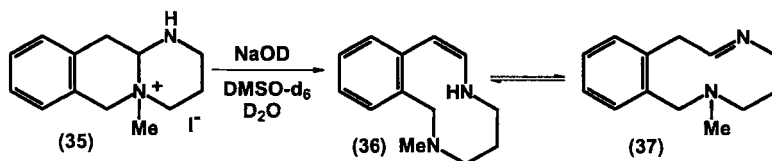
Siderophores and pyoverdins were characterized by infrared spectroscopic investigations (81MI2; 84MI10; 85MI7).

The Bohlmann bands at 2805 and 2761 cm^{-1} in the infrared spectra indicated *trans*-fusion of the heterorings in 3,3-diethyl-1,3,4,6,7,11*b*-hexahydro-2*H*-pyrimido[2,1-*a*]isoquinoline (69YZ649). The presence of Bohlmann bands in the infrared spectra of 1,3,4,6,11,11*a*-hexahydro-2*H*-pyrimido[1,2-*b*]isoquinoline and its 2-oxo derivative justified the *trans*-type ring junction of the heterorings [68JCS(CC)1423].

E. ^1H NMR SPECTROSCOPY

The coupling constants (9 and 5 Hz) for 11*a*-H (3.96dd) of 1,3,4,6,11,11*a*-hexahydro-2*H*-pyrimido[1,2-*b*]isoquinolin-2-one indicates a *trans*-type ring junction of the heterorings [68JCS(CC)1423].

An equilibrium of 2-methyl-1,2,3,4,5,6-hexahydro- (**36**) and 1,2,3,4,5,8-hexahydro-2,6-benzodiazecine (**37**) was detected in a solution of 5-methyl-1,3,4,6,11,11*a*-hexahydro-2*H*-pyrimido[1,2-*b*]isoquinolinium iodide (**35**) in $\text{DMSO-d}_6\text{-D}_2\text{O}$ in the presence of NaOD (73JOC437).



The tautomerism of 6-formyl-5,7,8,9-tetrahydro- (**21**, $n = 1$) (84JHC 219), 6-formyl-1,2,3,5,6,7,8,9-octahydro- (87JHC1045), and 6-ethoxalyl-5,7,8,9-tetrahydro- (**23**) and -1,2,3,4,5,7,8,9-octahydro-11*H*-pyrido[2,1-*b*]-quinazolin-11-ones (**24**) [89JCS(P2)1613], and the *E*-*Z* isomerism of 6-arylhydrazono-6,7,8,9-tetrahydro- (84JHC1301) and 6-arylhydrazono-1,2,3,4,6,7,8,9-octahydro-11*H*-pyrido[2,1-*b*]quinazolin-11-ones (**25**) (87JHC1045) were also studied by ^1H NMR spectroscopy.

The 9-methyl group on 6,7,8,9-tetrahydro- and 1,2,3,4,6,7,8,9-octahydro-11*H*-pyrido[2,1-*b*]quinazolin-11-ones occupies a quasi-axial position due to the 1-3 allyl-type strain, which would develop between the 9-methyl group and the neighboring C(11)=O carbonyl group if the methyl group were in a quasi-equatorial position (87JHC1045).

^1H NMR spectra of 11*H*-pyrido[2,1-*b*]quinazolin-11-one (**27**) and its 2-amino, 3-amino, 2-methoxy, 8-nitro, 9-methyl, and 3-chloro derivatives were measured using DMSO- d_6 (83MI3).

Isomeric 4-amino-2-oxo-2*H*- and 2-amino-4-oxo-4*H*-pyrimido[2,1-*a*]isoquinolines (**33** and **34**) in CDCl_3 could be distinguished on the basis of the chemical shifts of H-3 and H-11, as they are shifted downfield by ca 0.49–0.52 pm and 0.12–0.39 pm, respectively, in 2-amino-4-oxo-4*H*-isomers (**34**) relative to the respective signals of 4-amino-2-oxo-2*H*-isomers (**33**) (95MI1). Different siderophores (pseudobactins, pyoverdins, isopyoverdins, azoverdins) were characterized by ^1H NMR investigations [81MI2; 83TL4877; 84BBA11, 84MI10; 86MI3, 86ZN(C)497; 87T2261; 89LA375; 90MI2, 90MI5, 90MI6, 90ZN(B)1437; 91MI9, 91ZN(C)534; 92M151, 92MI5, 92TL1737, 92ZN(C)26, 92ZN(C)487; 93JPR83, 93JPR157, 93MI6, 94T9865; 95ZN(C)337, 95ZN(C)616, 95ZN(C)622; 96MI2, 96MI5, 96TL3329, 96ZN(C)772].

Acidic hydrolytic products of pyoverdins, (1*S*)-5-amino-8,9-dihydroxy- (**8**) and 5,8,9-trihydroxy-2,3-dihydro-1*H*-pyrimido[1,2-*a*]quinolines-3-carboxylic acids were characterized by ^{13}C NMR investigations in DMSO- d_6 , DMF- d_7 , and in 1 N DCl solutions [91ZN(C)993].

F. ^{13}C NMR SPECTROSCOPY

Structures of different 1,2,3,4-tetrahydro-, 6,7,8,9-tetrahydro-, 11*H*-1,2,3,4,6,7,8,9-hexahydro-11*H*- (83JHC93), and perhydropyrido[2,1-*b*]quinazolin-11-ones [83JCS(P2)237], and those of different siderophores, containing a pyrimido[1,2-*a*]quinoline moiety [81MI2; 83TL4877; 84MI10; 86MI3, 86ZN(C)497; 87T2261; 89LA375; 90MI2, 90MI5, 90MI6, 90ZN(B)1437; 91MI10, 91ZN(C)522, 91ZN(C)534; 92M151, 92TL1889, 92ZN(C)26, 92ZN(C)487; 93JPR83, 93JPR157; 94T9865; 95ZN(C)337, 95ZN(C)616, 95ZN(C)622; 96MI2, 96MI5, 96TL3329, 96ZN(C)772] were characterized by ^{13}C NMR investigations.

Acidic hydrolytic products of pyoverdins, (1*S*)-5-amino-8,9-dihydroxy-(**8**) and 5,8,9-trihydroxy-2,3-dihydro-1*H*-pyrimido[1,2-*a*]quinolines-3-carboxylic acids in DMSO-*d*₆, DMF-*d*₇, and in 1 N DCl solutions were characterized by ¹³C NMR investigations [91ZN(C)993].

¹³C NMR data indicated the presence of a mobile formyl-enamine and enol-imine tautomeric mixture with the predominance of the former at 6-formyl-1,2,3,4,5,7,8,9-octahydro-11*H*-pyrido[2,1-*b*]quinazolin-11-ones (87JHC1045).

G. ¹⁵N NMR SPECTROSCOPY

(1*S*)-5,8,9-Trihydroxy-2,3-dihydro-1*H*-pyrimido[1,2-*a*]quinolinium-1-carboxylic acid cation in DMSO-*d*₆ was characterized by ¹⁵N NMR investigations [91ZN(C)993]. The ferric complex of chloropyoverdin PaA was investigated by ¹H and ¹⁵N NMR spectroscopy (97TL97). Azoverdins, bacterial siderophores, were investigated by ¹⁵N NMR spectroscopy (96MI2).

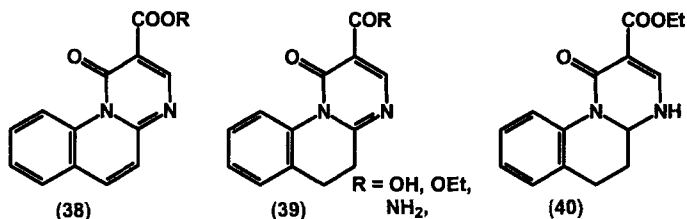
H. MASS SPECTROSCOPY

The successive loss of CO and HCN was the main fragmentation path for 11*H*-pyrido[2,1-*b*]quinazolin-11-one (**27**) and its 2-, 3-, 4-, 8-nitro-, 2,4-, 2,6-, 2,8-dinitro, 2-, 3-methoxy, 8-, 9-methyl, 2-, 4-amino, 2-acetamido, and 3-chloro substituted derivatives (83MI1).

Electron ionization mass spectra of 11*H*-pyrido[2,1-*b*]quinazolin-11-one (**27**), its 9-methyl derivative, and their 1,2,3,4-tetrahydro derivatives were studied (94RCM535).

The mass spectral properties of alkyl 1-oxo-1*H*- (**38**), 1-oxo-5,6-dihydro-1*H*- (**39**, R = OEt), 1-oxo-4,4*a*,5,6-tetrahydro-1*H*-pyrimido[1,2-*a*]quinoline-2-carboxylates (**40**), and 1-oxo-5,6-dihydro-1*H*-pyrimido[1,2-*a*]quinoline-2-carboxylic acid (**39**, R = OH) and amide (**39**, R = NH₂) have been studied (76MI1).

A hydrolytic product of pyoverdins, (1*S*)-2,3-dihydro-5,8,9-trihydroxy-1*H*-pyrimido[1,2-*a*]quinoline-1-carboxylic acid was analyzed by means of



fast atom bombardment (FAB) and tandem mass spectrometry (87T2261; 91OMS899). The structures of different siderophores {pyoverdins, isopyoverdins [93MI6; 95ZN(C)622], azoverdins(91MI10; 96MI2)}, containing pyrimido[1,2-*a*]quinoline moieties, were likewise investigated and determined by FAB mass spectrometry [83TL4877; 84MI10; 86MI3, 86ZN(C)497; 89LA375, 89MI3;90MI2, 90MI5, 90MI6, 90TL7611, 90ZN(B)1437; 91ZN(C)522, 91ZN(C)534; 92M151, 92MI5, 92TL1737, 92TL1889, 92ZN(C)26, 92ZN(C)487; 93JPR83, 93JPR157, 93MI6; 94T9865; 95ZN(C)337, 95ZN(C)616; 96MI2, 96MI5, 96TL3329, 96ZN(C)722]. The ferric complex of chloropyoverdin PaA was investigated by the FAB-MS technique (97TL97).

I. X-RAY INVESTIGATION

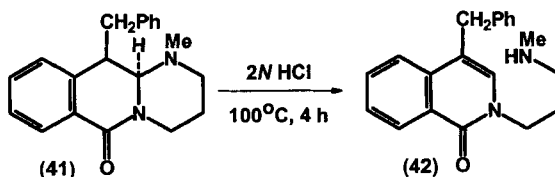
The solid-state structure of (1*S*)-5,8,9-trihydroxy-2,3-dihydro-1*H*-pyrimido[1,2-*a*]quinoline-1-carboxylic acid pentahydrate was determined by X-ray investigations [91ZN(C)933]. The structure of an iron(III)-containing pseudobactin, a siderophore containing a (1*S*)-5-amino-8,9-dihydroxy-2,3-dihydro-1*H*-pyrimido[1,2-*a*]quinazoline-1-carboxylic acid moiety (**8**), was determined by X-ray diffraction investigations (81MI1).

The structures of ethyl 2-hydroxy-4-oxo-4*H*-pyrimido[2,1-*a*]isoquinoline-3-carboxylate (**20**) (89AJC2161), 6-[2-(4-methyl-1-piperazinyl)acetamido]-11*H*-pyrido[2,1-*b*]quinazolin-11-one (89JHC1229), and 6,7,8,9-tetrahydro-11*H*-pyrido[2,1-*b*]quinazolin-11-one (95KPS410) were determined by X-ray crystallography.

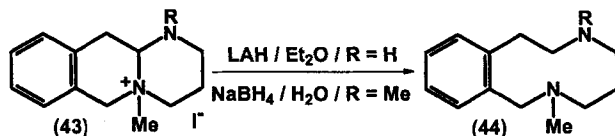
III. Reactivity

A. RING OPENING AND DECOMPOSITION

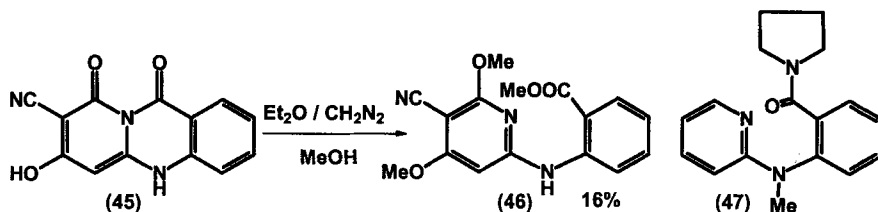
Whereas 1-methyl-1,2,3,4-tetrahydro-6*H*-pyrimido[1,2-*b*]isoquinolin-6-one and its 11-benzyl derivative were resistant to hot acid and alkali, and *cis*-11,11*a*-H-11-benzyl-1-methyl-1,2,3,4,11,11*a*-hexahydro-6*H*-pyrimido[1,2-*b*]isoquinolin-6-one (**41**) to alkali, the latter gave ring-opened product **42** in hot 2 N hydrochloric acid (88HCA77).



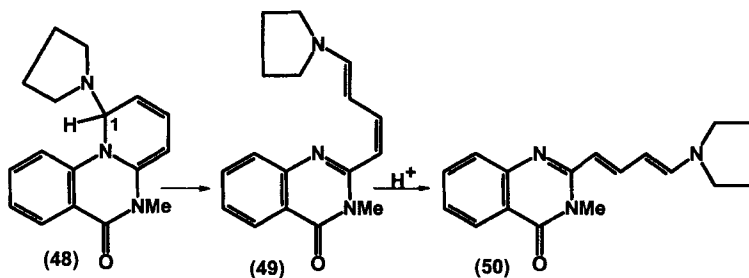
Reduction of 5-methyl-1,3,4,6,11,11*a*-hexahydro-2*H*-pyrimido[1,2-*b*]-isoquinolinium iodides (**43**) with LAH in diethyl ether ($R = H$) or with sodium borohydride in water ($R = Me$) yielded 1,2,3,4,5,6,7,8-octahydro-2-methyl-2,6-benzodiazecines (**44**) (73JOC437).



Methylation of 7-hydroxy-8-cyano-5,9-dihydro-11*H*-pyrido[2,1-*b*]-quinazoline-9,11-dione (**45**) with ethereal diazomethane yielded ring-opened product **46** [84AP(317)824].

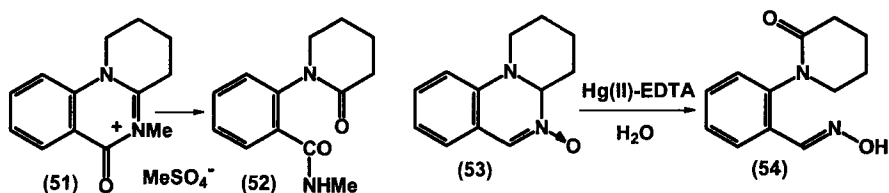


Reaction of 5-methyl-11-oxo-11*H*-pyrido[2,1-*b*]quinazolinium iodide (**29**) with pyrrolidine in acetonitrile at ambient temperature gave *N*-[2-(pyrrolidinocarbonyl)phenyl]-*N*-methyl-2-aminopyridine (**47**) (90JHC2005). Similar reaction of 5-methyl-6-oxo-6*H*-pyrido[1,2-*a*]quinazolinium iodide (**30**) afforded a 1-*cis*-3-*trans*-butadiene derivative (**49**). In this case in the first step the nucleophilic attack occurred at position 1, instead of the ring carbonyl, and the adduct **48** underwent a retro-electrocyclization to give 1-*cis*-3-*trans*-butadiene derivative (**49**), as revealed by its ^1H NMR spectrum (90JHC2005). Compound **49** underwent a slow isomerization to the all-*trans*-butadiene derivative **50** in protic solvent or on standing at room temperature for a longer period.



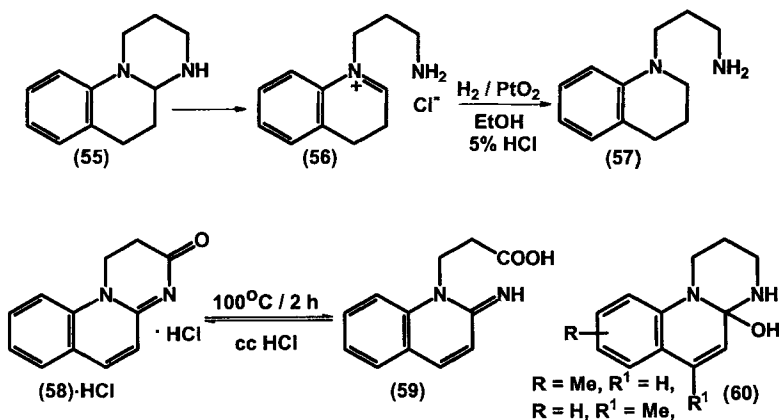
Oxidation of 1-methyl-1,3,4,6,11,11*a*-hexahydro-2*H*-pyrimido[1,2-*b*]-isoquinoline with the $\text{Hg}(\text{OAc})_2$ -EDTA reagent in 2% acetic acid gave a complex reaction mixture, containing ca 25% of isocarbostryl (73JOC437).

Treatment of 5-methyl-6-oxo-1,2,3,4-tetrahydro-6*H*-pyrido[1,2-*a*]quinazolinium methosulfate (**51**) with aqueous alkali gave a ring-opened product (**52**) (88UKZ1079).



Oxidation of 2,3,4,4*a*-tetrahydro-1*H*-pyrido[1,2-*a*]quinazoline-*N*-oxide (**53**) with the $\text{Hg}(\text{OAc})_2$ -EDTA reagent in water afforded a ring-opened product (**54**) in quantitative yield [90AP(323)405].

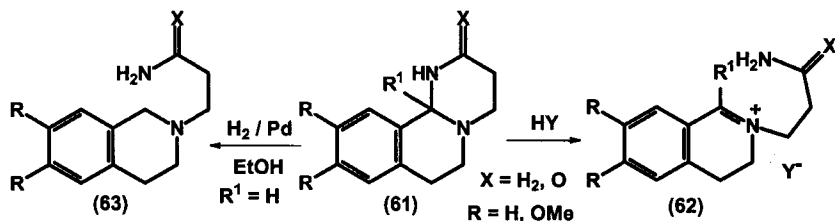
Heating 2,3,4,4*a*,5,6-hexahydro-1*H*-pyrimido[1,2-*a*]quinoline (**55**) in 0.1 N hydrochloric acid yielded ring-opened product (**56**). Reduction of compound **55** in ethanol containing 5% HCl at room temperature and normal pressure over PtO_2 catalyst afforded 1-(3-aminopropyl)-1,2,3,4-tetrahydroquinoline (**57**) (63YZ682).



Heating 2,3-dihydro-1*H*-pyrimido[1,2-*a*]quinolin-3-one (**58**) hydrochloride in water afforded 3-(2-imino-1,2-dihydro-1-quinolinyl)propionic acid (**59**) monohydrate (63YZ682; 71KGS482), which cyclized to **58** hydrochloride.

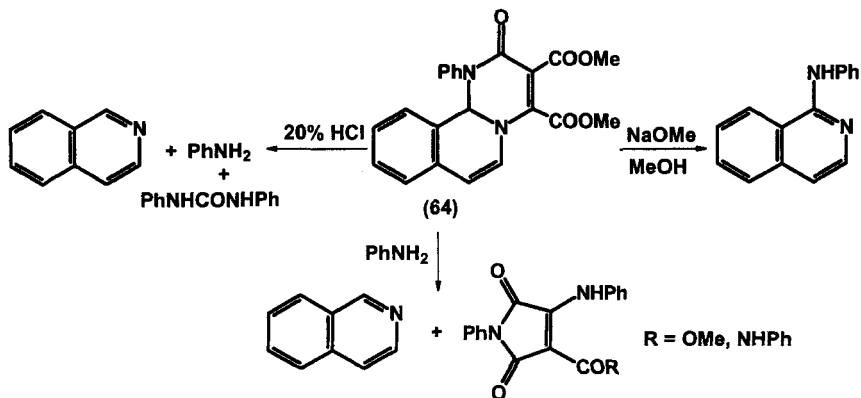
ride in conc. hydrochloric acid (63YZ682). Heating 4a-hydroxy-2,3,4,4a-tetrahydro-1*H*-pyrimido[1,2-*a*]quinolines (**60**) with Al_2O_3 at 125–150°C gave 1-(3-aminopropyl)quinolin-2(1*H*)-one (69YZ759).

2-Aminoquinoline was obtained in 80% yield from ethyl 1-oxo-1*H*-pyrimido[1,2-*a*]quinoline-2-carboxylate in boiling 10% aqueous sodium hydroxide for 1 h (78YZ1279).

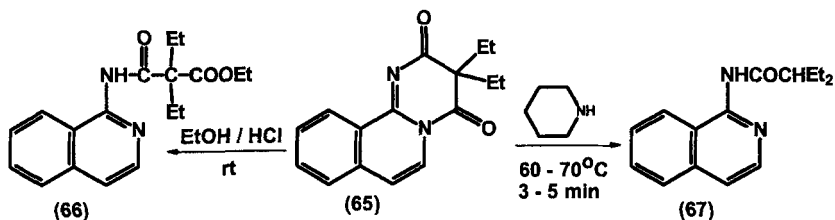


1,3,4,6,7,11*b*-Hexahydro-2*H*-pyrimido[2,1-*a*]isoquinolines and their 2-oxo derivatives (**61**, $\text{R}^1 = \text{H}$, $\text{X} = \text{H}_2, \text{O}$) gave ring-opened 2-(3-aminopropyl- and 2-aminocarbonylethyl)-3,4-dihydroisoquinolinium salts (**62**, $\text{R}^1 = \text{H}$, $\text{X} = \text{H}_2, \text{O}$) by the action of acids (59YZ1014; 62CB2122, 62MI1). 11*b*-Methyl-1,3,4,6,7,11*b*-hexahydro-2*H*-pyrimido[2,1-*a*]isoquinolin-2-one (**61**, $\text{R} = \text{H}$, $\text{R}^1 = \text{Me}$, $\text{X} = \text{O}$) afforded 1-methyl-2-(2-aminocarbonylethyl)-3,4-dihydroisoquinolinium perchlorate (**62**, $\text{R} = \text{H}$, $\text{R}^1 = \text{Me}$, $\text{X} = \text{O}$, $\text{Y} = \text{ClO}_4$) on treatment with 30% hydrochloric acid in ethanol, then with perchloric acid (93KGS499). Catalytic reduction of 1,3,4,6,7,11*b*-hexahydro-2*H*-pyrimido[2,1-*a*]isoquinolines and their 2-oxo derivative (**61**, $\text{R}^1 = \text{H}$, $\text{X} = \text{H}_2, \text{O}$) in ethyl acetate over Pd/C catalyst yielded 2-(3-aminopropyl- and 2-aminocarbonylethyl)-1,2,3,4-tetrahydroisoquinolines (**63**, $\text{X} = \text{H}_2, \text{O}$) (62CB2122, 62MI1). Catalytic hydrogenation of 2*H*-pyrimido[2,1-*a*]isoquinolin-2-one and its 3,4-dihydro derivative over 5% Pd/C catalyst in 2-propanol in an autoclave at 120°C for 3 h yielded the ring-opened 3-(1,2,3,4-tetrahydro-1-isoquinolyl)propionamide (**63**, $\text{R} = \text{H}$, $\text{X} = \text{O}$) (72CB108).

Treatment of dimethyl 1-phenyl-2-oxo-1,11*b*-dihydro-2*H*-pyrimido[2,1-*a*]isoquinoline-3,4-dicarboxylate (**64**) with 20% hydrochloric acid, with sodium methylate in methanol, or with aniline yielded ring-opened products (Scheme 3) (67CB1094).

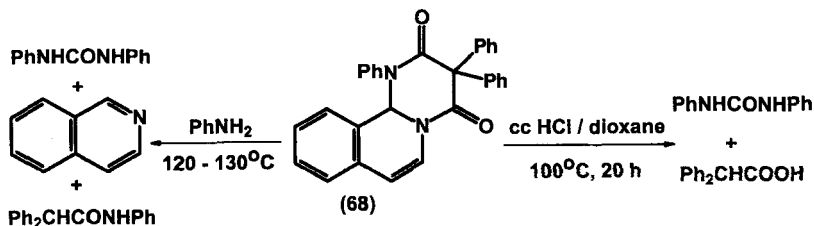


SCHEME 3



Reactions of 3,3-diethyl-3,4-dihydro-2*H*-pyrimido[2,1-*a*]isoquinoline-2,4-dione (65) with ethanol in the presence of hydrogen chloride and piperidine at $60-70^\circ\text{C}$ afforded ethyl *N*-(1-isoquinolyl)-2,2-diethylmalonamate (66) and 1-(2-ethylbutyramido)isoquinoline (67), respectively (69YZ649).

Treatment of 1,3,3-triphenyl-1,3,4,11*b*-tetrahydro-2*H*-pyrimido[2,1-*a*]isoquinoline-2,4-dione (68) with aniline and conc. hydrochloric acid in dioxane afforded ring-opened products (67CB1107).

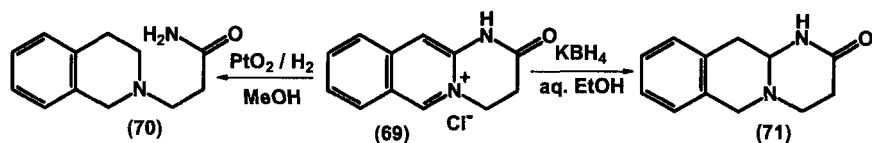


Oxidation of dimethyl 1-phenyl-2-oxo-1,2-dihydro-11*b*H-pyrimido[2,1-*a*]-isoquinoline-3,4-dicarboxylate (**64**) by potassium permanganate either in acetone or in aqueous potassium hydroxide, followed by treatment with ethereal diazomethane, gave isocarbostyrl and methyl oxanilate, respectively (67CB1094). Oxidation of 4-phenyl-2*H*-pyrimido[2,1-*a*]isoquinolin-2-one with potassium permanganate in a 1:5 mixture of pyridine and 2 N potassium hydroxide yielded 2-(2-carboxyphenyl)-6-phenylpyrimidin-4(3*H*)-one (72CB108). 2-Methyl-4*H*-pyrimido[2,1-*a*]isoquinolin-4-one and 3,4-dihydro-2*H*-pyrimido[2,1-*a*]isoquinoline-2,4-dione with potassium permanganate afforded phthalimide in low yield.

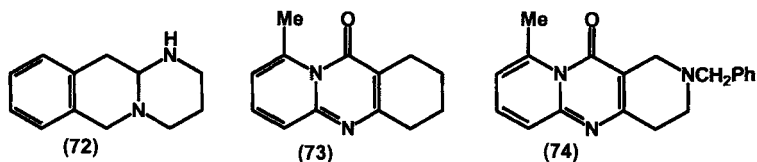
For further examples see Section III,B.

B. REDUCTION, HYDROGENATION

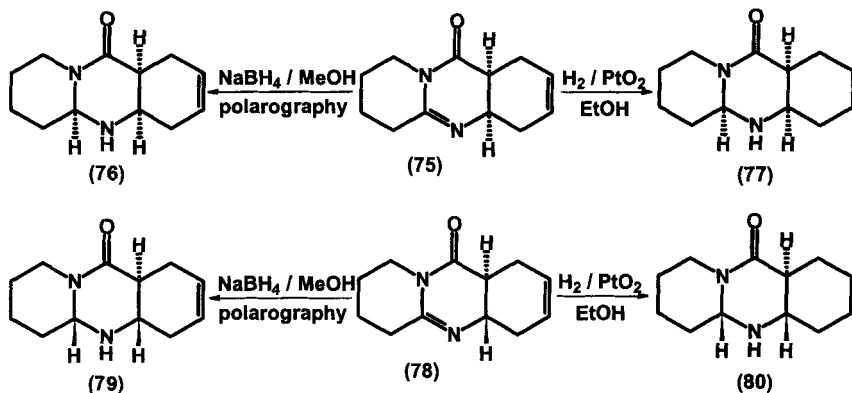
Catalytic hydrogenation of 2-oxo-1,2,3,4-tetrahydropyrimido[1,2-*b*]-isoquinolinium chloride (**69**) over PtO_2 catalyst in methanol gave ring-opened product (**70**), whereas reduction with potassium borohydride in aqueous ethanol afforded 1,3,4,6,11,11*b*-hexahydro-2*H*-pyrimido[1,2-*b*]isoquinolin-2-one (**71**) [68JCS(CC)1423]. Reduction with LAH in several solvents failed to yield any identifiable products. The oxo group of 1,3,4,6,11,11*b*-hexahydro-2*H*-pyrimido[1,2-*b*]isoquinolin-2-one (**71**) was reduced to a methylene group by LAH in diethyl ether to give 1,3,4,6,11,11*b*-hexahydro-2*H*-pyrimido[1,2-*b*]isoquinoline (**72**).



Reduction of 11-benzyl-1-methyl-1,2,3,4-tetrahydro-6*H*-pyrimido[1,2-*b*]-isoquinolin-6-one in methanol with sodium borohydride gave *cis*-11,11*a*-H-1,2,3,4,11,11*a*-hexahydro derivative **41** (88HCA77).

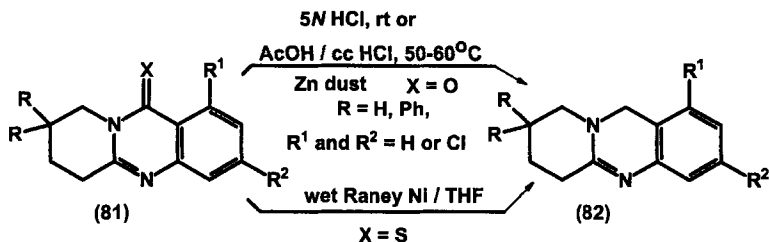


Catalytic hydrogenation of 1,2,3,4-tetrahydro-11*H*-pyrido[2,1-*b*]quinazolin-11-one and its 6-, 7-, 8-, and 9-methyl derivatives over Pd/C catalyst in ethanol gave 1,2,3,4,6,7,8,9-octahydro-11*H*- derivatives (87JMC1543). A solution of a 1:1 mixture of 9-methyl-1,2,3,4-tetrahydro-11*H*-pyrido[2,1-*b*]quinazolin-11-one (**73**) and 2-benzyl-9-methyl-1,2,3,4-tetrahydro-11*H*-dipyrido[1,2-*a*; 4,3-*d*]pyrimidin-11-one (**74**) in hot xylene in the presence of Pd/C catalyst yielded a complex reaction mixture containing 15% of 9-methyl-1,2,3,4,6,7,8,9-octahydro-11*H*-pyrido[2,1-*b*]quinazolin-11-one and other products (87T1157). The double bonds of the pyridine of compound **73** was also saturated by intermolecular catalytic hydrogen transfer from 2-(4-cyclohexenyl)-1,2,3,4,5,6,7,8-octahydroquinazolin-4-one in the presence of Pd/C catalyst [85H(23)3095].



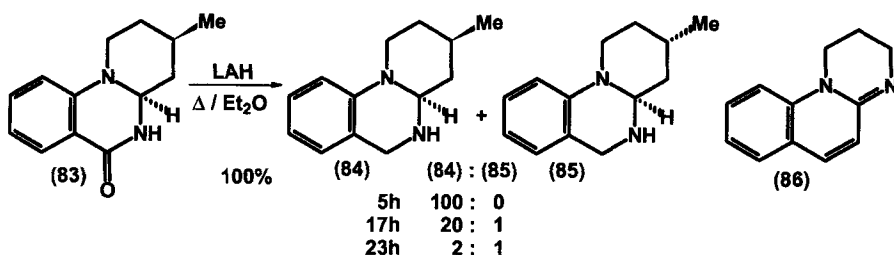
Reduction of *cis*-4*a*,11*a*-H and *trans*-4*a*,11*a*-H-1,4,4*a*,6,7,8,9,11*a*-octahydro-11*H*-pyrido[2,1-*b*]quinazolin-11-ones (**75** and **78**) with sodium borohydride (90PHA109) or by polarography (90PHA109, 90PHA740) led to *cis*-4*a*,5*a*,11*a*-H- and *cis*-4*a*,5*a*-H-*trans*-11*a*-H-1,4,4*a*,5,5*a*,6,7,8,9,11*a*-decahydro-11*H*-pyrido[2,1-*b*]quinazoline-11-ones (**76** and **79**), whereas catalytic reduction over PtO_2 catalyst afforded all-*cis*- and *cis*-4*a*,5*a*-H-*trans*-11*H*-perhydropyrido[2,1-*b*]quinazolin-11-ones (**77** and **80**) (90PHA 109). Perhydro compounds **77** and **80** were also obtained from *cis*-4*a*,11*a*-H- and *trans*-4*a*,11*a*-H-1,2,3,4,4*a*,6,7,8,9,11*a*-decahydro-11*H*-pyrido[2,1-*b*]quinazolin-11-ones, respectively, by polarography (89PHA454).

3-Amino-6,7,8,9-tetrahydro-11*H*-pyrido[2,1-*b*]quinazolin-11-one was prepared by catalytic hydrogenation of the 3-nitro derivative over Raney nickel in ethanol [91KFZ(11)28].



6,7,8,9-Tetrahydro-11H-pyrido[2,1-b]quinazolines (**82**) were prepared from, their 11-oxo derivatives (**81**, X = O) by reduction with zinc dust in 5 N hydrochloric acid at room temperature [88IJC(B)937] or in a mixture of conc. hydrochloric acid and glacial acetic acid at 60°C (93MIP1; 96BMC737) or from their 11-thione derivatives (**81**, X = S) with wet Raney nickel in boiling tetrahydrofuran (93MIP1). Reduction of 6,7,8,9-tetrahydro-11H-pyrido[2,1-b]quinazolin-11-one (**7**) with borane and LAH gave a complex mixture (96BMC737).

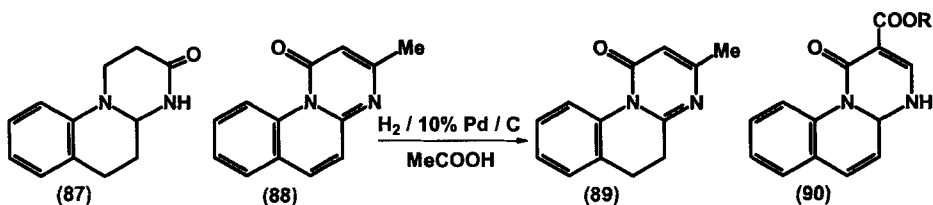
Reduction of 7-methyl-6,7,8,9-tetrahydro-11H-pyrido[2,1-b]quinazoline with LAH or sodium borohydride gave a 5,5a,6,7,8,9-hexahydro derivative (95AJC2023).



Reduction of *cis*-3,4a-H-3-methyl-1,2,3,4,4a,5-hexahydro-6H-pyrimido[1,2-a]quinazolin-6-one (**83**) with LAH in boiling diethyl ether for 5 h gave *cis*-3,4a-H-3-methyl-1,2,3,4,4a,5-hexahydro-6H-pyrimido[1,2-a]quinazoline (**84**) in 10% yield. When a longer reaction period was applied, a mixture of diastereomers **84** and **85** was obtained in quantitative yield (94AJC1061).

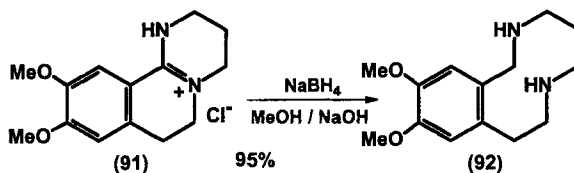
Reduction of 2,3-dihydro-1H-pyrimido[1,2-a]quinoline (**86**) in ethanol at 110–120°C under 70–80 atm pressure of hydrogen over PtO₂ catalyst for 8 h gave 2,3,4,4a,5,6-hexahydro-1H-pyrimido[1,2-a]quinoline (**55**) (63YZ682). When the reduction of **86** was carried out in the presence of 5% hydrochloric acid at ambient pressure, ring-opened 1-(3-aminopropyl)-1,2,3,4-tetrahydroquinoline (**57**) was obtained. Catalytic hydrogenation of

2,3-dihydro-1*H*-pyrimido[1,2-*a*]quinolin-3-one (**58**) in ethanol over PtO_2 catalyst afforded 2,3,4,4*a*,5,6-hexahydro-1*H*- derivative (**87**). The oxo group of **87** was reduced to a methylene group by treatment with LAH to give 2,3,4,4*a*,5,6-hexahydro-1*H*-pyrimido[1,2-*a*]quinoline (**55**).



Catalytic reduction of 3-methyl-1*H*-pyrimido[1,2-*a*]quinoline-1-one (**88**) over 10% Pd/C catalyst in acetic acid at 50°C atmospheric pressure gave 5,6-dihydro derivative **89** (74MIP1). An alkyl 1-oxo-1*H*-pyrimido[1,2-*a*]quinoline-2-carboxylate (**38**) in ethanol over Raney nickel under 5–10 atm pressure of hydrogen afforded a mixture of 4,4*a*-dihydro- (**90**) and 5,6-dihydro derivatives (**39**, $\text{R} = \text{O-alkyl}$) (74MIP1). Reduction of ethyl 1-oxo-5,6-dihydro-1*H*-pyrimido[1,2-*a*]quinoline-2-carboxylate (**39**, $\text{R} = \text{OEt}$) with sodium borohydride in aqueous methanol yielded 4,4*a*,5,6-tetrahydro derivative **40**. Ethyl 5-amino-1-oxo-1*H*-pyrimido[1,2-*a*]quinoline-2-carboxylate was obtained by catalytic hydrogenation of the 5-nitro derivative over Pd/C catalyst in 96% acetic acid (74MIP1).

Catalytic hydrogenation of 2-benzyl-4-phenyl-1-oxo-1*H*-pyrimido[1,2-*a*]quinolin-4-ium-3-olate over 5% Pd/C catalyst in acetic acid under 3.5 atm hydrogen at 50°C yielded a 5,6-dihydro derivative (83M227).

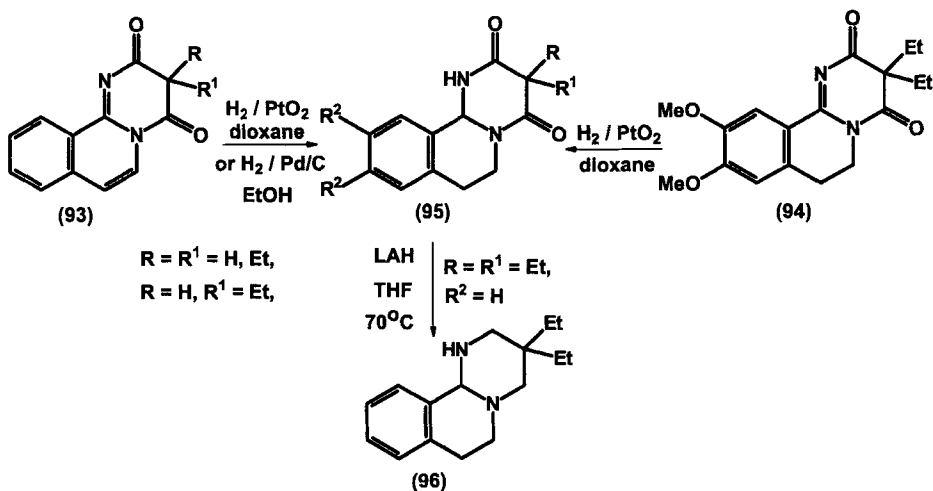


Reduction of 9,10-dimethoxy-1,2,3,4,6,7-hexahydropyrimido[2,1-*a*]isoquinolinium chloride (**91**) in dioxane with LAH afforded 9,10-dimethoxy-1,2,3,4,6,7-hexahydro-11*bH*-pyrimido[2,1-*a*]isoquinoline (**16**, $\text{R} = \text{OMe}$, $\text{R}^1 = \text{H}$), whereas reduction with sodium borohydride in methanol in the presence of sodium hydroxide gave 10,11-dimethoxy-1,2,3,4,5,6,7,8-octahydro-2,6-benzodiazocine (**92**) (59YZ1014). Treatment of 1,3,4,11*b*-tetrahydro-2*H*-pyrimido[2,1-*a*]isoquinoline with LAH in boil-

ing tetrahydrofuran overnight failed to give 1,3,4,6,7,11*b*-hexahydro derivative **16** ($R = R^1 = H$) (73JOC437).

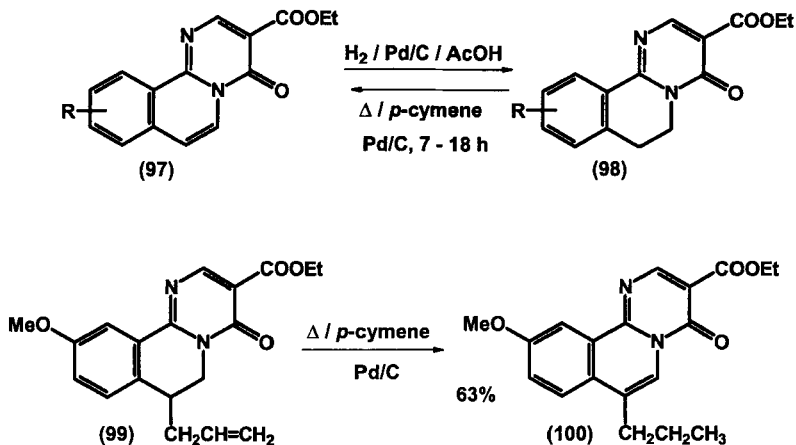
Catalytic hydrogenation of 6-chloro-8-nitro-3,4-dihydro-2*H*-pyrimido[1,2-*a*]isoquinoline in ethanol over PtO_2 for 69 h afforded 8-amino-3,4-dihydro-2*H*-pyrimido[1,2-*a*]isoquinoline (67IJC403).

11*b*-Phenyl-1,3,4,6,7,11*b*-hexahydro-2*H*-pyrimido[2,1-*a*]isoquinoline was prepared from its 6-oxo derivative by reduction with LAH in diethyl ether (71MI1).



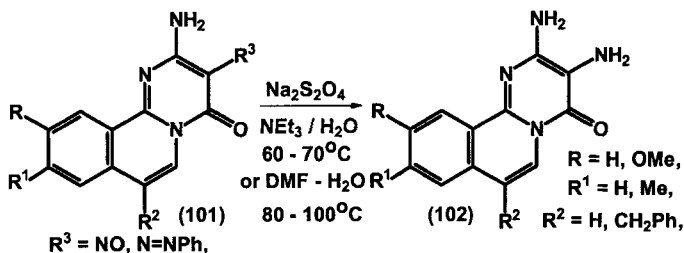
Catalytic hydrogenation of 3,4-dihydro-2*H*-pyrimido[2,1-*a*]isoquinoline-2,4-diones (**93**) and 3,3-diethyl-9,10-dimethoxy-3,4,6,7-tetrahydro-2*H*-pyrimido[2,1-*a*]isoquinoline-2,4-dione (**94**) over PtO_2 and Pd/C catalysts gave 1,3,4,6,7,11*b*-hexahydro-2*H*-pyrimido[2,1-*a*]isoquinoline-2,4-diones (**95**) [69YZ649; 71JPP71/09466]. From the mother liquid of compounds **93** ($R = H, R^1 = Et$ and $R = R^1 = Et$) 3-ethyl-2-hydroxy-6,7-dihydro- and -8,9,10,11-tetrahydro-4*H*-pyrimido[2,1-*a*]isoquinolin-4-ones, and 3,3-diethyl-1,3,4,11*b*-tetrahydro-2*H*-pyrimido[2,1-*a*]isoquinoline-2,4-dione, also could be isolated as minor products. Reduction of 3,3-diethyl-1,3,4,6,7,11*b*-hexahydro-2*H*-pyrimido[2,1-*a*]isoquinoline-2,4-dione (**95**, $R = R^1 = Et, R^2 = H$) with LAH in tetrahydrofuran at $70^\circ C$ for 9 h afforded 3,3-diethyl-1,3,4,6,7,11*b*-hexahydro-2*H*-pyrimido[2,1-*a*]isoquinoline (**96**).

Catalytic hydrogenation of ethyl 4-oxo-4*H*-pyrimido[2,1-*a*]isoquinoline-3-carboxylate (**97**, $R = H$) in acetic acid over 10% Pd/C gave a 6,7-dihydro derivative (**98**, $R = H$) (78USP4127720).



Ethyl 10-methoxy-7-propyl-4-oxo-4*H*-pyrimido[2,1-*a*]isoquinoline-3-carboxylate (**100**) was obtained from ethyl 7-allyl-10-methoxy-4-oxo-6,7-dihydro-4*H*-pyrimido[2,1-*a*]isoquinoline-3-carboxylate (**99**) by heating in boiling *p*-cymene in the presence of 10% Pd/C catalyst for 4 h (78USP 4127720).

A nitro group at positions 8, 10, and 11 on ethyl 4-oxo-4*H*-pyrimido[2,1-*a*]isoquinoline-3-carboxylate was reduced to an amino group by treatment with iron powder and ammonium chloride in boiling aqueous ethanol (85EUP143001).



2,3-Diamino-4*H*-pyrimido[2,1-*a*]isoquinolin-4-ones (**102**) were obtained by reduction of 2-amino-3-nitroso- and 3-phenylazo-4*H*-pyrimido[2,1-*a*]isoquinoline-4-ones (**101**) with sodium dithionite (73GEP2261009).

Hydrogenation of 4-oxo-4*H*-pyrimido[2,1-*a*]isoquinoline-3-nitrile in tetrahydrofuran in the presence of ammonia over Raney nickel under 60 psi for 5 h yielded 3-aminomethyl-4*H*-pyrimido[2,1-*a*]isoquinolin-4-one (86EUP166439). Reduction of ethyl 4-oxo-4*H*-pyrimido[2,1-*a*]isoquinoline-3-carboxylate with diisobutylaluminum hydride in methylene chloride

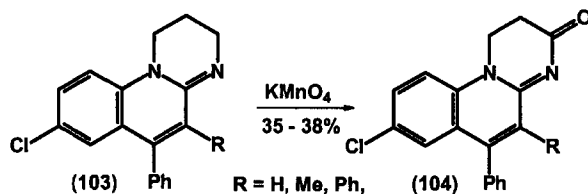
at -50 and -70°C gave 3-hydroxymethyl-4*H*-pyrimido[2,1-*a*]isoquinolin-4-one (86EUP166439).

C. OXIDATION, DEHYDROGENATION

6,7,8,9-Tetrahydro-11*H*-pyrido[2,1-*b*]quinazoline hydrate ($6 \cdot \text{H}_2\text{O}$) deteriorated during storage into its 11-oxo derivative (**7**), or in water at room temperature in the presence of air (85AJC1007). A mixture of the 7-methyl derivative of 6,7,8,9-tetrahydro-11*H*-pyrido[2,1-*b*]quinazoline (**6**) and its 5,5*a*,6,7,8,9-hexahydro derivative partially oxidized on standing in air for 6 months to their 11-oxo derivatives (95AJC2023).

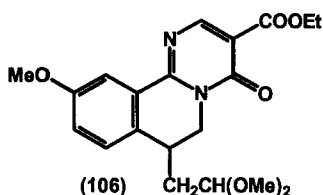
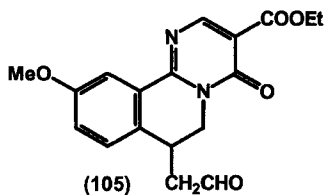
Oxidation of 8-hydroxymethyl-2-isopropyl-11*H*-pyrido[2,1-*b*]quinazolin-11-one with pyridinium chlorochromate in methylene chloride gave the 8-carboxaldehyde, which was converted into its 8-aminomethyl derivatives by reacting with amines followed by reduction of the Schiff bases with sodium cyanoborohydride in acetic acid (87JOC2469).

Oxidation of 6-formyl-5,7,8,9-tetrahydro-11*H*-pyrido[2,1-*b*]quinazolin-11-one with potassium permanganate in pyridine at ambient temperature for 3 h gave 11-oxo-5,7,8,9-tetrahydro-11*H*-pyrido[2,1-*b*]quinazoline-6-carboxylic acid in 24% yield (84JHC1301).



Oxidation of 2,3-dihydro-1*H*-pyrimido[1,2-*a*]quinolines (**103**) with potassium permanganate yielded 2,3-dihydro-1*H*-pyrimido[1,2-*a*]quinolin-3-ones (**104**) (73Y GK313).

Oxidation of ethyl 4-oxo-6,7-dihydro-4*H*-pyrimido[2,1-*a*]isoquinoline-3-carboxylates (**98**) either with cerium ammonium citrate in aqueous acetic acid at 35°C or with DDQ in boiling toluene containing acetic acid for 18 h gave ethyl 4-oxo-4*H*-pyrimido[2,1-*a*]isoquinoline-3-carboxylates (**97**) (78USP4127720). Dehydrogenation of ethyl 4-oxo-6,7-dihydro-4*H*-pyrimido[2,1-*a*]isoquinoline-3-carboxylates (**98**) or -3-nitriles in boiling *p*-cymene over 10% Pd/C gave ethyl 4-oxo-4*H*-pyrimido[2,1-*a*]isoquinoline-3-carboxylates (**97**) and -3-nitriles (78USP4127720).



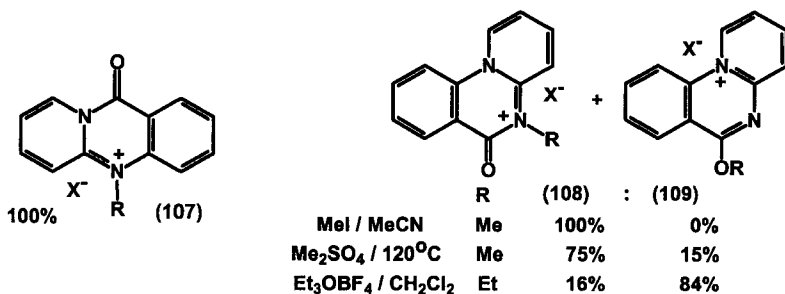
Oxidation of ethyl 7-allyl-10-methoxy-4-oxo-6,7-dihydro-4*H*-pyrimido[2,1-*a*]isoquinoline-3-carboxylate (**99**) by ozone in methylene chloride at -70°C , then treatment of the mixture with dimethyl sulfide at ambient temperature for 1 h gave either 7-(2-oxoethyl)- or 7-(2,2-dimethoxyethyl) derivatives (**105** and **106**), depending upon whether methanol was used during the workup (78USP4127720).

For further example see Section III,A.

D. REACTIVITY OF RING NITROGEN ATOM

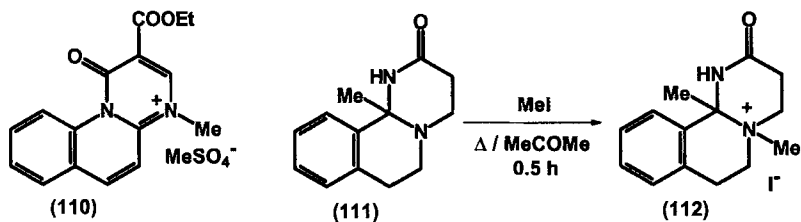
The 1-benzoyl derivative of 1,2,3,4-tetrahydro-6*H*-pyrimido[1,2-*b*]isoquinolin-6-one was prepared from 1,2,3,4-tetrahydro-6*H*-pyrimido[1,2-*b*]isoquinolin-6-one by benzoylation [69LA(729)83]. Reaction of 1,3,4,6,11,11*a*-hexahydro-2*H*-pyrimido[1,2-*b*]isoquinolines and methyl iodide in methylene chloride at 0°C afforded 5-methyl-1,3,4,6,11,11*a*-hexahydro-2*H*-pyrimido[1,2-*b*]isoquinolinium iodides (**43**) (73JOC437).

Whereas the reaction of the linearly fused 11*H*-pyrido[2,1-*b*]quinazolin-11-one (**27**) with alkylating agents (dimethyl sulfate at 120°C , and triethyloxonium tetrafluoroborate in methylene chloride at 20°C) gave exclusively *N*(5)-alkylated quaternary salts (**107**), that of the isomeric angularly fused 6*H*-pyrido[1,2-*a*]quinazolin-6-one (**28**) with the soft methyl iodide afforded only the *N*(5)-methyl quaternary iodide (**108**, $\text{X} = \text{I}$). The reactions of **28** with the hard dimethyl sulfate and triethyloxonium tetrafluoroborate yielded a mixture of 5-methyl-6-oxo-6*H*-pyrido[1,2-*a*]quinazolinium salts (**108**) and 6-alkoxy-6*H*-pyrido[1,2-*a*]quinazolinium salts (**109**) (90JHC2005).



5-Methyl-6-oxo-1,2,3,4-tetrahydro-6*H*-pyrido[1,2-*a*]quinazolinium methosulfate (**51**) was prepared from 1,2,3,4-tetrahydro-6*H*-pyrido[1,2-*a*]quinazolin-6-one by treatment with dimethyl sulfate (88UKZ1079).

Reaction of ethyl 1-oxo-1*H*-pyrimido[1,2-*a*]quinoline-2-carboxylate (**38**, R = Et) and dimethyl sulfate in benzene for 7 h at 60–65°C gave quaternary salt **110** (74MIP1).



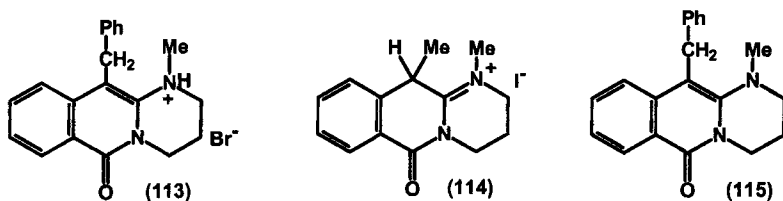
5,11*b*-Dimethyl-2-oxo-1,3,4,6,7,11*b*-hexahydro-2*H*-pyrimido[2,1-*a*]isoquinolinium iodide (**112**) was obtained by quaternization of 11*b*-methyl-1,3,4,6,7,11*b*-hexahydro-2*H*-pyrimido[2,1-*a*]isoquinolin-2-one (**111**) with methyl iodide in boiling acetone for 0.5 h (93KGS499).

N-Alkylation of 3,3-diethyl-1,3,4,6,7,11*b*-hexahydro-2*H*-pyrimido[2,1-*a*]isoquinoline-2,4-dione (**95**, R = R¹ = Et, R² = H) with 3-(dimethylamino)propyl chloride in dioxane in the presence of sodium hydride (53% in oil) gave the 1-(3-dimethylamino)propyl derivative (69YZ649).

See also Section III.E.

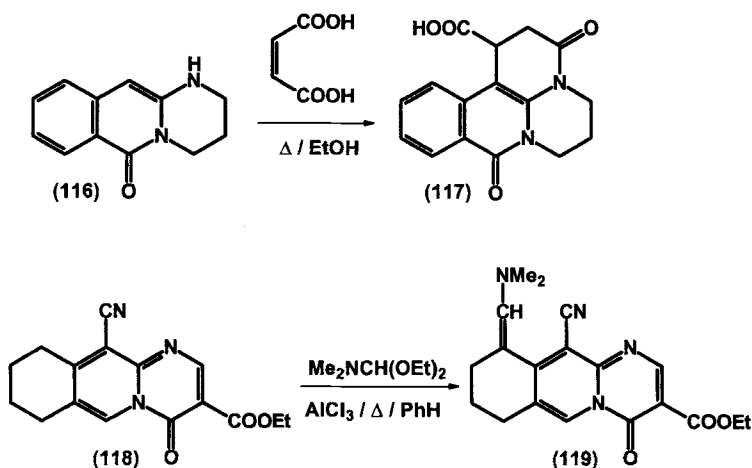
E. REACTIVITY OF RING CARBON ATOMS

C-Alkylation of 1-methyl-1,2,3,4-tetrahydro-6*H*-pyrimido[1,2-*b*]isoquinolin-6-one with benzyl bromide in boiling methylene chloride overnight in the presence of potassium carbonate, and with excess methyl iodide gave 11-substituted derivatives (**113** and **114**) (88HCA77). The treatment of hydrobromide salt of 11-benzyl derivative **113** with a base yielded 11-benzyl-1-methyl-1,2,3,4-tetrahydro-6*H*-pyrimido[1,2-*b*]isoquinolin-6-one (**115**).



Reaction of 1,2,3,4-tetrahydro-6*H*-pyrimido[1,2-*b*]isoquinolin-6-one (**116**) and maleic acid in boiling ethanol afforded tetracyclic carboxylic acid **117** (88HCA77).

Reaction of ethyl 11-cyano-4-oxo-7,8,9,10-tetrahydro-4*H*-pyrimido[1,2-*b*]isoquinoline-3-carboxylate (**118** with *N,N*-dimethylformamide diethyl acetal in boiling benzene in the presence of aluminum chloride afforded 10-dimethylaminomethylene derivative **119** (84KFZ931).

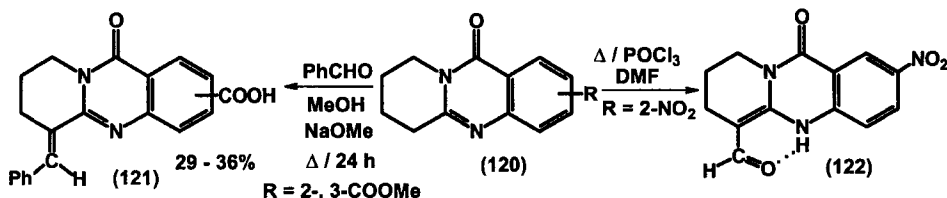


Nitration of 6,7,8,9-tetrahydro-11*H*-pyrido[2,1-*b*]quinazolin-11-one (**7**) with a 1:1 mixture of conc. nitric acid and conc. sulfuric acid at -5°C for 1 h, then room temperature gave the 2-nitro derivative [85IJC(B)336].

Bromination of 1,2,3,4,6,7,8,9-octahydro-11*H*-pyrido[2,1-*b*]quinazolin-11-one and its 8- and 9-methyl derivatives with bromine in 75% acetic acid in the presence of sodium acetate at 50°C gave 6,6-dibromo derivatives (87JHC1045). 2-Bromo-6,7,8,9-tetrahydro-11*H*-pyrido[2,1-*b*]quinazolin-6-one was obtained in 35% yield by bromination of compound **7** with bromine (86MI5). Halogenation of compound **7** with *N*-bromo- and *N*-chlorosuccinimide in chloroform afforded 6-bromo- and 6,6-dichloro-6,7,8,9-tetrahydro-11*H*-pyrido[2,1-*b*]quinazolin-11-ones, respectively (86MI7).

The active 6-methylene group of 6,7,8,9-tetrahydro-11*H*-pyrido[2,1-*b*]quinazolin-11-one (**7**) reacted with aliphatic [85IJC(B)983] and heteroaromatic aldehydes [85IJC(B)983; 86JHC53] in boiling xylene for 15–60 h or at $150\text{--}160^{\circ}\text{C}$ to give 6-alkylidene and 6-arylidene products. Aliphatic aldehydes were reacted under pressure in glass bombs [85IJC(B)983]. Condensation of benzaldehydes with methyl 11-oxo-6,7,8,9-tetrahydro-11*H*-pyrido[2,1-*b*]quinazoline-2-, and -3-carboxylates (**120**, R = 2- and

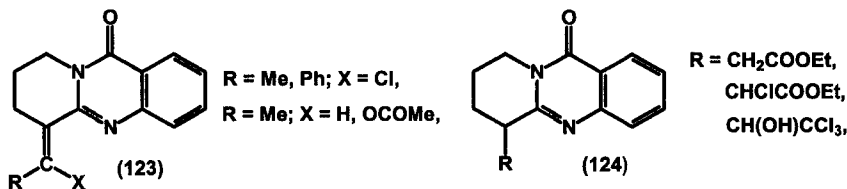
3-COOMe) in boiling methanol in the presence of sodium methylate for 24 h gave 6-arylidene-11-oxo-6,7,8,9-tetrahydro-11*H*-pyrido[2,1-*b*]-quinazolinecarboxylic acids (**121**) (84FES968).



Vielsmeier-Haack formylation of 2-nitro-6,7,8,9-tetrahydro-11*H*-pyrido[2,1-*b*]quinazolin-11-one (**120**, $\text{R} = 2\text{-NO}_2$) (84JHC219) and 1,2,3,4,6,7,8,9-octahydro-11*H*-pyrido[2,1-*b*]quinazolin-11-one and its 8- and 9-methyl derivatives (87JHC1045) with a mixture of phosphoryl chloride and dimethylformamide at 15–20°C gave 6-formyl-2-nitro-5,7,8,9-tetrahydro- (**122**) and 6-formyl-1,2,3,4,5,7,8,9-octahydro-11*H*-pyrido[2,1-*b*]quinazolin-11-ones.

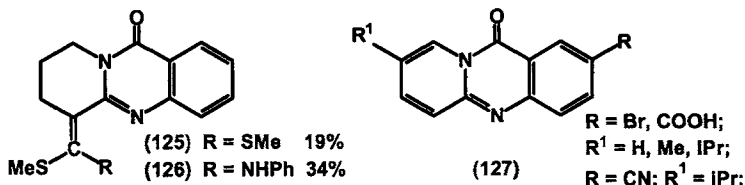
Reactions of 6,7,8,9-tetrahydro-11*H*-pyrido[2,1-*b*]quinazolin-11-one (**7**), its 2-ethoxycarbonyl derivative (**120**, $\text{R} = 2\text{-COOEt}$), and 1,2,3,4,6,7,8,9-octahydro-11*H*-pyrido[2,1-*b*]quinazolin-11-one with diethyl oxalate in ethanol in the presence of sodium ethoxide at ambient temperature for 12 h gave 6-ethoxalyl derivatives (**23** and **24**) [89JCS(P2)1613].

Diethyl 11-oxo-6,7,8,9-tetrahydro-11*H*-pyrido[2,1-*b*]quinazoline-6,6-dicarboxylate was prepared from both 6,7,8,9-tetrahydro-11*H*-pyrido[2,1-*b*]quinazolin-11-one (**7**) and its 6-ethoxycarbonyl derivative by heating with ethyl chloroformate (86JHC53).

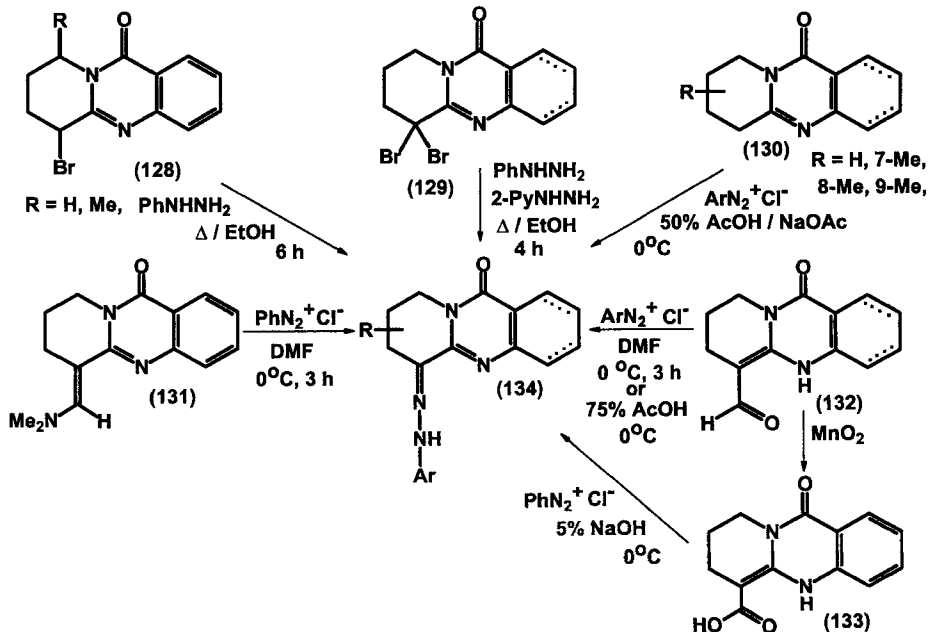


Heating a mixture of 6,7,8,9-tetrahydro-11*H*-pyrido[2,1-*b*]quinazolin-11-one (**7**) and acetyl and benzoyl chlorides, acetic anhydride, and vinyl acetate under reflux gave 6-condensation products (**123**), whereas reactions with ethyl chloroacetate, ethyl dichloroacetate, and chloral hydrate afforded 6-substituted products (**124**) (86MI7). 6,7,8,9-Tetrahydro-11*H*-pyrido[2,1-*b*]quinazolin-11-one (**7**) and acetic anhydride, heated under reflux for 36 h, gave compound **123** ($\text{X} = \text{OAc}$, $\text{R} = \text{Me}$ or $\text{X} = \text{Me}$, $\text{R} = \text{OAc}$, 18%) and its 6-acetyl derivative (**124**, $\text{R} = \text{COMe}$) in 31% yield (87JHC175; 91JHC2071).

6-[(Bismethylthio)methylene]- and 6-[(methylthio)(phenylamino)methylene]- derivatives of 6,7,8,9-Tetrahydro-11*H*-pyrido[2,1-*b*]quinazolin-11-ones (**125** and **126**) were obtained from 6,7,8,9-tetrahydro-11*H*-pyrido[2,1-*b*]quinazolin-11-one (**7**) with carbon disulfide and phenyl isothiocyanate, respectively, in dimethylsulfoxide in the presence of sodium hydride, and then methylation with methyl iodide (86ZC251).

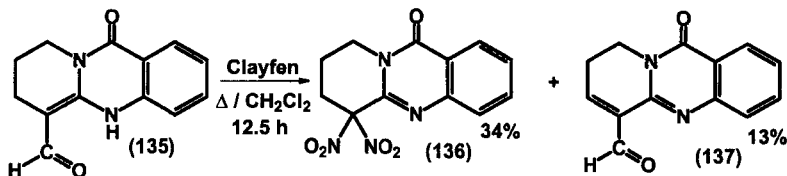


2-Bromo-11*H*-pyrido[2,1-*b*]quinazolin-11-one and its 8-methyl and 8-isopropyl derivatives (**127**, R = Br, R¹ = H, Me, iPr) were treated with carbon monoxide and nickel carbonyl in wet dimethylformamide in the presence of calcium hydroxide to yield 2-carboxylic acid derivatives (**127**, R = COOH, R¹ = H, Me, iPr). 2-Bromo-8-isopropyl-11*H*-pyrido[2,1-*b*]quinazolin-11-one (**127**, R = Br, R¹ = iPr) was reacted with copper(I) cyanide in *N*-methyl-2-pyrrolidone at 180°C for 10 h, then with ferric chloride hexahydrate in diluted hydrochloric acid at 90°C for 30 min to give the 2-cyano derivative (**127**, R = CN, R¹ = iPr) (85CP1189509).

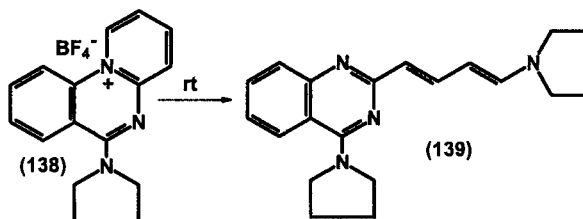


SCHEME 4

Reactions of phenylhydrazine with 6-bromo-6,7,8,9-tetrahydro (**128**) and 6,6-dibromo-6,7,8,9-tetrahydro- and -1,2,3,4,6,7,8,9-octahydro-11*H*-pyrido[2,1-*b*]quinazolin-11-ones (**129**), and reactions of aryldiazonium chlorides with 6,7,8,9-tetrahydro- and 1,2,3,4,6,7,8,9-octahydro-11*H*-pyrido[2,1-*b*]quinazolin-11 ones (**130** and their 6-substituted derivatives (**131**–**133**) yielded 6-arylhydrazono-6,7,8,9-tetrahydro- and 1,2,3,4,6,7,8,9-octahydro-11*H*-pyrido[2,1-*b*]quinazolin-11-ones (**134**) (Scheme 4) (84JHC1301; 87JHC1045).

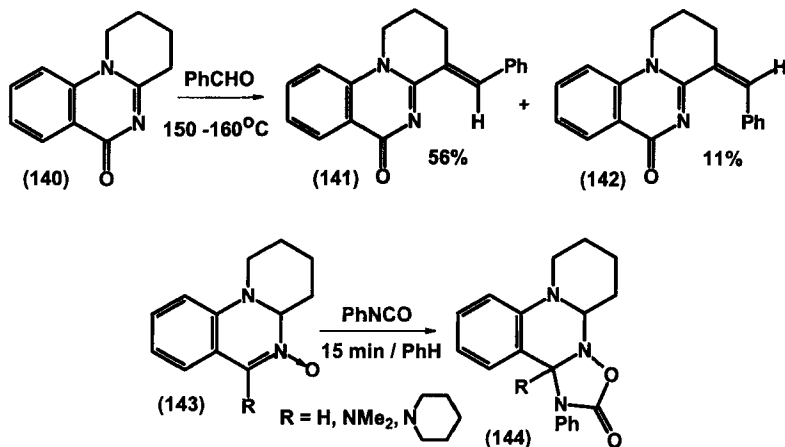


Reaction of 6-formyl-5,7,8,9-tetrahydro-11*H*-pyrido[2,1-*b*]quinazolin-11-one (**135**) with Clayfen [K-10 montmorillonite clay supported iron(III) nitrate] in boiling methylene chloride for 2.5 h gave a mixture of 6,6-dinitro-6,7,8,9-tetrahydro (**136**) and 6-formyl-8,9-dihydro-11*H*-pyrido[2,1-*b*]quinazolin-11-ones (**137**) (90JOC6198).



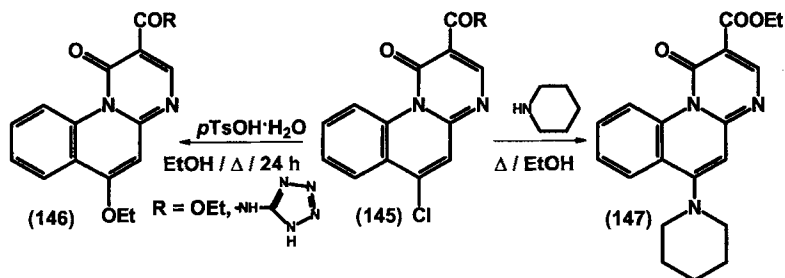
Nucleophilic substitution of 6-ethoxypyrido[1,2-*a*]quinazolinium tetrafluoroborate (**109**, R = Et, X = BF₄) with methanol in the presence of triethylamine or with pyrrolidine in acetonitrile at 0°C gave 6-methoxy (**109**, R = Me, X = BF₄) and 6-pyrrolidinopyrido[1,2-*a*]quinazolinium salts (**138**), respectively (90JHC2005). When the latter reaction was carried out at ambient temperature, a ring-opened product (**139**) was obtained.

The active 4-methylene group of 1,2,3,4-tetrahydro-6*H*-pyrido[1,2-*a*]quinazolin-6-one (**140**) was reacted with benzaldehyde to give a mixture of *E* and *Z* isomers of condensation product (**141** and **142**) (86JHC53).



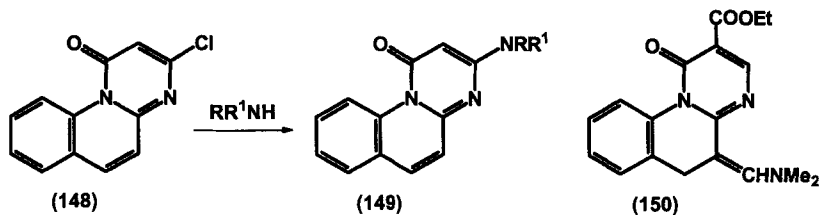
Cycloaddition of phenyl isocyanate and 2,3,4,4a-tetrahydro-1H-pyrido[1,2-*a*]quinazolin-*N*-oxides (**143**) in benzene for 15 min afforded tetracyclic compounds (**144**) [90AP(323)405; 92ZN(B)1333].

Nitration of ethyl 1-oxo-1H-pyrimido[1,2-*a*]quinoline-2-carboxylate (**38**, R = Et) in 98% sulfuric acid with 100% nitric acid at 0°C for 15 min, then at room temperature for 90 min afforded the 5-nitro derivative (74MIP1).



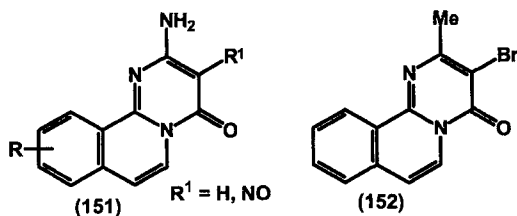
Heating 6-chloro-1-oxo-1H-pyrimido[1,2-*a*]quinoline-2-carboxylic acid derivatives (**145**) in boiling ethanol in the presence of *p*-toluenesulfonic acid monohydrate for 24 h gave 6-ethoxy derivatives (**146**) (75GEP2513930; 77GEP2628751, 77GEP2630469, 77USP4017625, 77USP4031217). Reaction of ethyl 6-chloro-1-oxo-1H-pyrimido[1,2-*a*]quinoline-2-carboxylate (**145**, R = OEt) with piperazine in boiling ethanol afforded 6-piperidino derivative **147** (77GEP2628751, 77USP4031217).

3-(Disubstituted amino)-1H-pyrimido[1,2-*a*]quinolin-1-ones (**149**) were prepared in the reaction of 3-chloro derivative **148** with disubstituted amines and a cyclic amine in boiling ethanol or ethylene glycol (95MI1).



The (1*S*)-5-amino-8,9-dihydroxy-2,3-dihydro-1*H*-pyrimido[1,2-*a*]quinoline-3-carboxylic acid (**8**) form of pyoverdine PaA was halogenated at position 7 with a 30-fold excess of ferric chloride and bromide in acidic water at room temperature for 18 h (97TL97). Acidic hydrolysis of (1*S*)-5-amino-8,9-dihydroxy-2,3-dihydro-1*H*-pyrimido[1,2-*a*]quinoline-1-carboxylic acid (**8**) in boiling 6 N hydrochloric acid for 48 h gave the 5,8,9-trihydroxy derivative (90MI2). Treatment of 2,3-dihydro-1*H*-pyrimido[1,2-*a*]quinoline hydrochlorides with aqueous 10% sodium hydroxide gave 4*a*-hydroxy-2,3,4,4*a*-tetrahydro-1*H*-pyrimido[1,2-*a*]quinolines (**60**) (69YZ759).

Reaction of ethyl 5,6-dihydro-1-oxo-1*H*-pyrimido[1,2-*a*]quinoline-2-carboxylate (**39**, R = OEt) either with phosphoryl chloride in dimethylformamide at ambient temperature for 2 h or with *NN*-dimethylformamide diethylacetal in boiling benzene for 2 h gave ethyl 5-(dimethylamino-methylene)-5,6-dihydro-1-oxo-1*H*-pyrimido[1,2-*a*]quinoline-2-carboxylate (**150**) (79BEP873192).

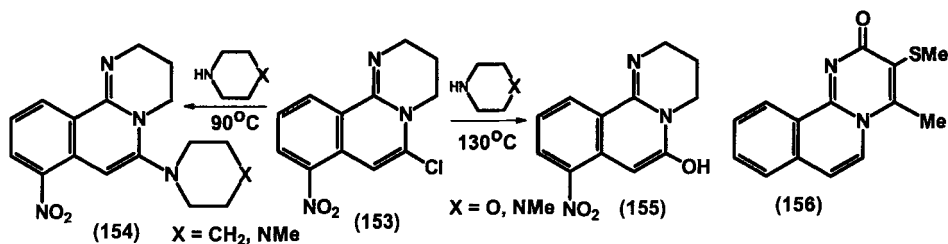


Nitrosation of 2-amino-4*H*-pyrimido[2,1-*a*]isoquinolin-4-ones (**151**, R¹ = H) in acetic acid with sodium nitrite at 70°C gave 2-amino-3-nitroso derivatives (**151**, R¹ = NO) (73GEP2261009). Bromination of 2-methyl-4*H*-pyrimido[2,1-*a*]isoquinolin-4-one (**32** = Me) with *N*-bromosuccinimide in boiling chloroform for 1 h yielded 3-bromo derivative **152** in 96% yield (80KGS1656).

Treatment of 2-hydroxy-4*H*-pyrimido[2,1-*a*]isoquinolin-4-ones with boiling phosphoryl chloride yielded 2-chloro derivatives (73GEP2261009; 90T1323).

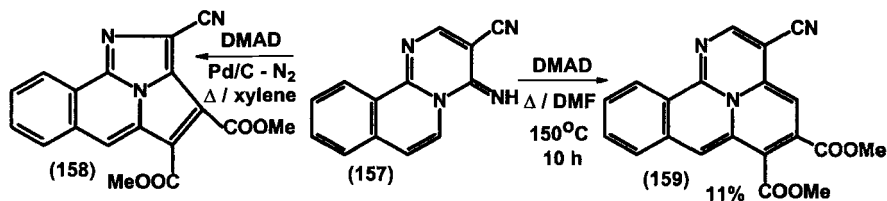
2-(Disubstituted amino)-4*H*-pyrimido[2,1-*a*]isoquinolin-4-ones (**34**) were prepared from 2-chloro-4*H*-pyrimido[2,1-*a*]isoquinolin-4-one (**32** = Cl) with disubstituted amines and cyclic amines in boiling ethanol (95MI1). Reactions of 2-chloro-4*H*-pyrimido[2,1-*a*]isoquinolin-4-one (**32** = Cl) and its 10-methoxy derivative with ammonia in ethanol at 140°C for 5 h yielded 2-amino-4*H*-pyrimido[2,1-*a*]isoquinolin-4-ones (73GEP2261009). Nucleophilic substitutions of 2-chloro-9,10-dimethoxy-6,7-dihydro-4*H*-pyrimido[2,1-*a*]isoquinolin-4-one with methanol in the presence of potassium hydroxide at room temperature for 16 h, with boiling excess amines for 2–4 h, and with hydrazines afforded 2-methoxy (81GEP3006478), 2-amino, and 2-hydrazono derivatives, respectively (81GEP3006478; 90T1323).

Reactions of 6-chloro-8-nitro-3,4-dihydro-2*H*-pyrimido[2,1-*a*]isoquinolin (**153**) with cyclic amines at 90°C yielded the 6-amino derivatives (**154**) (67IJC403). Reactions at higher temperature gave 6-hydroxy derivative **155**.



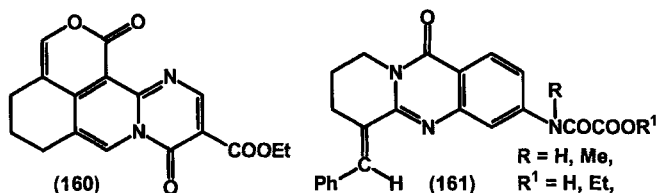
4-Methyl-2*H*-pyrimido[2,1-*a*]isoquinolin-2-one (**31**, R = Me) was obtained from 3-methylthio derivative **156** in boiling ethanol over Raney nickel (W2) for 7 h (80YZ1261).

Cyclocondensation of 4-imino-4*H*-pyrimido[2,1-*a*]isoquinolin-3-carbonitrile (**157**) with dimethyl acetylenedicarboxylate in dimethylformamide at 150°C for 10 h afforded dimethyl 3-cyano-1,4-diazabenzocyclo[3.3.3]azine-5,6-dicarboxylate (**158**), whereas in boiling xylene in the presence of Pd/C under nitrogen for 30 h it gave dimethyl 2-cyano-1-azabenzocyclo[3.2.2]azine-3,4-dicarboxylate (**159**) in 32% yield (85CPB3034).



F. REACTIVITY OF SUBSTITUENTS ATTACHED TO RING CARBON ATOMS

Treatment of ethyl 10-(dimethylaminomethylene)-11-cyano-4-oxo-7,8,9,10-tetrahydro-4*H*-pyrimido[1,2-*b*]isoquinoline-3-carboxylate (**119**) with 2 N hydrochloric acid at 20°C for 3 h afforded tetracyclic derivative **160** (84KFZ931).



The amino group of 8-amino-11*H*-pyrido[2,1-*b*]quinazolin-11-one was acylated with nicotinoyl chloride (89MI1). The nitro group of 2-nitro-6,7,8,9-tetrahydro-11*H*-pyrido[2,1-*b*]quinazolin-11-one (**120**, R = 2-NO₂) was reduced to an amino group which was acylated to give 2-acetamido and 2-benzamido derivatives [85IJC(B)336].

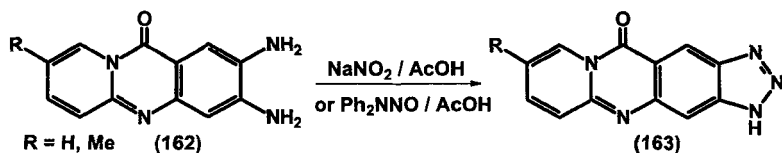
The amino group of 3-amino-6-benzylidene-6,7,8,9-tetrahydro-11*H*-pyrido[2,1-*b*]quinazolin-11-one was acylated with ethyl oxalyl chloride in *NN*-dimethylacetamide in the presence of pyridine at ambient temperature, and the amido group of compound **161** (R = H, R¹ = Et) was alkylated with methyl iodide. The ester groups of compounds **161** (R = H, Me, R¹ = Et) were hydrolyzed (84GEP3326511).

11-Oxo-11*H*-pyrido[2,1-*b*]quinazoline-8-carboxylic acid (**127**, R = H, R¹ = COOH) was obtained by hydrolysis of the 8-nitrile (**127**, R = H, R¹ = CN) in boiling 2 N sodium hydroxide (87MIP1). 8-Isopropyl-11-oxo-11*H*-pyrido[2,1-*b*]quinazolin-2-carboxylic acid was obtained from the 2-nitrile by heating in a 1 : 1 : 1 mixture of acetic acid, conc. sulfuric acid, and water for 45 min (85CP1189509). From the 2-carboxylic acid an ester was prepared with 2-diethylaminoethyl chloride in aqueous sodium hydrogen carbonate (85CP1189509). Ethyl 11-oxo-6,7,8,9-tetrahydro-11*H*-pyrido[2,1-*b*]quinazolin-2-carboxylate (**120**, R = 2-COOEt) was prepared from the 2-carboxylic acid (**120**, R = 2-COOH) by esterification in boiling ethanol containing 28% hydrogen chloride for 2 h (87JMC1543). Reaction of 2-isopropyl-11-oxo-11*H*-pyrido[2,1-*b*]quinazoline-8-carboxylic acid (**127**, R = iPr, R¹ = COOH) and 4-(3-pyridinyl)butyl chloride in dimethylformamide in the presence of potassium carbonate at 50°C for 18 h gave the appropriate 8-ester derivative (88JMC466). The carboxyl group of

8-methoxy-11-oxo-11*H*-pyrido[2,1-*b*]quinazoline-2-carboxylic acid (**127**, $R = \text{COOH}$, $R^1 = \text{OMe}$) was converted to the 2-(5-tetrazolyl) group via the carboxamide and nitrile groups (83MIP1).

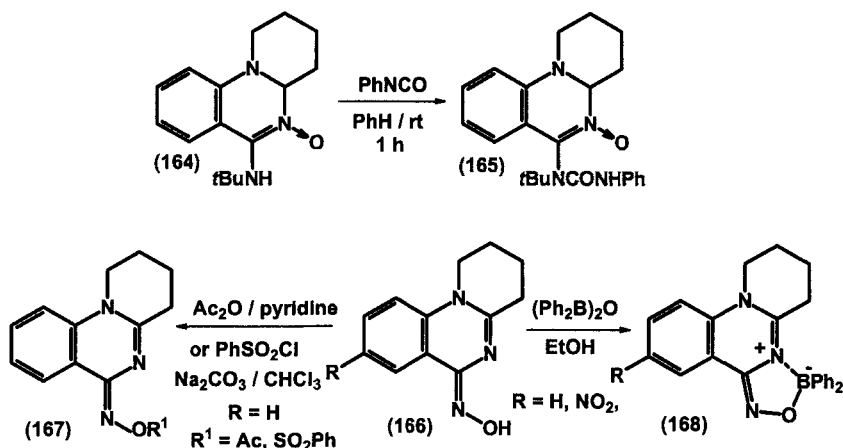
N-Substituted 11-oxo-11*H*-pyrido[2,1-*b*]quinazoline-6-carboxamides were prepared from 11-oxo-11*H*-pyrido[2,1-*b*]quinazoline-6-carboxylic acid and amines in dimethylformamide in the presence of *N,N*-carbonyldiimidazole at 40°C (88JMC707), and in the presence of 1-hydroxybenzotriazole hydrate and dicyclohexylcarbodiimide at ambient temperature (95JMC2418). N-Substituted 11-oxo-11*H*-pyrido[2,1-*b*]quinazoline-6-carboxamides were also prepared from the 6-carboxylic chloride, obtained from the 6-carboxylic acid with thionyl chloride and two drops of dimethylformamide, and 4-aminobenzenesulfonamides (92MI3). N-Substituted 11-oxo-11*H*-pyrido[2,1-*b*]quinazoline-8-carboxamides were prepared from the 8-carboxylic acids and amines either through the 8-carboxylic chlorides or using diphenylphosphoryl azide in the presence of triethylamine in dimethylformamide (87JMC185; 88JMC466). Amidation of cyanomethyl 2-isopropyl-11-oxo-11*H*-pyrido[2,1-*b*]quinazoline-8-carboxylate (**127**, $R = \text{iPr}$, $R^1 = \text{COOCH}_2\text{CN}$), prepared from 8-carboxylic acid (**127**, $R = \text{iPr}$, $R^1 = \text{COOH}$) and 2-chloroacetonitrile in dimethylformamide in the presence of potassium carbonate at room temperature, with amines in dimethylformamide at 35°C was more appropriate for large-scale operation (87JMC185; 88JMC466). An N-substituted 8-carboxamide was prepared by a Ritter reaction when 2-isopropyl-11-oxo-11*H*-pyrido[2,1-*b*]quinazoline-8-carbonitrile (**127**, $R = \text{iPr}$, $R^1 = \text{CN}$) was reacted first with 1,1-dimethyl-4-(3-pyridyl)butanol in 85% sulfuric acid at 0°C for 3.5 h and then the pH was adjusted to 10 by the careful addition of ammonium hydroxide. The suspension was extracted with ethyl acetate (87JMC185).

The nitrogen atom of 3-pyridyl and 5-pyrimidinyl moieties in the *N*-substituted 8-carboxamide group of 2,3-dimethyl-11-oxo-11*H*-pyrido[2,1-*b*]quinazoline-8-carboxamides was quaternized by methyl iodide (88JMC466).



Reaction of 2,3-diamino-11*H*-pyrido[2,1-*b*]quinazolin-11-ones (**162**) with sodium nitrite in aqueous acetic acid at 40°C for 1 h, or with diphenylnitrosamine in acetic acid in the presence of sodium acetate at 75–85°C gave linear tetracyclic derivatives (**163**) (84GEP3300477).

The amino group of 6-(*tert*-butylamino)-2,3,4,4a-tetrahydro-1*H*-pyrido[1,2-*a*]quinazolin-5-oxide (**164**) was acylated with phenyl isocyanate to give compound **165** (92CB1843). The hydroxyl group of 6-hydroxyimino-1,2,3,4-tetrahydro-6*H*-pyrido[1,2-*a*]quinazoline (**166**, R = H) was acylated with acetic anhydride and phenylsulfonyl chloride to afford O-acylated products (**167**). O-Acetyl derivative **167** (R¹ = Ac) hydrolyzed to its 6-hydroxyimino parent (**166**, R = H) [91AP(324)313]. Reaction of 6-hydroxyimino-1,2,3,4-tetrahydro-6*H*-pyrido[1,2-*a*]quinazolines (**166**) with diphenylborinic acid anhydride gave boron complexes (**168**) [90AP(323)915; 92PHA243].



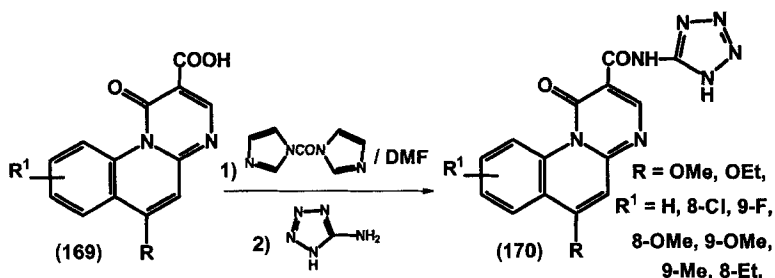
The methyl group of 2-cyano-3-methyl-5-phenyl-5,6-dihydro-1*H*-pyrido[1,2-*a*]quinazoline-1,6-dione condensed with aromatic aldehydes in boiling ethanol in the presence of one drop of piperidine for 5 h to give 3-styryl derivatives (93CCC1953).

The amino groups of isomeric 5-aminomethyl-8-chloro-6-phenyl-3-methyl-1-oxo-1*H*- and -1-methyl-3-oxo-3*H*-pyrimido[1,2-*a*]quinolines were acylated with acetic anhydride at room temperature for 1 h (79CPB2927). 5,8,9-Trihydroxy-2,3-dihydro-1*H*-pyrimido[1,2-*a*]quinoline-1-carboxylic acid was acylated with acetic anhydride in pyridine at room temperature for 24 h (87T2261).

The piperazino group of 3-piperazino-1*H*-pyrimido[1,2-*a*]quinolin-1-one (**149**, R = R¹ = -CH₂CH₂NHCH₂CH-) and 2-piperazino-4*H*-pyrimido[2,1-*a*]isoquinolin-4-one was N-ethylated with ethyl *p*-toluenesulfonate in the presence of sodium carbonate in boiling ethanol (95MI1).

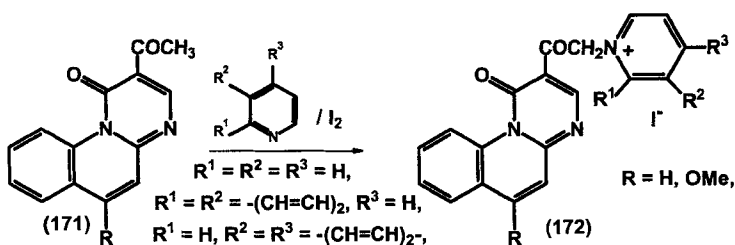
2-Carboxylic acids were obtained from ethyl 1-oxo-1*H*-pyrimido[1,2-*a*]quinoline-2-carboxylates and their 5,6-dihydro derivative (**39**, R = OEt) (74MIP1) by acidic or basic hydrolysis (74MIP1; 75GEP2513930; 77GEP2628751, 77GEP2630469, 77USP4017625, 77USP4031217; 78GEP2801248; 79MIP2, 79USP4175193).

Ethyl 6-hydroxy-1-oxo-1*H*-pyrimido[1,2-*a*]quinoline-2-carboxylate was prepared from the 2-carboxylic acid in boiling ethanol in the presence of 3% hydrogen chloride for 4 h (77GEP2628751, 77USP4031217). Heating ethyl 6-methoxy-1-oxo-1*H*-pyrimido[1,2-*a*]quinoline-2-carboxylate in ethylene glycol in the presence of triethylamine for 6 h yielded the 2-hydroxyethyl ester (75GEP2513930).



Treatment of ethyl 1-oxo-1*H*-pyrimido[1,2-*a*]quinoline-2-carboxylates with ammonia in methanol and hydrazine hydrate in ethanol at ambient temperature afforded 2-carboxamides and 2-carbohydrazides, respectively (74MIP1; 79MIP2). Reaction of 1-oxo-1*H*-pyrimido[1,2-*a*]quinoline-2-carboxylic acids (**169**) with *N,N*-carbonyldiimidazole in dimethylformamide, then with 5-aminotetrazole gave *N*-(5-tetrazolyl)-1-oxo-1*H*-pyrimido[1,2-*a*]quinoline-2-carboxamides (**170**) (77GEP2630469; 77USP 4017625).

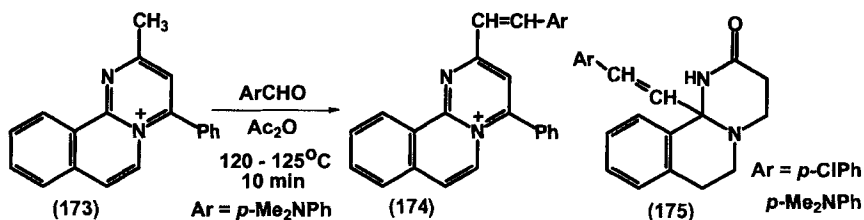
Heating 2-acetyl-1*H*-pyrimido[1,2-*a*]quinolin-1-ones (**171**) in pyridine, quinoline, and isoquinoline in the presence of iodine yielded quaternary salts (**172**) (79MIP1).



Heating ethyl 6-methoxy-1-oxo-1*H*-pyrimido[1,2-*a*]quinoline-2-carboxylate in 30% hydrobromic acid in boiling acetic acid for 3.5 h gave 6-hydroxy-1-oxo-1*H*-pyrimido[1,2-*a*]quinoline-2-carboxylic acid (75GEP 2513930; 77GEP2628571, 77USP4031217).

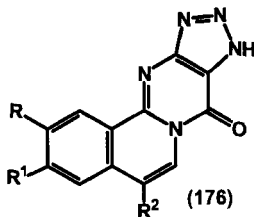
The amino group of 8-amino-3,4-dihydro-2*H*-pyrimido[2,1-*a*]isoquinoline was acylated with phenyl isocyanate (67IJC403). The amino group of 2-amino-9,10-dimethoxy-6,7-dihydro-4*H*-pyrimido[2,1-*a*]isoquinoline-4-one was acylated with pivaloyl chloride in the presence of triethylamine in boiling benzene (81GEP3006478). Ethyl 10-amino-7-methyl-4-oxo-4*H*-pyrimido[2,1-*a*]isoquinoline-3-carboxylate was reacted with phenyl isocyanate in pyridine to give the 10-(*N'*-phenylureido) derivative (85EUP 143001). The 10-amino group was also acylated with the mixed anhydride, prepared from *N*-nicotinoyl- β -alanine and ethyl chloroformate in the presence of triethylamine in dimethylformamide (85EUP143001). Amino groups at positions 8, 10, and 11 on ethyl 4-oxo-4*H*-pyrimido[2,1-*a*]isoquinoline-3-carboxylates were acylated by various acid chlorides (mesyl chloride, ethyl chloroformate, ethyl oxalyl chloride) in pyridine (85EUP143001).

The hydroxyl group of ethyl 2-hydroxy-4-oxo-4*H*-pyrimido[2,1-*a*]isoquinoline-3-carboxylate (**20**) was methylated with methyl iodide in dry boiling acetone for 5 h in the presence of potassium carbonate, with dimethyl sulfate in methylene chloride in methanol in the presence of Triton B at 20°C for 18 h, with methyl fluorosulfonate in 2.5 *M* sodium hydroxide at 20°C for 5 h, and with diazomethane in a mixture of diethyl ether and methylene chloride at 20°C for 3 h to give the 2-methoxy derivative (89AJC2161). The hydroxy group of 3-hydroxymethyl-4*H*-pyrimido[2,1-*b*]isoquinolin-4-one was alkylated and acylated with 2-(diethylamino)ethyl chloride in dimethylformamide in the presence of sodium hydroxide, and with acetic anhydride in boiling chloroform in the presence of triethylamine and a few drops of 4-dimethylaminopyridine, respectively (86EUP 166439).



Condensation of 2-methyl-4-phenylpyrimido[2,1-*a*]isoquinolinium perchlorate (**173**) with *p*-dimethylaminobenzaldehyde in acetic acid at 120–125°C for 10 min gave 2-(*p*-dimethylaminostyryl) derivative **174** (74KGS1148). Reaction of 11*b*-methyl-1,3,4,6,7,11*b*-hexahydro-2*H*-pyrimido[2,1-*a*]isoquinolin-2-one (**111**) with aromatic aldehydes in acetic acid in the presence of acetic anhydride at 100°C for 4–5 h afforded 11*b*-styryl derivatives (**175**) (93KGS499).

Reaction of 2,3-diamino-4*H*-pyrimido[2,1-*a*]isoquinolin-4-ones (**102**) and sodium nitrite in acidic media (in 1:1 hydrochloric acid at 0°C, or in aqueous acetic acid at 70–80°C) gave angular 1,2,3-triazolo[4',5':4,5]-pyrimido[2,1-*a*]isoquinolin-8-ones (**176**) (73GEP2261009; 79GEP2757929).



4-Oxo-4*H*-pyrimido[2,1-*a*]isoquinoline-3-carboxylic acids and their 6,7-dihydro derivatives were prepared by the hydrolysis of ethyl 4-oxo-4*H*-pyrimido[2,1-*a*]isoquinoline-3-carboxylates (**97**) and their 6,7-dihydro derivatives (**98**) under acidic (in a boiling mixture of acetic acid and conc. hydrochloric acid) and basic conditions (in 2% sodium hydroxide solution, and in a boiling mixture of 2% sodium hydroxide and ethanol) [78USP4127720; 84JAP(K)84/172472; 85EUP143001].

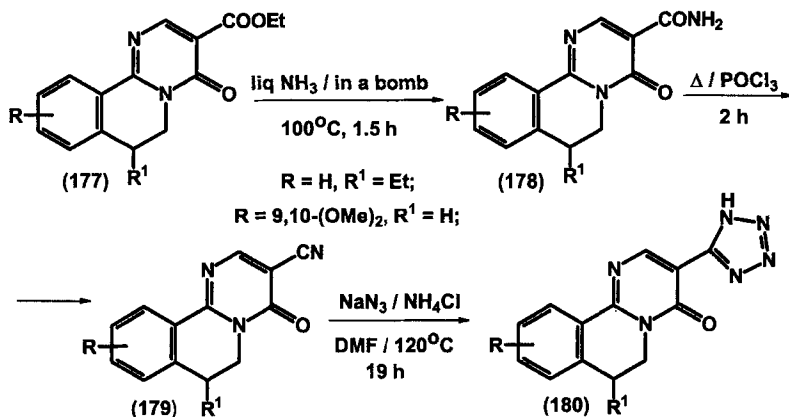
Ethyl 7-methoxy-4-oxo-4*H*-pyrimido[2,1-*a*]isoquinoline-3-carboxylate gave 7-hydroxy-3-carboxylic acid in a boiling mixture of acetic acid and conc. hydrochloric acid, but the 10-methoxy-3-carboxylate afforded the 10-methoxy-3-carboxylic acid under similar conditions. 10-Methoxy- and 9,10-dimethoxy-6,7-dihydro-3-carboxylates gave 10-hydroxy- and 9,10-dihydroxy-6,7-dihydro-3-carboxylic acids in boiling 48% hydrogen bromide (78USP4127720). Hydrolysis of ethyl 4-imino-4*H*-pyrimido[2,1-*a*]isoquinoline-3-carboxylate in a boiling mixture of acetic acid and conc. hydrochloric acid yielded the 4-oxo-4*H*-3-carboxylic acid (78USP4127720). Hydrolysis of ethyl 10-(2-acetoxypropionylamido)-7-methyl-4-oxo-4*H*-pyrimido[2,1-*a*]isoquinoline-3-carboxylate in a mixture of 2 N sodium hydroxide and methanol at ambient temperature yielded the 10-(2-hydroxypropionylamido)-3-carboxylic acid derivative (85EUP143001). 2-Hydroxy-4-oxo-4*H*-pyrimido[2,1-*a*]isoquinoline-3-carboxylic acid was prepared by the hydrolysis of the ethyl ester (**20**) in boiling 2 M aqueous sodium hydroxide, and by treatment with sublimed potassium *tert*-butoxide in dry tetrahydrofuran at 40°C (89AJC2161).

N-(1*H*-5-Tetrazolyl)-10-(2,3-dimethylpentanoylamino)-7-methyl-4-oxo-4*H*-pyrimido[2,1-*a*]isoquinoline-3-carboxamide was prepared on treatment of the 3-carboxylic acid with 1,1'-carbonyldiimidazole in dimethylformamide at ambient temperature under nitrogen, then with 5-amino-1*H*-tetrazole at 100°C for 1 h (85EUP143001). Treatment of 10-(acylamido)-

4-oxo-4*H*-pyrimido[2,1-*a*]isoquinoline-3-carboxylic acids with thionyl chloride at 10°C for 30 min yielded the 3-acid chlorides, which then were reacted with *N*-(2-hydroxyethyl)nicotinamide to give 2-(nicotinamido)ethyl esters (85EUP143001).

The carboxyl group of 4-oxo-4*H*-pyrimido[2,1-*a*]isoquinoline-3-carboxylic acids was esterified with various alcohols [84JAP(K)84/172490] and was converted into *N*-substituted 3-carboxamides by treatment with ethyl chloroformate in the presence of triethylamine in methylene chloride, followed by amines and hydroxylamine at 0°C [84JAP(K)84/172490; 85EUP143001].

Reaction of ethyl 4-oxo-4*H*-pyrimido[2,1-*a*]isoquinoline-3-carboxylate (**97**, R = H) with excess *N,N*-dimethylethylenediamine by heating afforded *N*-(2-dimethylaminoethyl) 3-carboxamide (86EUP166439).



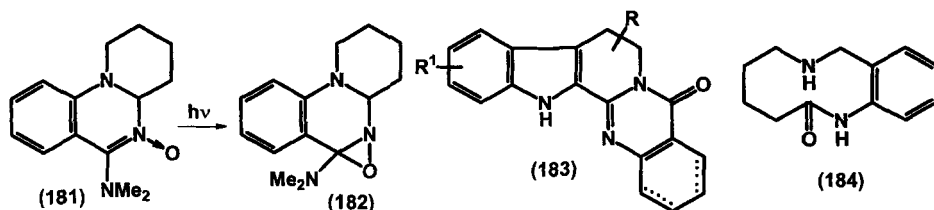
3-(1*H*-5-Tetrazolyl)-6,7-dihydro-4*H*-pyrimido[2,1-*a*]isoquinolin-4-ones (**180**) were prepared from 3-nitriles **179**, obtained from ethyl 3-carboxylates (**177**) via the 3-carboxamides (**178**), by treatment with sodium azide and ammonium chloride in dimethylformamide at 120°C for 19 h (79USP4127720). 7-Ethyl-3-(1*H*-5-tetrazolyl)-4*H*-pyrimido[2,1-*a*]isoquinolin-4-one was obtained from the 3-nitrile with aluminum azide, prepared *in situ* from aluminum chloride and sodium azide in boiling tetrahydrofuran for 18 h (78USP4127720). 4-Oxo-4*H*-pyrimido[2,1-*a*]isoquinoline-3-carbonyl chloride was prepared from the 3-carboxylic acid in methylene chloride with phosphorus pentachloride at 25°C while hydrogen chloride was bubbled into the reaction mixture. The acid chloride was immediately reacted with alcohols (78USP4127720).

10-Isobutyryloxy-6,7-dihydro-4-oxo-4*H*-pyrimido[2,1-*a*]isoquinolin-3-carboxylic acid was prepared from the 10-hydroxy-3-carboxylic acid by

treatment with isobutyryl chloride in methylene chloride in the presence of triethylamine at 0°C (78USP4127720).

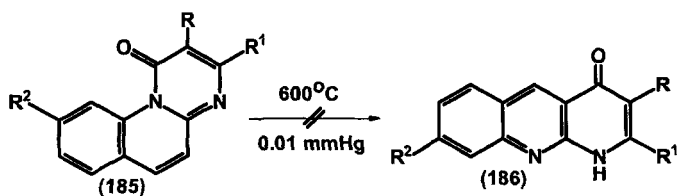
G. REARRANGEMENT

6-(Dimethylamino)-2,3,4,4*a*-tetrahydro-1*H*-pyrido[1,2-*a*]quinazoline *N*-oxide (**181**) converted to 1,2,3,4-tetrahydro-6*H*-pyrido[2,1-*a*]quinazolin-6-one (**140**) by the action of light via **182** and the elimination of dimethylamine [92ZN(B)1333].

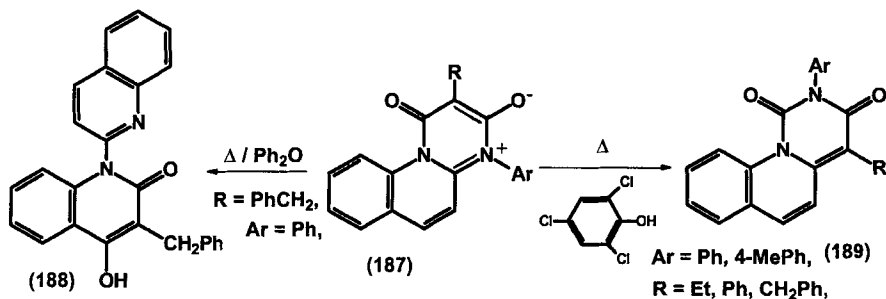


H. RING TRANSFORMATION

Fischer indolization of 6-arylhydrazono-6,7,8,9-tetrahydro- and -1,2,3,4,6,7,8,9-octahydro-11*H*-pyrido[2,1-*b*]quinazolin-11-ones (**134**) by heating in Dowtherm A at 240°C for 20 min, in polyphosphoric acid at 170–190°C for 20–50 min, and in melted zinc chloride at 200°C for 30 min afforded rutaecarpine and its derivatives (**183**) (85JHC1373; 87JHC1045). Heating, 6,7,8,9-tetrahydro-11*H*-pyrido[2,1-*b*]quinazoline (**6**) in water under nitrogen for 9 days afforded 3,4,5,6,7,8-hexahydro-1,7-benzodiazocin-2(1*H*)-one (**184**) in 53% yield (85AJC1007).



Thermal isomerization of 1*H*-pyrimido[1,2-*a*]quinolin-1-ones (**185**) into benzo(*b*)-1,8-naphthyridin-4(1*H*)-ones (**186**) (94AJC1263), did not occur whereas 6-substituted 4*H*-pyrido[1,2-*a*]pyrimidin-4-ones gave 1,8-naphthyridin-4(1*H*)-ones [77JCS(P1)789].



Heating of 2-substituted 4-aryl-1-oxo-1*H*-pyrimido[1,2-*a*]quinolin-4-ium-3-olates (**187**) in diphenyl ether and in 2,4,6-trichlorophenol gave 1-(2-quinolyl)-3-benzyl-4-hydroxyquinolin-2-(1*H*)-one (**188**) and 2,3-dihydro-1*H*-pyrimido[3,4-*a*]quinoline-1,3-diones (**189**), respectively (83M227).

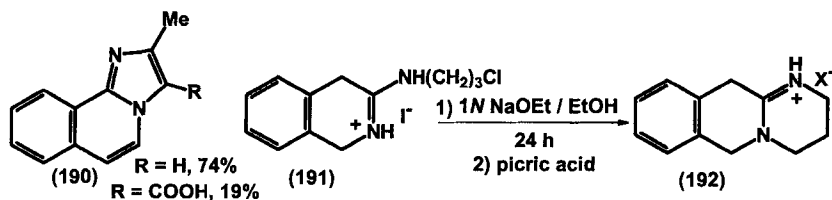
Heating 3-bromo-2-methyl-4*H*-pyrimido[2,1-*a*]isoquinolin-4-one (**152**) in 10% sodium hydroxide for 5 h afforded 2-methylimidazo[2,1-*a*]isoquinoline (**190**, $\text{R} = \text{H}$) and its 3-carboxylic acid derivative (**190**, $\text{R} = \text{COOH}$) in 74% and 19% yields, respectively (80KGS1656).

I. MISCELLANEOUS

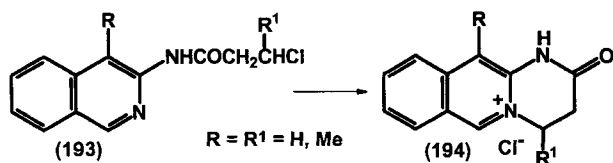
Different metal complexes [(Fe(III), Al(III), Co(III))] were prepared from pseudobactins, and pyoverdine Pa, containing a (1*S*)-8,9-dihydroxy-5-amino-2,3-dihydro-1*H*-pyrimido[1,2-*a*]quinoline-1-carboxylic acid moiety (**8**) (81MI1; 83TL4877). Ferric pseudobactin was de-ferrated with 8-hydroxyquinoline [81MI1; 84MI10; 87T2261; 90MI2; 93JPR157; 96ZN(C)772].

IV. Synthesis

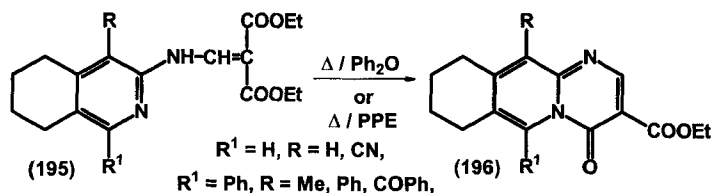
A. BY FORMATION OF ONE BOND α TO THE BRIDGEHEAD NITROGEN ATOM [6 + 0(α)]



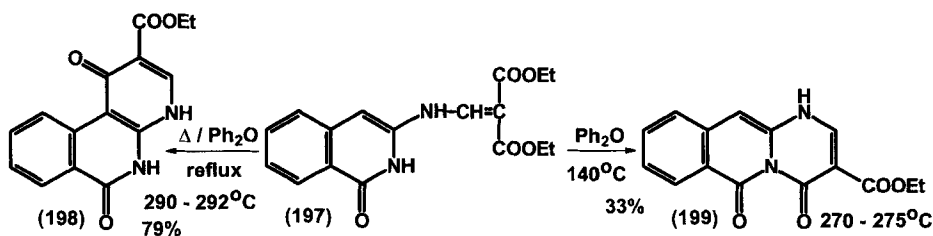
Treatment of 3-[(3-chloropropyl)amino]-1,4-dihydroisoquinoline hydroiodide (**191**), prepared from 3-methylthio-1,4-dihydroisoquinoline hydroiodide and 3-chloropropylamine, with a mole equivalent of boiling 1 N ethanolic sodium ethylate for 24 h, then with picric acid afforded 3,4,6,11-tetrahydro-2*H*-pyrimido[1,2-*b*]isoquinoline picrate (**192**) (88MI3).



Heating *N*-(3-isoquinolinyl)-3-chloropropionamides (**193**) gave 2-oxo-1,2,3,4-tetrahydropyrimido[1,2-*b*]isoquinolinium chlorides (**194**) [68JCS(CC)1423].

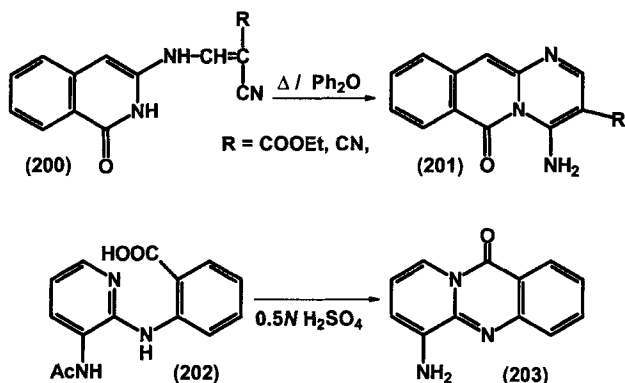


Ethyl 4-oxo-7,8,9,10-tetrahydro-4*H*-pyrimido[1,2-*b*]isoquinoline-3-carboxylates (**196**) were prepared by the cyclization of diethyl [(5,6,7,8-tetrahydro-3-isoquinolinyl)amino]methylenemalonate (**195**) in boiling diphenyl ether (83KGS1279; 84KFZ931) and by heating in polyphosphoric acid ethyl ester (88MI5).

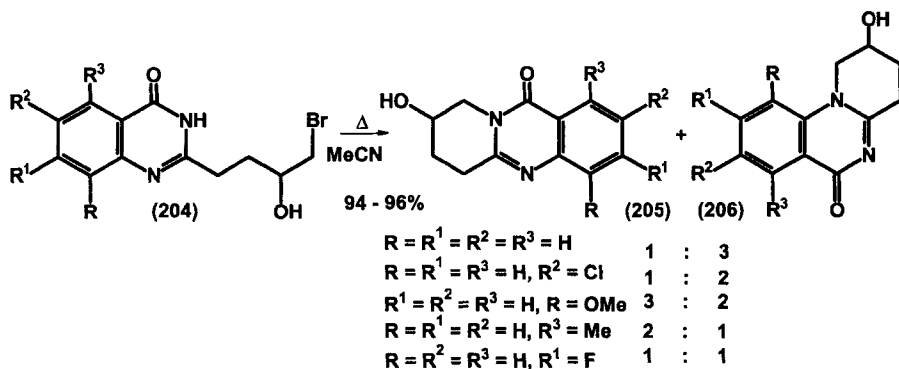


Reaction of 3-aminoisoquinolin-1(2*H*)-one and diethyl ethoxymethylenemalonate in boiling pyridine for 2.5 h yielded diethyl [(1-oxo-1,2-dihydro-3-isoquinolinyl)amino]methylene malonate (**197**), which was cyclized into a benzo[*c*][1,8]naphthyridine-2-carboxylate (**198**) and a pyrim-

ido[1,2-*b*]isoquinoline-3-carboxylate (**199**) by heating in diphenyl ether at reflux for 10 min and at 140°C for 12 h, respectively (94JHC793). 4-Amino-6-oxo-6*H*-pyrimido[1,2-*b*]isoquinoline-3-carboxylate and -3-carbonitrile (**201**, R = COOEt, CN) were obtained by cyclization of [(1-oxo-1,2-dihydro-3-isoquinolyl)amino]methylenecyanoacetate and -malononitrile (**200**, R = COOEt, CN) (94JHC793).

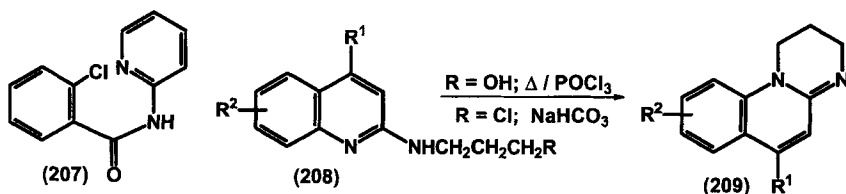


Cyclization of 2-[(3-acetamido-2-pyridyl)amino]benzoic acid (**202**) in 0.5 N sulfuric acid gave 6-amino-11*H*-pyrido[2,1-*b*]quinazolin-11-one (**203**) (84MI3). 2-[(6-Methyl-, 5-nitro-, and 3-nitro-2-pyridyl)amino]benzoic acids were cyclized into 9-methyl-, 8-nitro-, and 6-nitro-11*H*-pyrido[2,1-*a*]quinazolin-11-ones, respectively, by the action of 80% sulfuric acid. Ethyl 2-(2-pyridylamino)benzoate, prepared in the reaction of 2-chloropyridine and ethyl anthranilate in boiling toluene for 10 h, afforded 11*H*-pyrido[2,1-*b*]quinazolin-11-one (**27**) on heating at 200–210°C for 0.5 h (92MI2).

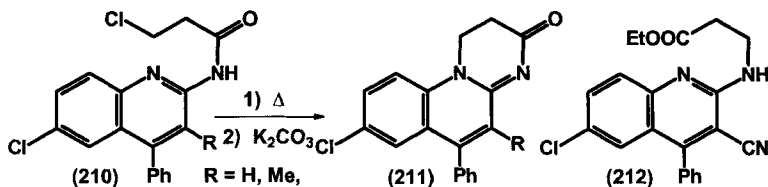


Thermal cyclization of 2-(4-bromo-3-hydroxybutyl)quinazolin-4(3*H*)-ones (**204**) in boiling acetonitrile for 3–10 h afforded mixtures of the isomeric linear and angular pyridoquinazolinones (**205** and **206**) (93JOC741).

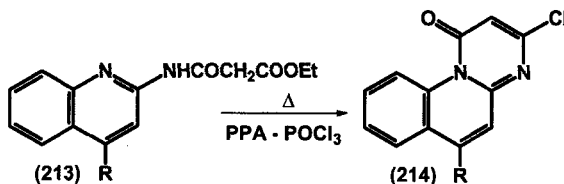
Acylation of 2-aminopyridine with 2-chlorobenzoylchloride and the subsequent cyclization of 2-(2-chlorobenzamido)pyridine (**207**) gave 6*H*-pyrido[1,2-*a*]quinazolin-6-one (**28**) (90JHC2005). Cyclocondensation of 2-aminopyridine and 2-chlorobenzoic acid in the presence of potassium carbonate at 190–195°C for 2 h afforded the isomeric 11*H*-pyrido[2,1-*b*]quinazolin-11-one (**27**) (24LA(440)311].



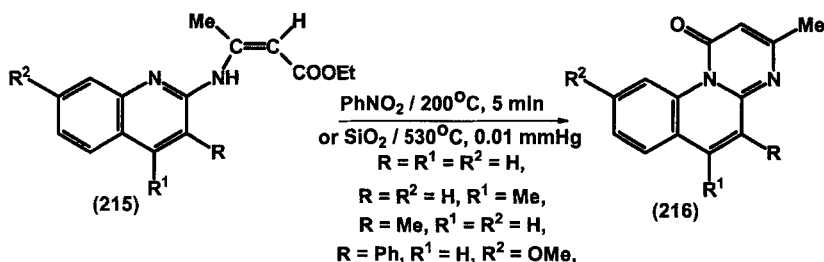
Treatment of 2-(3-hydroxypropylamino)quinolines (**208**, R = OH) with phosphoryl chloride at 110–120°C yielded 2,3-dihydro-1*H*-pyrimido[1,2-*a*]quinolines (**209**) [63YZ682; 72GEP2206012, 72SAP72/01118; 73YGK313; 78JAP(K)78/44593]. Neutralization of an aqueous solution of 2-(3-chloropropylamino)quinolines (**208**, R = Cl) with sodium hydrogen carbonate yielded the hydrochloride salts of 2,3-dihydro-1*H*-pyrimido[1,2-*a*]quinolines (**209**) (69YZ759). 2,3,5,6-Tetrahydro-1*H*-pyrimido[1,2-*a*]quinoline was obtained by cyclization of 2-(3-chloropropyl)-3,4-dihydroquinoline hydroiodide by the action of sodium ethylate in boiling ethanol for 2 h (89MI5). 2-[*N*-(3-Chloropropyl)-*N*-methylamino]-4-methylquinoline did not cyclize as did its *N*-desmethyl analog on treatment with sodium hydroxide, but cyclization occurred to give 4,6-dimethyl-2,3-dihydro-1*H*-pyrimido[1,2-*a*]quinolinium salt by heating in excess ethylaminoethanol (64JMC471).



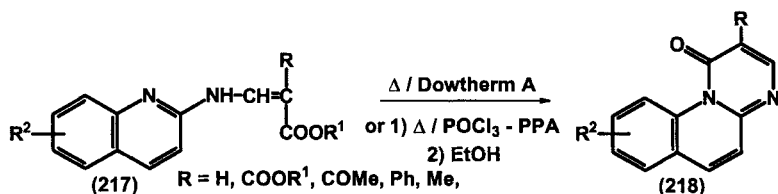
Whereas cyclization of 2-(3-chloropropionamido)quinolines (**210**) afforded 2,3-dihydro-1*H*-pyrimido[1,2-*a*]quinolin-3-ones (**211**), an attempted thermal cyclization of ethyl 3-[(2-quinolyl)amino]propionate (**212**) gave only 2-amino-6-chloro-4-phenylquinoline-3-nitrile (73YGK313).



Cyclization of ethyl *N*-(2-quinolyl)malonamates (213) in a mixture of polyphosphoric acid and phosphoryl chloride gave 3-chloro-1*H*-pyrimido[1,2-*a*]quinoline-1-ones (214) (74MIP1; 84S152; 95MI1).

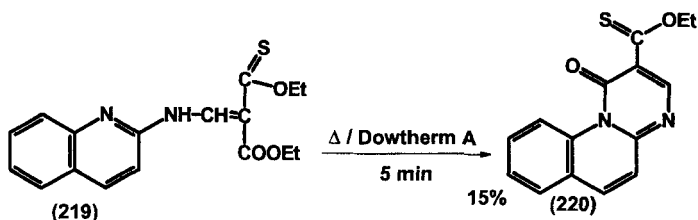


Heating ethyl 3-(2-quinolylamino)crotonate (215) in nitrobenzene at 200°C for 5 min, or flash vacuum pyrolysis at 530°C under 0.01 mm Hg in a silica tube, afforded 3-methyl-1*H*-pyrimido[1,2-*a*]quinolin-1-ones (216) (93T8147; 94AJC1263). Hydrogen, ethyl (2-quinolylamino)methylene-malonates gave 1*H*-pyrimido[1,2-*a*]quinolin-1-ones (94AJC1263) also in high yields.

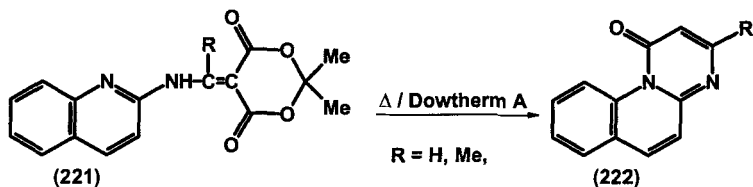


Cyclization of 2-substituted 3-(2-quinolylamino)acrylates [217, R = COOR¹, R¹ = Et (72JMC1203; 74MIP1; 75GEP2513930; 77GEP2628751, 77GEP2630469, 77USP4017625, 77USP4031217; 78GEP2801248, 78YZ 1279; 79MIP2, 79USP4175193; 84S152), R = H, COMe, Ph, Me (74MIP1; 79MIP1, 79MIP2)] either by heating in boiling diphenylether (72JMC1203), in Dowtherm A at 250–255°C, or in a mixture of polyphosphoric acid and

phosphoryl chloride at 110–130°C (74MIP1; 79MIP2; 84S152) afforded 2-substituted 1*H*-pyrimido [1,2-*a*]quinolin-1-ones (**218**).

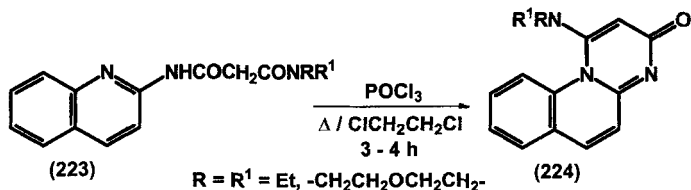


Cyclization of diethyl (2-quinolylamino)methylenethiomalonate (**219**) in a mixture of polyphosphoric acid and phosphoryl chloride at 130–140°C for 5 h, and in Dowtherm A at 250–255°C for 5 min, gave ethyl 1-oxo-1*H*-pyrimido[1,2-*a*]quinoline-1-carboxylate (**38**, R = H) in 55–60% yield and 2-ethoxythiocarbonyl-1-oxo-1*H*-pyrimido[1,2-*a*]quinoline (**220**) in 15% yield, respectively (74MIP1; 84S152).



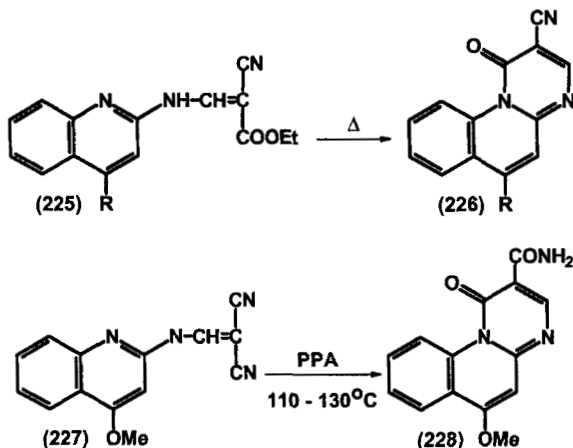
Cyclization of isopropylidene (2-quinolylamino)methylenemalonate (**221**, R = H) in Dowtherm A at 250°C for ca 5 min gave 1*H*-pyrimido[1,2-*a*]quinolin-1-one (**222**, R = H) (69BRP1147960; 75USP3907798), whereas cyclization in a mixture polyphosphoric acid and phosphoryl chloride at 120–130°C and using an alcohol or water during the workup afforded alkyl 1-oxo-1*H*-pyrimido[1,2-*a*]quinoline-1-carboxylates (**38**, R = H) or 1-carboxylic acids (80AUP357543; 84S152).

Heating isopropylidene [1-(2-quinolyl)ethylidene]malonate (**221**, R = Me) in ethanol at 70°C yielded 3-methyl-1*H*-pyrimido[1,2-*a*]quinolin-1-one (**222**, R = Me) (93MI8).

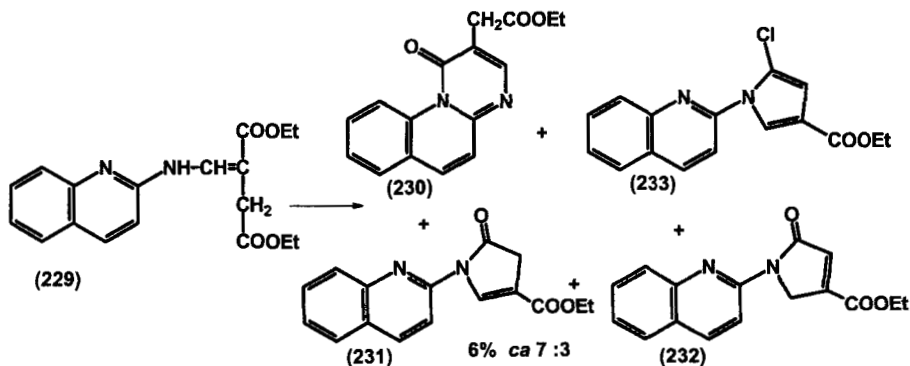


Cyclization of malonamides (**223**) by treatment with phosphoryl chloride in boiling 1,2-dichloroethane gave 1-(disubstituted amino)-3*H*-pyrimido[1,2-*a*]quinoline-3-ones (**224**) (95MI1).

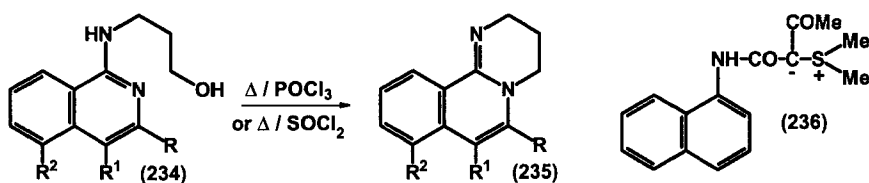
Cyclization of ethyl 2-[(2-quinolyl)aminomethylene]cyanoacetates (**225**) at 100°C under reduced pressure (58JA3066), and by heating in paraffin oil at 320–340°C (74MIP1) or in dibenzylbenzene at 320°C (79MIP2), gave 1-oxo-1*H*-pyrimido-[1,2-*a*]quinoline-2-carbonitriles (**226**).



6-Methoxy-1-oxo-1*H*-pyrimido[1,2-*a*]quinoline-2-carboxamide (**228**) was prepared by cyclization of *N*-(4-methoxy-2-quinolyl)aminomethylene-malononitrile (**227**) in polyphosphoric acid at 110–130°C for 4.5 h (79MIP2).



Thermal cyclization of diethyl 2-[(2-quinolylamino)methylene]succinate (**229**) in boiling Dowtherm A gave ethyl 2-(1-oxo-1*H*-pyrimido[1,2-*a*]quinolin-2-yl)acetate (**230**) and a mixture of the isomeric 1-(2-quinolyl)-5-oxopyrroline-3-carboxylates (**231** and **232**) [73GEP2315422; 78 SWP605940; 80JCS(P1)227]. Earlier, products **231** and **232** were described as [1,3]diazepino[1,2-*a*]quinoline derivatives (73GEP2315422). When the cyclization was carried out in a mixture of polyphosphoric acid and phosphoryl chloride at 110–120°C for 2.5 h, in addition to 2-(1-oxo-1*H*-pyrimido[1,2-*a*]quinolin-2-yl)acetate (**230**) (42%), ethyl 1-(2-quinolyl)-5-chloropyrroline-3-carboxylate (**233**) was also obtained in 16% yield [78JCS(P1)795].



Cyclization of 1-(3-hydroxypropylamino)isoquinolines (**234**) in boiling phosphoryl chloride for 3 h (67IJC403) or on the action of thionyl chloride (72GEP2206012; 72SAP72/01118) gave 3,4-dihydro-2*H*-pyrimido[2,1-*a*]isoquinolines (**235**). Cyclization of 1-(cyclopropylamino)-7-chloro-3,4-dihydroisoquinoline yielded 10-chloro-3,4,6,7-tetrahydro-2*H*-pyrimido[2,1-*a*]isoquinoline (69GEP1911519).

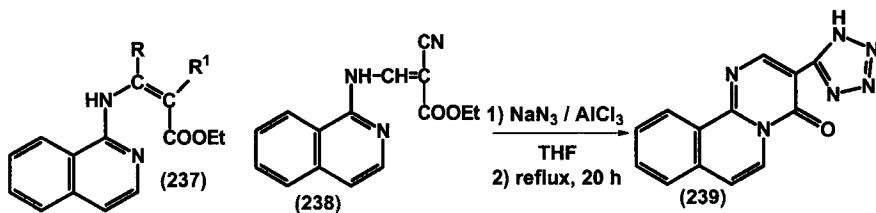
Heating sulfur ylide **236** in 10% hydrochloric acid at 80°C for 13 h yielded 4-methyl-3-methylthio-2*H*-pyrimido[2,1-*a*]isoquinolin-2-one (**156**) (80YZ1261).

Reaction of 1-methyl-2-(2-carbamoyl-1-methyl-1*H*-thio-2*H*-pyrimido[2,1-*a*]isoquinolin-2-one-4-yl)ethane-1,1-dithiolane (**19**, $\text{R} = \text{H}$, $\text{R}^1 = \text{Me}$, $\text{X} = \text{ClO}_4$) and *p*-nitrobenzaldehyde in the presence of piperidine in boiling ethanol for 0.5 h gave 11*b*-(*p*-nitrostyryl)-1,3,4,6,7,11*b*-hexahydro-2*H*-pyrimido[2,1-*a*]isoquinolin-2-one (**175**, $\text{Ar} = 4\text{-NO}_2\text{Ph}$) in 69% yield (93KGS499).

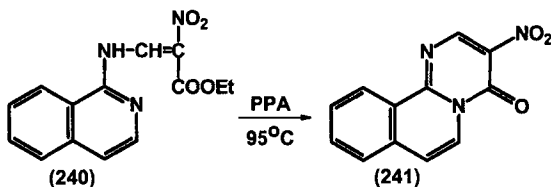
2-Methyl-4*H*-pyrimido[2,1-*a*]isoquinolin-4-one (**32**, $\text{R} = \text{Me}$) was obtained by cyclization of ethyl 3-[(1-isoquinolyl)amino]but-2-enoate (**237**, $\text{R} = \text{Me}$, $\text{R}^1 = \text{H}$) in nitrobenzene at 200°C for 5 min under nitrogen (92AJC1811), or by flash vacuum pyrolysis at 530°C under 0.01 mm Hg (94AJC1263). Flash vacuum pyrolysis of ethyl hydrogen (1-isoquinolyl-amino)methylenemalonate (**237**, $\text{R} = \text{H}$, $\text{R}^1 = \text{COOH}$) at 530°C under 0.01 mm Hg afforded 4*H*-pyrimido[2,1-*a*]isoquinolin-4-one (**32**, $\text{R} = \text{H}$) (94AJC1263).

Cyclization of ethyl *N*-(1-isoquinolyl)-2-ethylmalonamate at 200°C yielded 3-ethyl-2-hydroxy-4*H*-pyrimido[2,1-*a*]isoquinoline-4-one (69YZ-649). 3,3-Diethyl-3,4-dihydro-2*H*-pyrimido[2,1-*a*]isoquinoline-2,4-dione (**65**) was obtained by heating ethyl *N*-(1-isoquinolyl)-2,2-diethylmalonamate (**66**) in acetic acid at 160–170°C for 4.5 h.

Heating diethyl (1-isoquinolylamino)methylenemalonates in diphenyl ether gave ethyl 4-oxo-4*H*-pyrimido[2,1-*a*]isoquinoline-3-carboxylates (**97**) (78USP4127720). Cyclization of diethyl[(4-amino-1-isoquinolyl)amino]methylenemalonate in a mixture of acetic anhydride and pyridine in methylene chloride at ambient temperature afforded ethyl 7-acetylamino-4-oxo-4*H*-pyrimido[2,1-*a*]isoquinoline-3-carboxylate [84JAP(K)84/172472]. The 7-nitro derivative was prepared similarly. Cyclization of diethyl [(7-methoxy-3-methyl-1-isoquinolyl)amino]methylenemalonate in polyphosphoric acid at 130°C for 6 h gave 10-methoxy-6-methyl-4*H*-pyrimido[2,1-*a*]isoquinolin-4-one in 29% yield [94IJC(B)795].



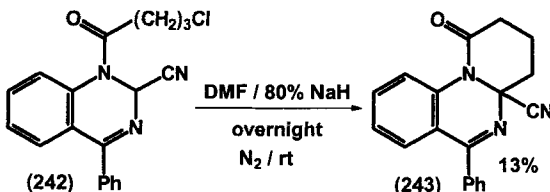
Treatment of ethyl 2-(1-isoquinolylaminomethylene)cyanoacetate (**238**) with aluminum azide, prepared *in situ* from aluminum chloride and sodium azide, in boiling tetrahydrofuran gave 3-(1*H*-tetrazol-5-yl)-4*H*-pyrimido[2,1-*a*]isoquinolin-4-one (**239**) (78USP4127720). The 8,9,10,11-tetrahydro derivative of compound **239** was similarly prepared (80USP4209620).



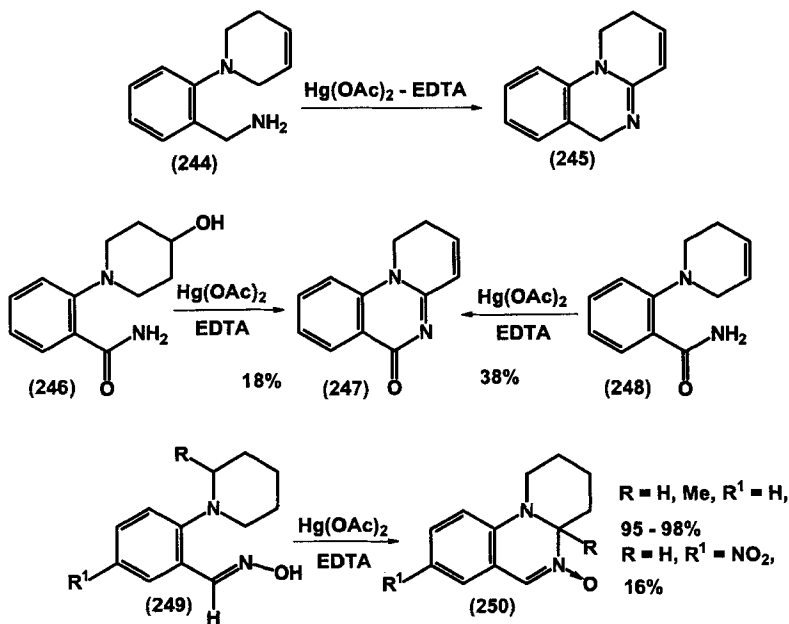
3-Nitro-4*H*-pyrimido[2,1-*a*]isoquinolin-4-one (**241**) was obtained via **240** in 68% yield when 1-aminoquinoline and ethyl 3-ethoxy-2-nitroacrylate were reacted in ethanol for 2 h at ambient temperature, and then the reaction mixture was evaporated *in vacuo* to dryness and the residue was cyclized in polyphosphoric acid at 95°C for 50 min (77CB2480).

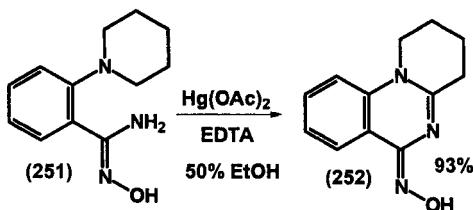
B. BY FORMATION OF ONE BOND β TO THE BRIDGEHEAD
NITROGEN ATOM [6 + 0(β)]

Reduction of 2-(3-aminopropyl)isoquinolinium bromide hydrobromide with LAH in diethyl ether gave 1,3,4,6,11,11*a*-hexahydro-2*H*-pyrimido[1,2-*b*]isoquinoline (**72**) (73JOC437).

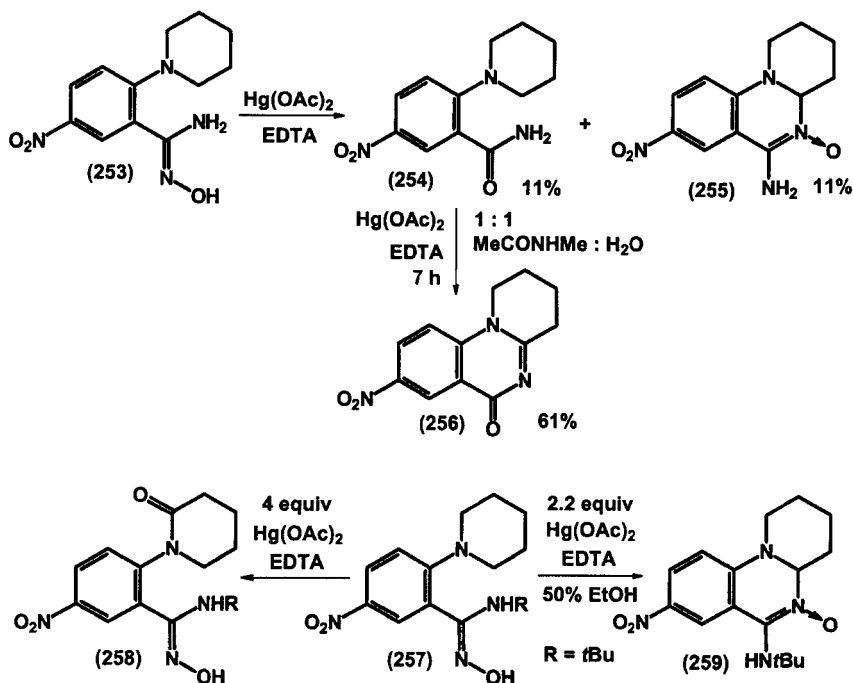


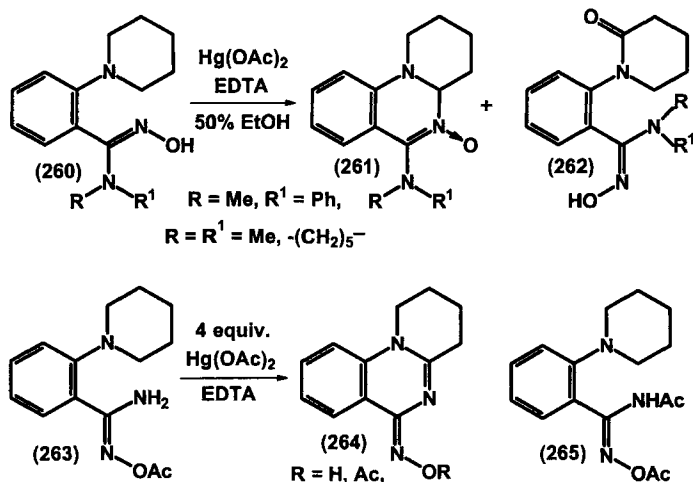
Cyclization of 1-(4-chlorobutyryl)-1,2-dihydro-4-phenylquinazoline-2-carbonitrile (**242**) in dimethylformamide in the presence of sodium hydride (80%) overnight gave 4*a*-cyano-6-phenyl-2,3,4,4*a*-tetrahydro-1*H*-pyrido[1,2-*a*]quinazolin-1-one (**243**) [86JCS(P1)2295]. Treatment of 1-(2,6-dioxopiperidino)-2-azidomethylbenzene with triphenylphosphine in xylene at ambient temperature followed by heating to reflux for 2 h gave 2,3,4,6-tetrahydro-1*H*-pyrido[1,2-*a*]quinazolin-1-one in 92% yield [89JCS(CC)602].



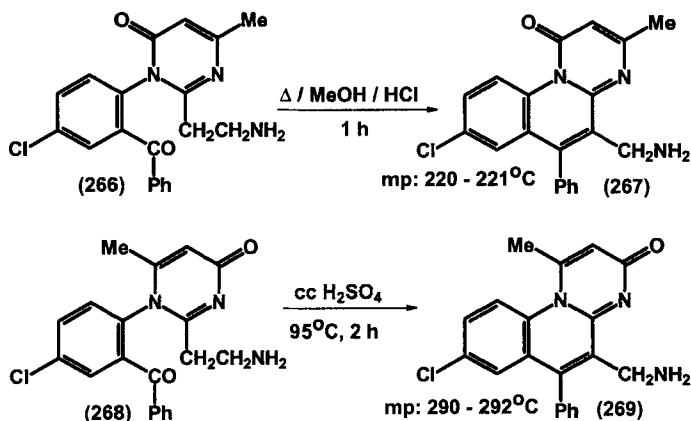


Different pyrimido[1,2-*a*]quinazolines (**245**, **247**, **250**, **252**, **255**, **256**, **259**, **261**, **264**), sometimes together with overoxidized (**258**, **262**) and hydrolytic products (**254**), were prepared by oxidation of the appropriately *o*-substituted 1-piperazinobenzenes (**244**, **246**, **248**, **249**, **251**, **253**, **254**, **257**, **260**, **263**) with the $\text{Hg}(\text{OAc})_2$ -EDTA reagent [90AP(323)405, 90AP(323)889, 90AP(323)915; 91AP(324)313; 92CB1843, 92PHA243, 92ZN(B)1333]. Whereas cyclodehydrogenation of monoacyl derivative **263** afforded a mixture of cyclized products (**264**, $\text{R} = \text{H}, \text{Ac}$), diacyl derivative **265** did not yield tricyclic pyrimido[1,2-*a*]quinazoline derivative [91AP(324)313].

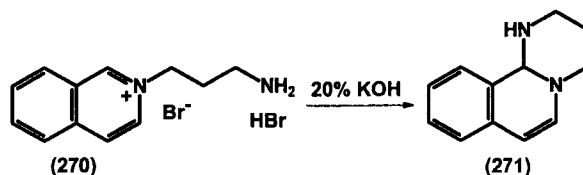




Heating 4-methylpyrimidin-6(1*H*)-one (**266**) and 6-methylpyrimidin-4(1*H*)-one (**268**) under acidic conditions afforded 3-methyl-1-oxo-1*H*- and 1-methyl-4-oxo-4*H*-pyrimido[1,2-*a*]quinolines **267** and **269**, respectively (79CPB2927).

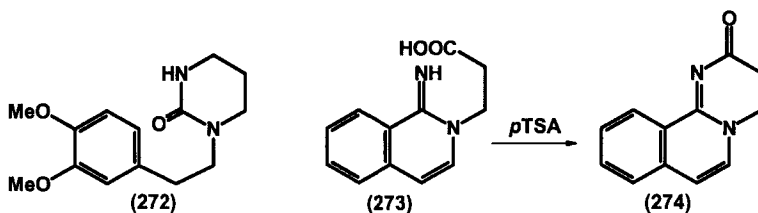


Treatment of 2-(3-aminopropyl)isoquinolinium bromide hydrobromide (**270**) with 20% aqueous potassium hydroxide afforded 1,3,4,11*b*-tetrahydro-2*H*-pyrimido[2,1-*a*]isoquinoline (**271**) (73JOC437).



Oxidation of 2-(3-aminopropyl)-1,2,3,4-tetrahydroisoquinoline with mercuric acetate in 4% aqueous acetic acid at 50°C for 6 h, and then at room temperature overnight followed by the treatment of the filtered solution with 20% potassium hydroxide solution, yielded 1,3,4,6,7,11*b*-hexahydro-2*H*-pyrimido[2,1-*a*]isoquinoline (**16**, R = R¹ = H) in 27% yield (73JOC437). 1,3,4,6,7,11*b*-Hexahydro-2*H*-primido[2,1-*a*]isoquinolines (**16**, R = H, MeO, R¹ = H) and their 2-oxo derivatives (**18**, R = H, MeO, R¹ = H) were obtained from 2-(3-aminopropyl)- and 2-(2-aminocarbonyl-ethyl)-3,4-dihydroisoquinolinium salts (**17** and **19**, R = H, MeO, R¹ = H) by treatment with a base (62CB2122, 62MI1). The adjustment of the pH value of a solution of 1-methyl-2-(2-aminocarbonyl-ethyl)-3,4-dihydroisoquinolinium perchlorate (**19**, R = H, R¹ = Me, X = ClO₄) in 10% aqueous acetic acid with sodium carbonate to 9 yielded 11*b*-methyl-1,3,4,6,7,11*b*-hexahydro-2*H*-primido[2,1-*a*]isoquinolin-2-one (**111**) (93KGS499).

Cyclization of 1-[2-(3,4-dimethoxyphenyl)ethyl]perhydropyrimidin-2-one (**272**) on the action of a mixture of phosphoryl chloride and phosphorus pentoxide at 130°C for 5 h afforded 9,10-dimethoxy-1,2,3,4,6,7-hexahydropyrimido[2,1-*a*]isoquinolinium chloride (**91**) (59YZ1014).

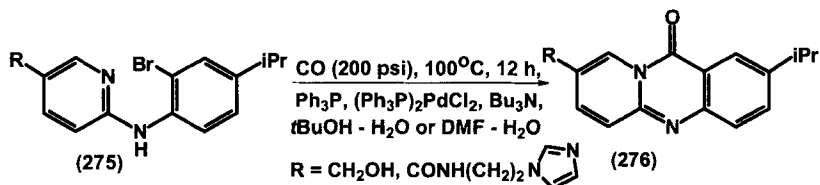


C. BY FORMATION OF ONE BOND γ TO THE BRIDGEHEAD NITROGEN ATOM [6 + 0(γ)]

Cyclization of 3-(1-imino-1,2-dihydro-2-isoquinolyl)propionic acid (**273**), prepared by the reaction of 1-aminoisoquinoline and acrylic acid or propiolactone, afforded 3,4-dihydro-2*H*-pyrimido[2,1-*a*]isoquinoline-2-one (**274**) in the presence of *p*-toluenesulfonic acid (72GEP2142792).

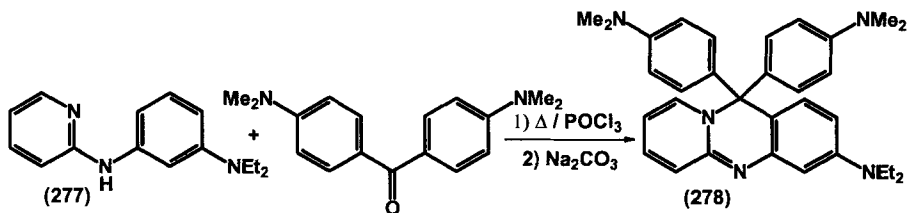
D. BY FORMATION OF TWO BONDS FROM [5 + 1] ATOM FRAGMENTS

Treatment of 2-(3-bromopropyl)-1,2-dihydroisoquinoline with methylamine in ethanol afforded 1-methyl-1,3,4,6,11,11*a*-hexahydro-2*H*-pyrimido[1,2-*b*]isoquinoline (73JOC437).

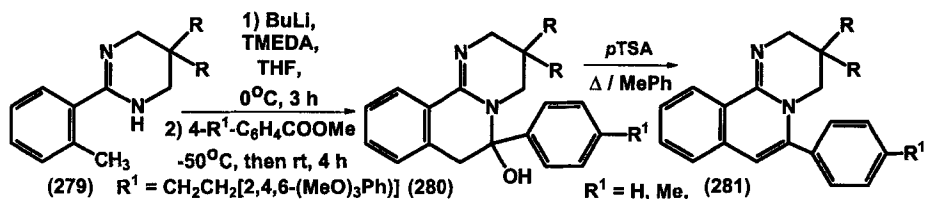


Carbonyl insertion into 5-substituted 2-(*o*-bromo-*p*-isopropylphenyl-amino)pyridines (**275**) in the presence of bis(triphenylphosphine)palladium(II) chloride, triphenylphosphine, and tributylamine under 200 psi-pressure of carbon monoxide at 100°C in aqueous *tert*-butanol or dimethylformamide gave 8-substituted 2-isopropyl-11*H*-pyrido[2,1-*b*]quinazolin-11-ones (**276**) (87JOC2469).

Reaction of 2-[(*m*-diethylaminophenyl)amino]pyridine (**277**) and 4,4'-bis(dimethylamino)benzophenone in boiling phosphoryl chloride for 3.5 h yielded 3-diethylamino-11,11-bis(4-dimethylaminophenyl)-11*H*-pyrido[2,1-*b*]quinazoline (**278**) (88HCA33).

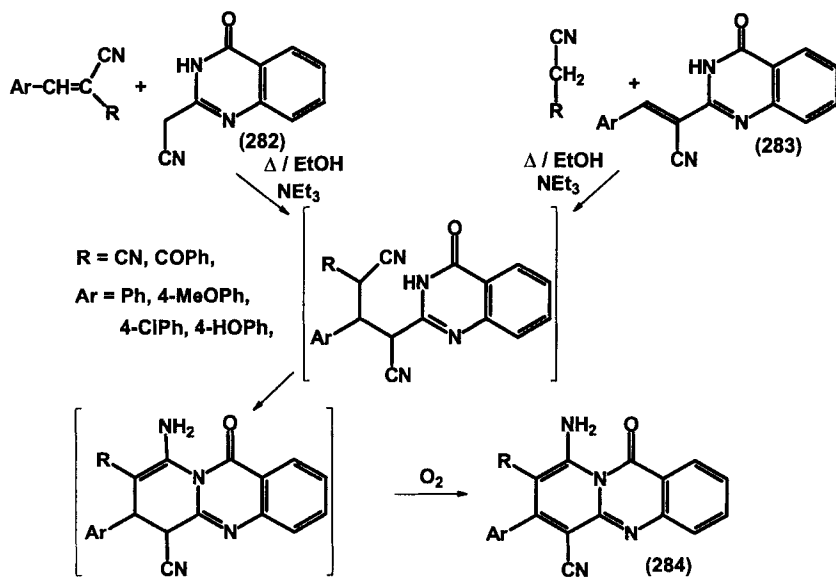


Treatment of 2-(2-methylphenyl)-5,5-dimethyl-1,4,5,6-tetrahydropyrimidine (**279**) with butyllithium in the presence of *N,N,N',N'*-tetramethylethylenediamine (TMEDA) in tetrahydrofuran at 0°C under nitrogen, then with methyl benzoates at -50°C gave 6-aryl-6-hydroxy-3,4,6,7-tetrahydro-2*H*-pyrimido[2,1-*a*]isoquinolines (**280**), which gave 6-aryl-3,4-dihydro-2*H*-pyrimido[2,1-*a*]isoquinolines (**281**) with heating in toluene in the presence of *p*-toluenesulfonic acid (93JMC3098).



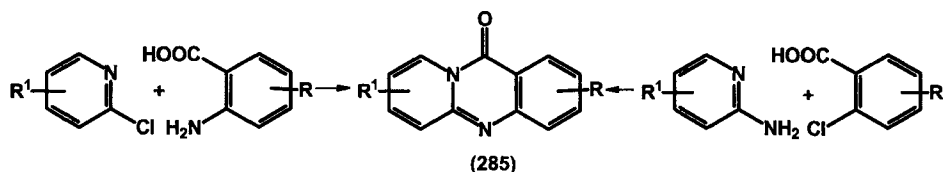
E. BY FORMATION OF TWO BONDS FROM [4 + 2] ATOM FRAGMENTS

Cyclocondensation of 2-cyanomethylquinazolin-4(3*H*)-one (**(282)** with 3-arylacrylonitriles and that of 2-(α -arylidencyanomethyl)quinazolin-4(3*H*)-one (**(283)** with 2-substituted acetonitrile in boiling ethanol in the presence of triethylamine afforded 8-substituted 9-amino-7-aryl-6-cyano-11*H*-pyrido[2,1-*b*]quinazolin-11-ones (**(284)**) (90JPR610).

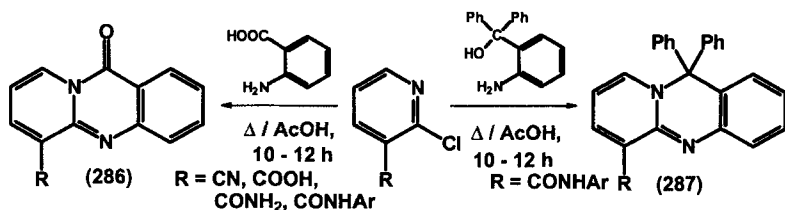


11*H*-Pyrido[2,1-*b*]quinazolin-11-ones (**(285)**) were prepared by cyclocondensation of 2-chloropyridines and anthranilic acids at 150°C [90JAP(K)90/235882], in boiling ethanol containing hydrogen chloride for 40 h (83MIP1), in *N*-methyl-2-pyrrolidone in the presence of potassium carbonate and 1:1 mixture of copper powder and copper(II) oxide (88JMC707; 92MI3), in a solvent in the presence of potassium iodide at $145\text{--}170^{\circ}\text{C}$ under argon (85CP1189509; 87MIP1; 88JMC466), and in boiling

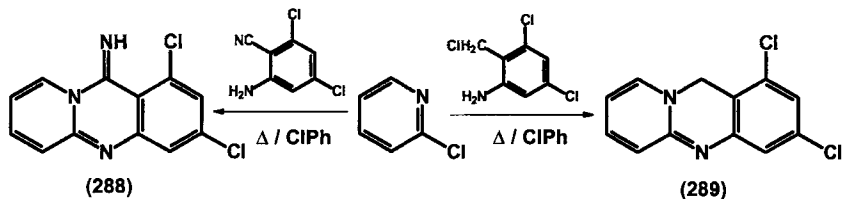
ethanol in the presence of copper oxide (92MI3). Reaction of 2,5-dibromopyridine and 5-isopropylanthranilic acid led mainly to 2-[(4-isopropylphenyl)amino]-5-bromopyridine, and the expected 2-isopropyl-8-bromo-11*H*-pyrido[2,1-*b*]quinazolin-11-one (**285**, R = 2-iPr, R¹ = 8-Br) was obtained in low yield (87JOC2469). 11*H*-Pyrido[2,1-*b*]quinazolin-11-one (**27**) was prepared in the reaction of ethyl anthranilate and 2-chloropyridine at 180°C (92MI2).



Cyclocondensation of 3-substituted 2-chloropyridines with anthranilic acid or its ethyl ester and 2-aminotriphenylcarbinol in boiling acetic acid for 10–12 h yielded 6-substituted 11*H*-pyrido[2,1-*b*]quinazolin-11-ones (**286**) and 11,11-diphenyl-11*H*-pyrido[2,1-*b*]quinazolines (**287**), respectively [95KFZ(2)40]. 11*H*-Pyrido[2,1-*b*]quinazolin-11-one (**27**) was also obtained in the reaction of *o*-chlorobenzoic acid and 2-aminopyridine at 190°C [95KFZ(2)40]. Pellón *et al.* investigated the cyclocondensation of *o*-chlorobenzoic acids and 2-aminopyridines (96SC3869). Best yields were achieved in this Ullmann–Goldberg condensation in dimethylformamide when 1 mole of 2-chlorobenzoic acid, 1 mole of potassium carbonate and 2 moles of 2-aminopyridines were used in the presence of 3% copper powder. In water, depending upon the amount of potassium carbonate, along with 13% 11*H*-pyrido[2,1-*b*]quinazolin-11-one (**27**) variable amounts of 2-(2-pyridylamino)benzoic acid were isolated.



Cyclocondensation of 2-chloropyridine and 2-amino-4,6-dichlorobenzonitrile and 2-amino-4,6-dichlorobenzyl chloride in boiling chlorobenzene afforded 11-imino-1,3-dichloro-11*H*-pyrido[2,1-*b*]quinazoline (**288**) and 1,3-dichloro-11*H*-pyrido[2,1-*b*]quinazoline (**289**), respectively (93MIP1).



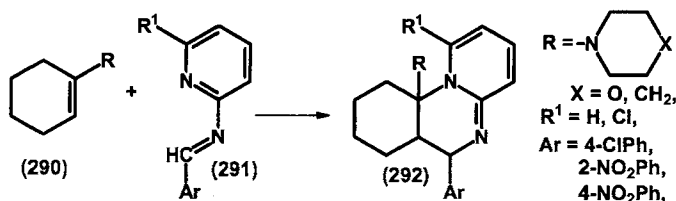
6,7,8,9-Tetrahydro-11*H*-pyrido[2,1-*b*]quinazolin-11-one (**7**) was prepared from anthranilic acid either with 2-piperidone in boiling xylene in the presence of phosphorus pentoxide [85IJC(B)983; 88IJC(B)937], or with 2-methoxy-3,4,5,6-tetrahydropyridine heated without a solvent (96BMC737) or in boiling benzene (87JMC1543) or toluene (86JHC53). The 9-methyl derivative of **7** was similarly obtained from anthranilic acid and 6-methyl-2-methoxy-3,4,5,6-tetrahydropyridine (87JMC1543).

Reaction of 4-nitroanthranilic acid and 2-piperidone in boiling dioxane in the presence of phosphoryl chloride for 1 h and that of anthranilic acid and 3-ethoxycarbonyl-2-piperidone in phosphoryl chloride at 100°C for 1 h gave 3-nitro-6,7,8,9-tetrahydro-11*H*-pyrido[2,1-*b*]quinazolin-11-one in 94% yield [91KFZ(11)28], and ethyl 11-oxo-6,7,8,9-tetrahydro-11*H*-pyrido[2,1-*b*]quinazoline-6-carboxylate in 12% yield (86JHC53), respectively. Treatment of 2-piperidone with phosphoryl chloride in benzene at room temperature, then with dimethyl 4-aminoisophthalate at reflux for 5 h gave methyl 11-oxo-6,7,8,9-tetrahydro-11*H*-pyrido[2,1-*b*]quinazoline-3-carboxylate (**120**, R = 3-COOMe) in 70% yield (87JMC1543). Methyl 11-oxo-6,7,8,9-tetrahydro-11*H*-pyrido[2,1-*b*]quinazoline-2- and -3-carboxylates (**120**, R = 2- and 3-COOMe) were obtained when 4- and 5-methoxycarbonylanthranilic acids were treated with thionyl chloride in boiling benzene for 4 h, then with 2-piperidone at room temperature for 20 h (84FES968). Cyclocondensation of 4-aminoisophthalic acid and 2-aminoterephthalic acid with 2-methoxy-3,4,5,6-tetrahydropyridine in dimethylformamide at 80–90°C for 3 h yielded 11-oxo-6,7,8,9-tetrahydro-11*H*-pyrido[2,1-*b*]quinazoline-2- and -3-carboxylic acids (**120**, R = 2- and 3-COOH), respectively (87JMC1543).

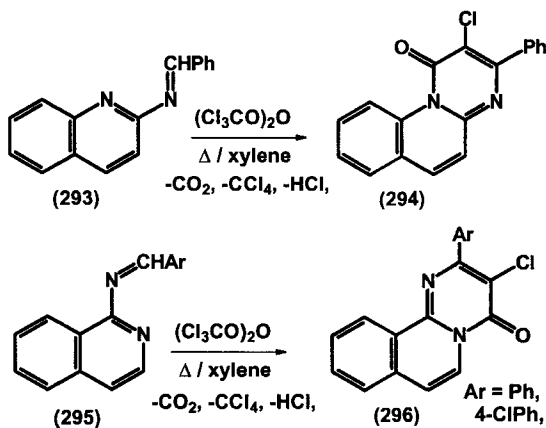
Cyclocondensation of *cis*- and *trans*-2-amino-4-cyclohexene-1-carboxylic acid with 2-methoxy-3,4,5,6-tetrahydropyrimidine in boiling chlorobenzene for 6 h gave *cis*-4*a*,11*a*-H- and *trans*-4*a*,11*a*-H-1,4,4*a*,6,7,8,9,11*a*-octahydro-11*H*-pyrido[2,1-*b*]quinazolin-11-ones (**75** and **78**) (90PHA109).

Reaction of anthranilic acid and 2-chloro-5-cyano-4-hydroxypyridin-6(1*H*)-one in glacial acetic acid for 18 h gave 8-cyano-7-hydroxy-5,9-dihydro-11*H*-pyrido[2,1-*b*]quinazoline-9,11-dione (**45**). When the reaction was carried out in dimethylformamide under Ullmann conditions, 5-cyano-2-dimethylamino-4-hydroxypyridin-6-one also formed as a by-product

[84AP(317)824]. Inverse electron demand Diels–Alder reactions of 2-(aryl-methyleneamino)pyridines (**291**) and enamines (**290**) in boiling dry acetonitrile yielded 6*a*,7,8,9,10,10*a*-hexahydro-6*H*-pyrido[1,2-*a*]quinazolines (**292**) (95RRC535).



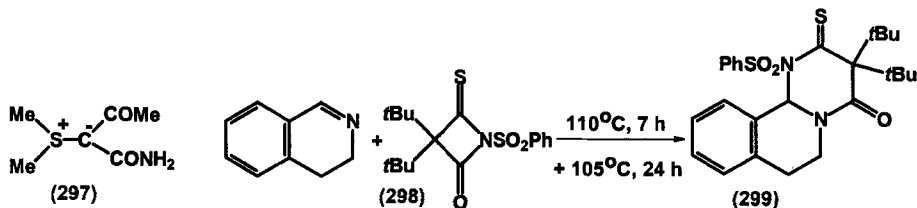
Schiff bases **293** and **295** prepared from 2-aminoquinoline and 1-aminoisoquinoline with aromatic aldehydes reacted with trichloroacetic anhydride in boiling xylene to afford 2-chloro-3-phenyl-1*H*-pyrimido[1,2-*a*]quinolin-1-one (**294**) and 3-chloro-2-aryl-4*H*-pyrimido[2,1-*a*]isoquinolin-4-ones (**296**) (77CPB1607).



Schiff base **293** with dichlorocarbene, prepared from chloroform and potassium hydroxide *in situ*, in the presence of benzyltriethylammonium chloride afforded 2-chloro-3-phenyl-1*H*-pyrimido[1,2-*a*]quinolin-1-one (**294**), 1-chloro-2-phenylimidazo[1,2-*a*]quinoline, and 1-(1-quinolyl)-2,2-dichloro-3-phenylaziridine in 5%, 8%, and 6% yields, respectively (91KGS810).

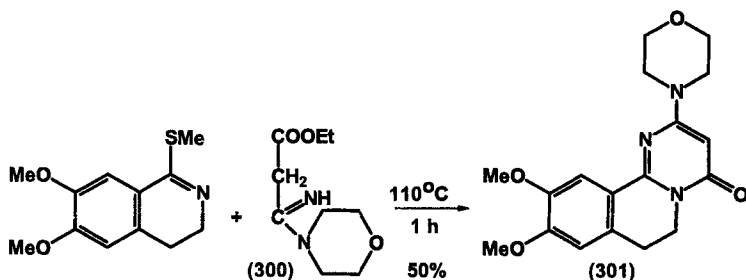
2-Aminoquinoline and ethyl β -aminocrotonate at 180–190°C for 6 h yielded *N,N'*-di(2-quinolyl)urea. Cyclocondensation of 2-chloroquinoline

and ethyl β -aminocrotonate in the presence of potassium carbonate and a trace of copper bronze gave 3-methyl-1*H*-pyrimido[1,2-*a*]quinolin-1-one (**222**, R = Me) (51JCS551).



Treating dimethylsulfonium acetylcarbamoylmethylide (**297**) with isoquinoline *N*-oxide in the presence of acetyl chloride in dimethylformamide gave a complex reaction mixture from which 4-methyl-3-methylthio-2*H*-pyrimido[2,1-*a*]isoquinolin-2-one (**156**) and sulfur ylide (**236**) were isolated in 5.9% and 19.2% yields, respectively (80YZ1261).

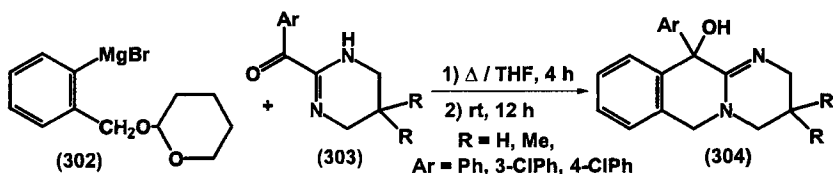
Cyclocondensation of azetidone (**298**) and 3,4-dihydroisoquinoline at 110°C for 7 h and 105°C for 24 h yielded 1,2,3,6,7,11*b*-hexahydro-4*H*-pyrimido[2,1-*a*]isoquinolin-4-one (**299**) (88CB689). 1-Methylthio-6,7-dimethoxy-3,4-dihydroisoquinoline and ethyl 3-morpholino-3-iminopropionate (**300**) at 110°C gave 9,10-dimethoxy-2-morpholino-6,7-dihydro-4*H*-pyrimido[2,1-*a*]isoquinolin-4-one (**301**) (90T1323). 1-Methyl-3,4-dihydroisoquinoline and acrylamide in acetic acid at 105–110°C for 7 h afforded 11*b*-methyl-1,3,4,6,7,11*b*-hexahydro-2*H*-pyrimido[2,1-*a*]isoquinolin-2-one (**111**) in 50% yield (93KGS499).



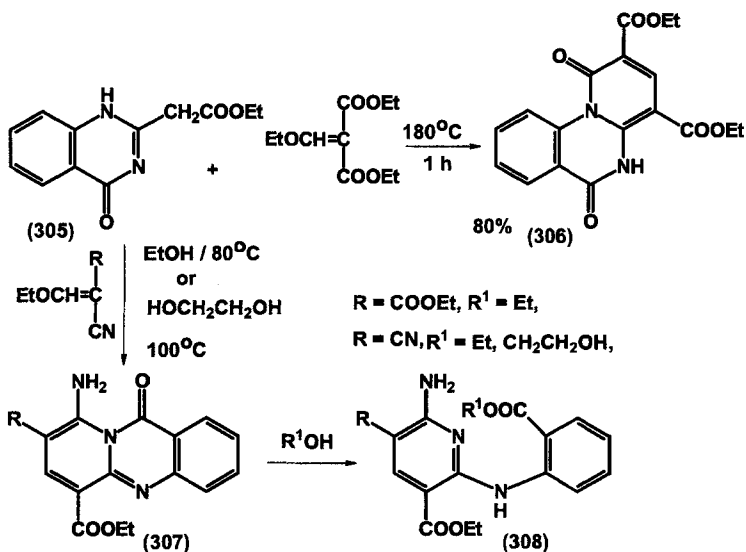
F. BY FORMATION OF TWO BONDS FROM [3 + 3] ATOM FRAGMENTS

Dropwise treatment of aryl 1,4,5,6-tetrahydro-2-pyrimidinyl ketone (**303**) with *o*-(2-tetrahydropyranyloxymethyl)phenylmagnesium bromide

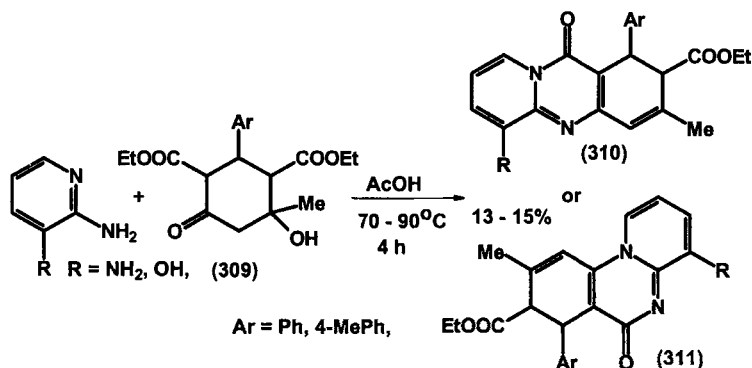
(302) in boiling tetrahydrofuran yielded 11-aryl-3,4,6,11-tetrahydro-2*H*-pyrimido[1,2-*b*]isoquinolin-11-oles (304) (77BRP1476740).



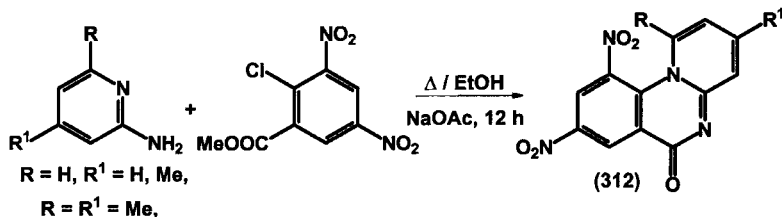
Cyclocondensation of 2-aminopyridine and its methyl derivatives with ethyl 2-oxocyclohexanecarboxylate in a mixture of polyphosphoric acid and phosphoryl chloride gave 1,2,3,4-tetrahydro-11*H*-pyrido[2,1-*b*]-quinazolin-11-one and its 6-, 7-, 8-, and 9-methyl derivatives (87JMC1543).



Cyclocondensation of ethyl 2-(4-oxo-1,4-dihydroquinazol-2-yl)acetate (305) and diethyl ethoxymethylenemalonate at 180°C gave diethyl 1,6-dioxo-5,6-dihydro-1*H*-pyrido[1,2-*a*]quinazoline-2,4-dicarboxylate (306). When ethoxymethylenemalononitrile and ethyl ethoxymethylenecyanoacetate were used in boiling ethanol or in 1,2-ethylene glycol at 100°C, the primarily formed ethyl 8-substituted 9-amino-11-oxo-11*H*-pyrido[2,1-*b*]-quinazoline-6-carboxylates (307) gave ring-opened compounds (308) (89JHC161).



Reactions of 2-aminopyridines and diethyl 2-aryl-4-hydroxy-4-methyl-6-oxocyclohexane-1,3-dicarboxylate (**309**) in acetic acid for 4 h gave either ethyl 1,2-dihydro-11-oxo-11*H*-pyrido[2,1-*b*]quinazoline-2-carboxylates (**310**) or their isomeric ethyl 7,8-dihydro-6-oxo-6*H*-pyrido[1,2-*a*]quinazoline-8-carboxylates (**311**) (92PHA336).



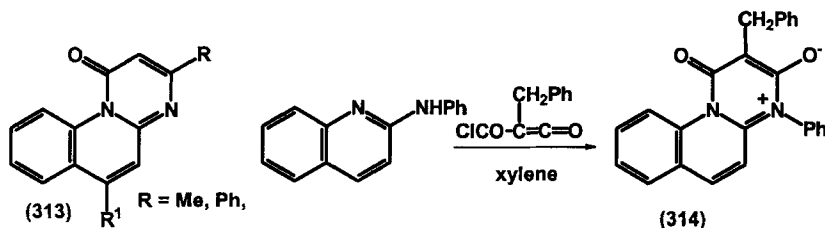
Cyclocondensation of 2-aminopyridines and methyl 2-chloro-3,5-dinitrobenzoate in boiling ethanol in the presence of sodium acetate for 12 h gave 8,10-dinitro-6*H*-pyrido[1,2-*a*]quinazolin-6-ones (**312**) [96JAP(K)96/157476]. 6*H*-Pyrido[1,2-*a*]quinazoline derivatives were prepared in the reaction of 2-aminopyridine and salicylaldehydes followed by treatment with NaBH₄ (93MI7).

Reaction of 2-aminoquinoline either with ethyl 3-chloropropionate for 1 h at 100°C (63YZ682) or with methyl acrylate in the presence of acetic anhydride for 8 h at 120°C (71KGS482) gave 2,3-dihydro-1*H*-pyrimido[1,2-*a*]quinolin-3-one (**58**).

Cyclocondensation of 2-aminoquinoline and diethyl ethoxymethylene-malonate in Dowtherm A at 250°C for 30 min gave ethyl 1-oxo-1*H*-pyrimido[1,2-*a*]quinoline-2-carboxylate (**38**, R = Et) (74MIP1).

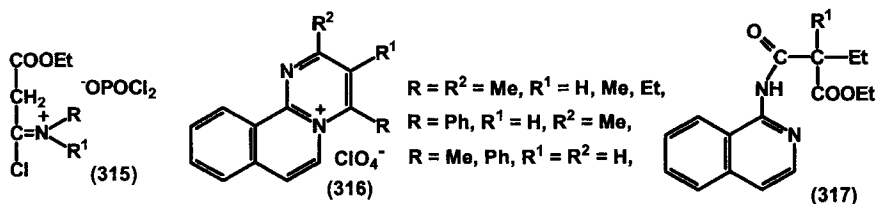
Reactions of 2-aminoquinolines and ethyl acetoacetate in a mixture of polyphosphoric acid and phosphoryl chloride at 130–140°C (74MIP1;

79MIP2; 84S152) and ethyl benzoylacetate in polyphosphoric acid at 100–110°C (79MIP2) gave 3-substituted 1*H*-pyrimido[1,2-*a*]quinolin-1-ones (**313**, R = Me, Ph). Cyclocondensation of 2-(phenylamino)quinoline with benzyl(chloroformyl)ketene in xylene and with bis(2,4,6-trichlorophenyl) butylmalonate at 160°C for 3 h afforded *anhydro*-3-hydroxy-2-benzyl-4-phenyl-1-oxo-1*H*-pyrimido[1,2-*a*]quinolinium hydroxide (**314**) (79CB1585) and its 2-butyl derivative [91AP(324)863], respectively.



Cyclocondensation of 2-aminoquinoline with isopropylidene bis(methylthio)methylene- and [1-(methylthio)alkylidene]malonates in boiling ethanol or dimethylformamide afforded 3-substituted 1*H*-pyrimido[1,2-*a*]quinolin-1-ones (**222**, R = MeS, Me, Et, Ph) in 85–97% yields (93MI8).

Cyclocondensation of 2-aminoquinoline and iminium derivatives **315** in boiling 1,2-dichloroethane yielded 1-(disubstituted amino)-3*H*-pyrimido[1,2-*a*]quinolin-3-ones (**224**) containing traces of isomeric 3-(disubstituted amino)-1*H*-pyrimido[1,2-*a*]quinolin-1-ones (**149**) (95MI1). Similar reactions of 1-aminoisoquinoline with compounds **315** afforded 4-(disubstituted amino)-2*H*-pyrimido[2,1-*a*]isoquinolin-2-ones (**33**, R = R₁ = Et, -(CH₂)₅-, -CH₂CH₂OCH₂CH₂-) (12–20%) together with a few percent (1.4–12%) of isomeric 2-(disubstituted amino)-4*H*-pyrimido[2,1-*a*]isoquinolin-4-ones (**34**, R = R₁ = Et, -(CH₂)₅-, -CH₂CH₂OCH₂CH₂-) (95MI1).



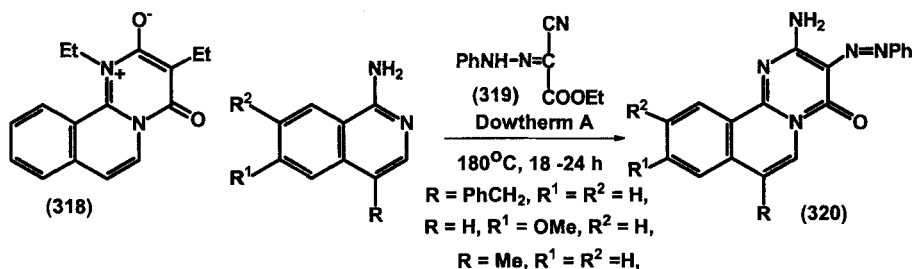
Pyrimido[2,1-*a*]isoquinolinium perchlorates (**316**) were obtained in the reactions of 1-aminoisoquinoline and β -diketones in acetic acid at 260°C for 2 h in sealed tubes and with phenyl and methyl 2-chlorovinyl ketones in acetic acid at 100°C for 5–10 min, then treatment with perchloric acid (74KGS1148).

Cyclocondensation of 1-aminoisoquinoline and its 3,4-dihydro derivative with alkyl acrylates afforded 3,4-dihydro- (72CB108, 72GEP2142792) and 3,4,6,7-tetrahydro-2*H*-pyrimido[2,1-*a*]isoquinolin-2-ones (72GEP2142792). 3,4-Dihydro-2*H*-pyrimido[2,1-*a*]isoquinolin-2-one was also prepared in the reaction of 1-aminoisoquinoline and ethyl 3-bromopropionate (72GEP2142792).

Cyclocondensation of 1-aminoisoquinoline with ethyl propiolate and its 3-methyl and 3-phenyl derivatives in boiling ethanol for 18 h gave 2*H*-pyrimido[2,1-*a*]isoquinolin-2-ones (**31**, R = H, Me, Ph) in 35–70% yields (72CB108). Isomeric 4*H*-pyrimido[2,1-*a*]isoquinolin-4-ones (**32**, R = Me) were prepared in the reaction of 1-aminoisoquinolines and ethyl acetoacetate in boiling acetic acid for 20 h (72CB108) and in a mixture of polyphosphoric acid and phosphoryl chloride at 130°C for 0.5 h (84S152) in 67% and 24% yields, respectively. Cyclocondensation of 1-amino-3-methyl-7-methoxyisoquinoline and ethyl acetoacetate in polyphosphoric acid at 110°C for 1 h afforded 2,6-dimethyl-10-methoxy-4*H*-pyrimido[2,1-*a*]isoquinolin-4-one in 41% yield [94IJC(B)795]. Reaction of 1-aminoisoquinolines with diethyl malonate (69YZ649; 72CB108; 73GEP2261009) and its 2-ethyl derivative (69YZ649) at 180–190°C for 1 h gave 3,4-dihydro-2*H*-pyrimido[2,1-*a*]isoquinoline-2,4-diones (**93**, R = H, R¹ = H, Et) and the 10-methoxy derivative of **93** (R = R¹ = H). A similar reaction did not occur with diethyl 2,2-diethylmalonate, whereas reaction of 1-aminoisoquinoline and ethyl 2-ethyl- and 2,2-diethylmalonyl chloride in pyridine afforded mixtures of 3,4-dihydro-2*H*-pyrimido[2,1-*a*]isoquinoline-2,4-diones (**93**, R = Et, R¹ = H, Et) and ethyl *N*-(1-isoquinolinyl)malonamates (**317**) [69YZ649; 71JPP71/09466]. Cyclocondensation of 1-amino-3,4-dihydroisoquinolines and ethyl 2,2-diethylmalonyl chloride in pyridine at room temperature yielded 3,3-diethyl-3,4,6,7-tetrahydro-2*H*-pyrimido[2,1-*a*]isoquinoline-2,4-diones (**94**, and its demethoxy derivative) [69YZ649; 71JPP71/09466]. Reaction of 1-amino-6,7-dimethoxy-3,4-dihydroisoquinoline and malonic acid in boiling phosphoryl chloride for 2 h yielded 2-chloro-9,10-dimethoxy-6,7-dihydro-4*H*-pyrimido[2,1-*a*]isoquinolin-4-one (90T1323). 9,10-Dimethoxy-2-hydroxy-6,7-dihydro-4*H*-pyrimido[2,1-*a*]isoquinolin-4-one was the product in boiling ethanol in the presence of sodium ethylate.

3,4-Dihydro-2*H*-pyrimido[2,1-*a*]isoquinoline-2,4-dione (**93**, R = R¹ = H) was also prepared in the reaction of 1-aminoisoquinoline and carbon suboxide in diethyl ether in 10% yield (72CB108).

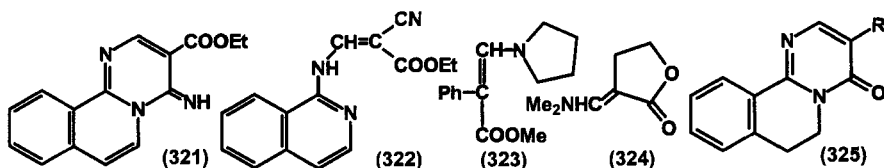
Cyclocondensation of 1-(ethylamino)isoquinoline and bis(2,4,6-trichlorophenyl) 2-ethylmalonate at 160°C under nitrogen without solvent yielded *anhydro*-1,3-diethyl-2-hydroxy 4-oxo-4*H*-pyrimido[2,1-*a*]-isoquinolinium hydroxyde (**318**) (81JMC1284).



Cyclocondensation of 1-aminoisoquinolines and ethyl phenylhydrazoncyanoacetate (**319**) by heating in Dowtherm A at 180°C afforded 2-amino-3-phenylazo-4*H*-pyrimido[2,1-*a*]isoquinolin-4-ones (**320**) (73GEP2261009).

Diethyl ethoxymethylenemalonate with 1-aminoisoquinolines in dimethylformamide at 120–140°C for 22 h and with 1-amino-3,4-dihydroisoquinolines in boiling toluene for 15 min gave ethyl 4-oxo-4*H*-pyrimido[2,1-*a*]isoquinoline-3-carboxylates (**97** and **98**) (78USP4127720; 85EUP143001).

1-Aminoisoquinoline and ethyl ethoxymethylenecyanoacetate at 105–110°C for 10 min under nitrogen gave ethyl 4-imino-4*H*-pyrimido[2,1-*a*]isoquinoline-3-carboxylate (**321**) in 67% yield. From the mother liquid, condensation product **322** could also be isolated in 27% yield (78USP4127720).



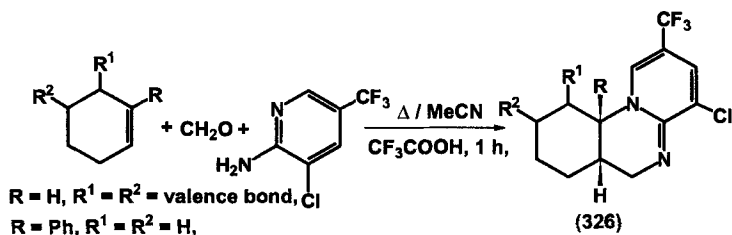
Cyclocondensation of 1-aminoisoquinoline and ethoxymethylenemalononitrile at 100°C for 0.5 h (85CPB3034) and in ethanol at ambient temperature for 24 h (86JOC2988) afforded 4-imino-4*H*-pyrimido[2,1-*a*]isoquinoline-3-nitrile (**157**) in 95% and 85% yields, respectively.

Reaction of 1-aminoisoquinoline with methyl 2-phenyl-3-pyrrolidinoacrylate (**323**) at 130–150°C under nitrogen [70JCS(C)881], and with [(3-dimethylamino)methylene]-3,4-dihydrofuran-2(3*H*)-one (**324**) at 200°C for 4 h (86EUP166439) gave 3-phenyl-4*H*- (**325**, R = Ph) and 3-(2-

hydroxyethyl)-4*H*-pyrimido[2,1-*a*]isoquinolin-4-ones (**325**, R = CH₂CH₂OH) in 25% and 75% yields, respectively.

G. BY FORMATION OF THREE BONDS FROM [3 + 2 + 1] ATOM FRAGMENTS

6,7,8,9-Tetrahydro-11*H*-pyrido[2,1-*b*]quinazolin-11-one (**7**) was formed only in 3% and 7% yields when 2-iodoaniline was reacted with 2-piperidone and 2-ethoxy-3,4,5,6-tetrahydropyridine, respectively, in the presence of potassium carbonate, catalytic amount of palladium(II) acetate, and triphenylphosphine in hexamethylphosphoric triamide at 110°C for 24 h under an atmosphere of carbon monoxide [85H(23)2803].



2-Amino-3-chloro-5-trifluoromethylpyridine with electron-rich alkenes and formaldehyde in the presence of trifluoroacetic acid in boiling acetonitrile for 1 h yielded 6*a*,7,8,9,10,10*a*-hexahydro-6*H*-pyrido[1,2-*a*]-quinazolines (**326**) (96TL2615). The regiochemistry is dictated by the reaction of formaldehyde at the primary amino group.

See a further example in Section IV.E.

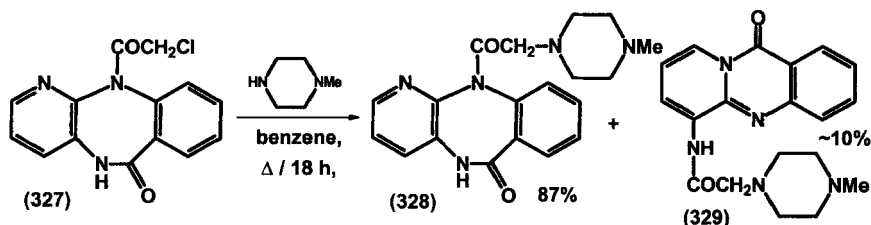
H. BY FORMATION OF THREE BONDS FROM [2 + 2 + 2] ATOM FRAGMENTS

Isoquinoline, phenyl isocyanate, and dimethyl acetylenedicarboxylate in diethyl ether for 13 h afforded dimethyl 1-phenyl-2-oxo-1,2-dihydro-11*bH*-pyrimido[2,1-*a*]isoquinoline-3,4-dicarboxylate (**64**) in 46% yield (67CB1094).

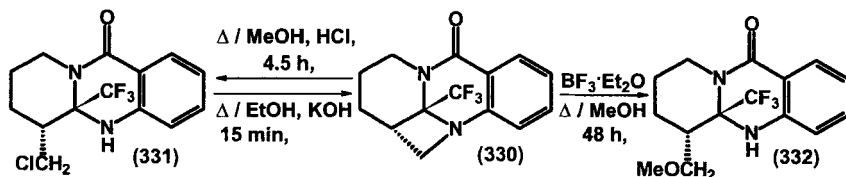
I. RING TRANSFORMATIONS

6-Amino-11*H*-pyrido[2,1-*b*]quinazolin-11-one (**203**) was obtained as a degradation product of 5,11-dihydro-6*H*-pyrido[2,3-*b*][1,4]benzodiazepin-6-one (**327**, R = H) and pirenzepine (**328**) by basic and acidic hydrolysis

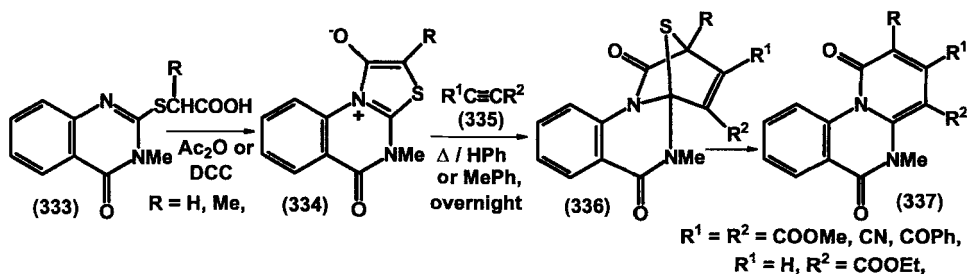
(84MI1, 84MI3). 6-[2-(4-Methyl-1-piperazinyl)acetamido]-11*H*-pyrido[2,1-*b*]quinazolin-11-one (**329**) was isolated from a mixture of crude 11-chloroacetyl-5,11-dihydro-6*H*-pyrido[2,3-*b*][1,4]benzodiazepin-6-one (**327**, R = COCH₂Cl) and excess 1-methylpiperazine in boiling benzene as a by-product with pirenzepine (**328**) (88CCC1820; 89JHC1229).



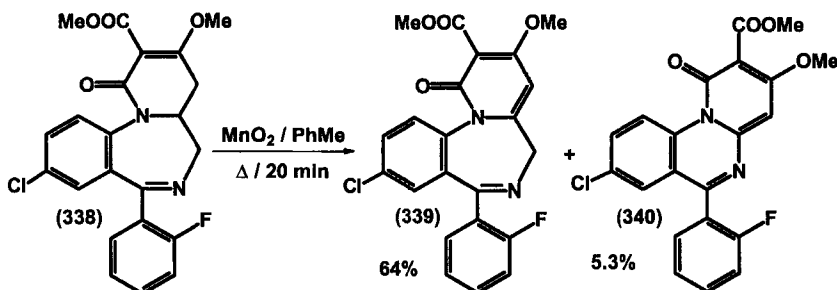
6-Chloromethyl- and 6-methoxymethyl-5*a*-trifluoromethyl-5*a*,5,6,7,8,9-hexahydro-11*H*-pyrido[2,1-*b*]quinazolin-11-ones (**331** and **332**) were prepared from 5*a*-trifluoromethyl-5,5*a*,6,7,8,9-hexahydro-11*H*-5,6-(methano)pyrido[2,1-*b*]quinazolin-11-one (**330**) by ring opening in boiling methanol with hydrogen chloride and boron trifluoride etherate, respectively (86CPB3672). Treatment of the chloromethyl derivative (**331**) with potassium hydroxide in boiling ethanol yielded tetracyclic derivative **330**.



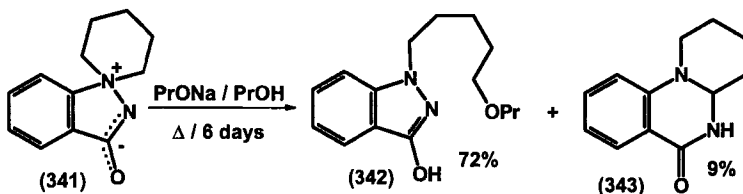
Cyclocondensation of *anhydro*-1-hydroxythiazolo[3,2-*a*]quinazolinium hydroxides (**334**) with dipolarophiles (**335**) afforded 5-methyl-5,6-dihydro-1*H*-pyrido[1,2-*a*]quinazoline-1,6-diones (**337**) (85JOC1666). Sometimes better yields were achieved when mesoionic compounds (**334**) were prepared *in situ* from *S*-(quinazolin-2-yl)thioglycolic acids (**333**, R = Ph) with acetic anhydride or DCC in the presence of the dipolarophiles (**335**). Cycloadducts **336** (R = H, Ph, R¹ = R² = CN) could be characterized in the case of fumaronitrile by IR and ¹H NMR spectroscopy.



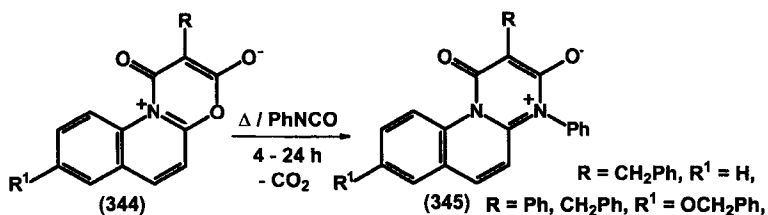
Oxidation of methyl 1-oxo-1,4,4a,5-tetrahydropyrido[1,2-*a*][1,4]benzodiazepine-2-carboxylate (**338**) with activated manganese dioxide in boiling toluene for 20 min afforded methyl 1-oxo-1*H*-pyrido[1,2-*a*]quinazoline-2-carboxylate (**340**) as a by-product and methyl 1-oxo-1,5-dihydropyrido[1,2-*a*][1,4]benzodiazepine-2-carboxylate (**339**) (87JHC683).



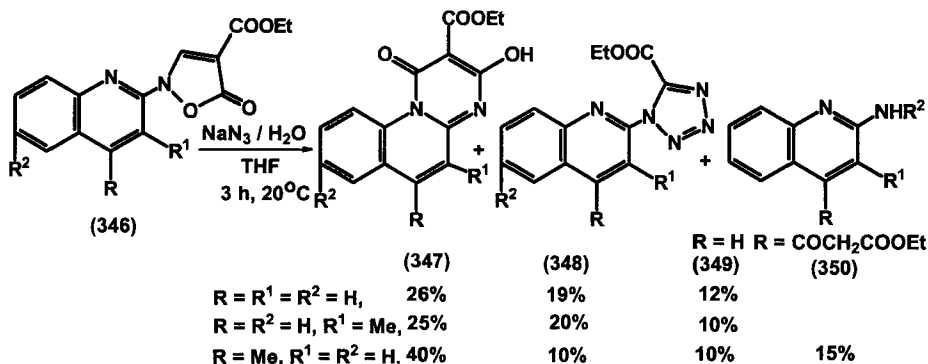
Treatment of betaine **341** with sodium propoxide in boiling 1-propanol for 6 days gave 2,3,4,4a,5,6-hexahydro-1*H*-pyrido[1,2-*a*]quinazolin-6-one (**343**) as a by-product and 3-hydroxy-1-(5-propoxy-pentyl)-1*H*-benz(c)-pyrazole (**342**) [93JCS(P1)1119].



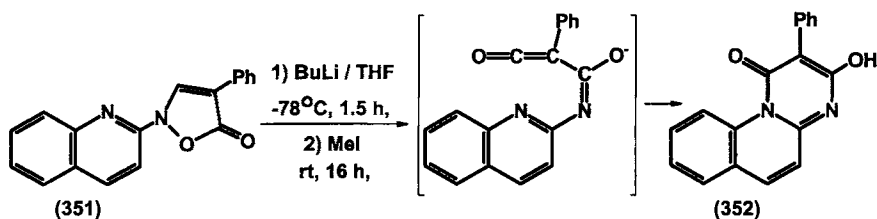
2-Cyano-3-methyl-5,6-dihydro-1*H*-pyrido[1,2-*a*]quinazoline-1,6-dione and its 5-substituted derivatives were prepared from 2-cyano-3-methylpyrido[1,2-*a*][3,1]benzoxazin-6-one with ammonium acetate at 200°C for 4 h, with hydroxylamine hydrochloride and (thio)ureas in a boiling mixture of pyridine and ethanol for 4–7 h, with hydrazine hydrate, phenylhydrazide, primary aliphatic and (hetero)aromatic amines in boiling ethanol for 3–6 h (93CCCC1953).



1,4-Dipolar cycloaddition of 1-oxo-1*H*-[1,3]oxazino[3,2-*a*]quinolin-11-ium-3-olates (**344**) with phenyl isocyanate afforded 4-phenyl-2-substituted 1-oxo-1*H*-pyrimido[1,2-*a*]quinolin-4-ium-3-olates (**345**) (79CB1585; 83M227).

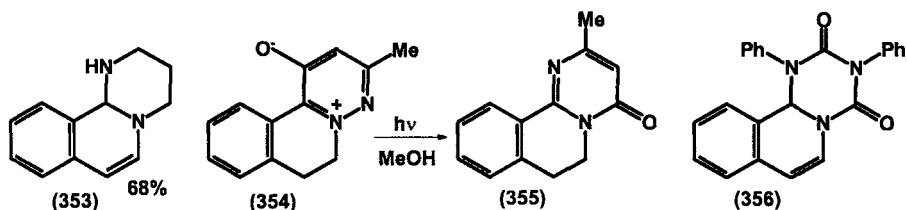


Ethyl 2-(2-quinolinyl)-5-oxo-2,5-dihydroisoxazole-4-carboxylates (**346**) with aqueous sodium azide in tetrahydrofuran gave mixtures of ethyl 3-hydroxy-1-oxo-1*H*-pyrimido[1,2-*a*]quinoline-2-carboxylates (**347**) and quinoline derivatives (**348–350**) (95AJC1861). When the base-catalyzed rearrangement of **346** was carried out in 2–2.5 M aqueous sodium hydroxide for 30 min, ethyl 3-hydroxy-1-oxo-1*H*-pyrimido[1,2-*a*]quinoline-2-carboxylates (**347**, $\text{R} = \text{R}^2 = \text{H}$, $\text{R}^1 = \text{H}$, Me and $\text{R} = \text{H}$, $\text{R}^1 = \text{Me}$, Ph, $\text{R}^2 = \text{OMe}$) were obtained in 65–99% yields (89AJC2161; 92AJC1825). Treatment of 2-(2-quinolinyl)-4-phenylisoxazol-5(2*H*)-one (**351**) with butyllithium and then with methyl iodide afforded 3-hydroxy-2-phenyl-1*H*-pyrimido[1,2-*a*]quinolin-1-one (**352**) (94AJC1673).

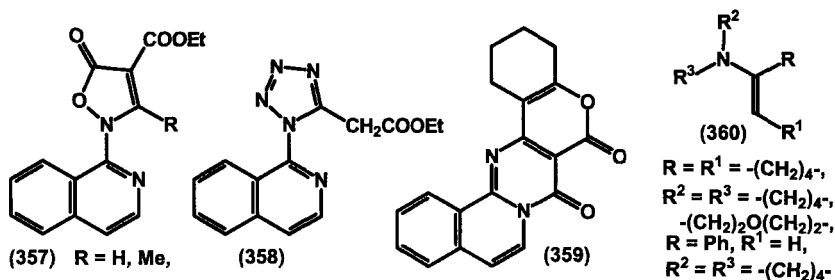


Oxidation of 1,3,4,6,11,11*a*-hexahydro-2*H*-pyrimido[1,2-*b*]isoquinoline (**72**) with the $\text{Hg}(\text{OAc})_2$ -EDTA reagent in 2% aqueous acetic acid at ambient temperature overnight followed by treatment of the filtered solution with 20% potassium hydroxide afforded 1,3,4,11*b*-tetrahydro-2*H*-pyrimido[2,1-*a*]isoquinoline (**353**) (73JOC437).

Photochemical rearrangement of *anhydro*-1-hydroxy-3-methyl-6,7-dihydropyridazino[3,2-*a*]isoquinolinium hydroxide (**354**) to 2-methyl-6,7-dihydro-4*H*-pyrimido[2,1-*a*]isoquinoline-4-one (**355**) occurred in methanol irradiating for 4–10 h with a 200 W high-pressure mercury lamp through a quartz tube under nitrogen at room temperature [77H(8)377].

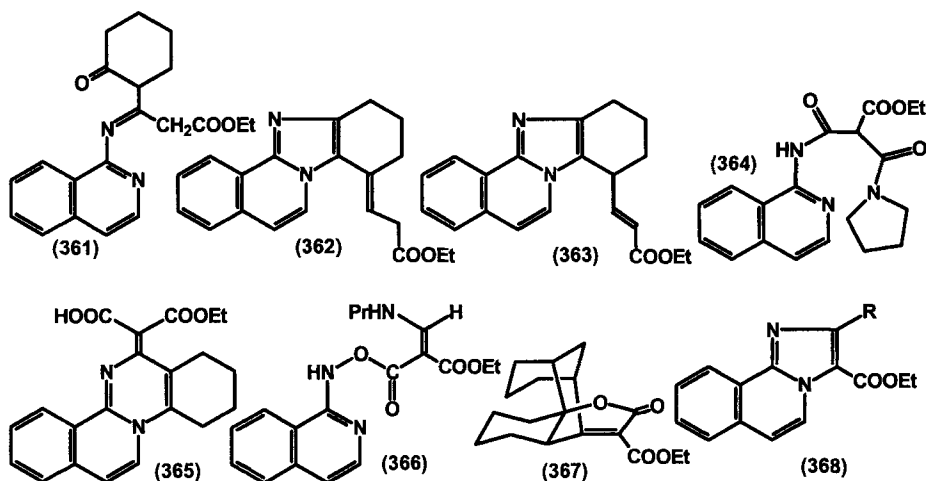


From the reaction mixture of 1,3-diphenyl-1,2,3,4-tetrahydro-11*bH*-s-triazino[2,1-*a*]isoquinoline-2,4-dione (**356**) and dimethyl acetylenedicarboxylate and dipheny ketene, dimethyl 1-phenyl-2-oxo-1,1*b*-dihydro-2*H*-pyrimido[2,1-*a*]isoquinoline-3,4-dicarboxylate (**64**) and 1,3,3-triphenyl-1,3,4,11*b*-tetrahydro-2*H*-pyrimido[2,1-*a*]isoquinoline-2,4-dione (**68**), respectively, were isolated with other products (67CB1107).



Prager and his co-workers investigated the ring transformation of 2-(1-isoquinolinyl)-2,5-dihydroisoxazol-5-ones (**357**) (89AJC2161; 92AJC1811, 92AJC2037; 93T8147; 94AJC1249; 96AJC911). The base-catalyzed rearrangement of ethyl 2-(1-isoquinolinyl)-2,5-dihydroisoxazol-5-one (**357**, R = H) usually afforded ethyl 2-hydroxy-4-oxo-4*H*-pyrimido[2,1-*a*]isoquinoline-3-carboxylate (**20**) in high yield. As base sodium hydroxide, sodium carbonate, sodium bicarbonate (89AJC2161), triethylamine, potassium cyanide, sodium salt of diethyl malonate, thiourea in boiling acetone (92AJC2037), lithium *tert*-butoxide, mixtures of solid potassium *tert*-butoxide and 1-morpholinocyclohexene in dry tetrahydrofuran, and lithium salt of diethyl malonate (96AJC911) were used. In addition to **20**, ethyl

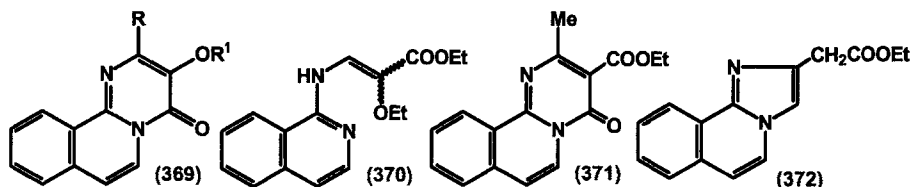
[1-(1-isoquinolinyl)-1,2,3,4-tetrazol-5-yl]acetate (**358**), 2-piperidino-4*H*-pyrimido[2,1-*a*]isoquinolin-4-one [**34**, R = R¹ = -(CH₂)₅-], 1-aminoisoquinoline, and probably pentacyclic compound **359** were also obtained when sodium azide, piperidine in dichloroethane, thiourea in boiling ethanol (92AJC2037), and the lithium salt of *N*-cyclohexylideneaniline (96AJC911), respectively, were used as base. Reaction of ethyl 2-(1-isoquinolinyl)-2,5-dihydroisoxazol-5-one (**357**, R = H) with freshly distilled triethylamine and enamines (**360**) in dry tetrahydrofuran at 20°C under nitrogen gave other products (**361–365**) along with **20** and 2-substituted 4*H*-pyrimido[2,1-*a*]isoquinolin-4-ones (**34**) (96AJC911). When propylamine in methylene chloride (92AJC2037) and the lithium salt of cyclohexanone were used at -80°C (96AJC911) compounds **366** and **367**, respectively, were obtained as the only products.



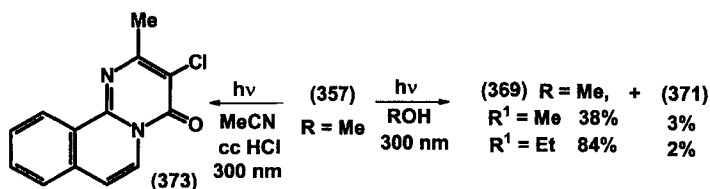
Flash vacuum pyrolysis of **357** (R = H, Me) at 530°C/0.01 mmHg gave imidazo[2,1-*a*]isoquinolines (**368**) with only traces of other products provided that the sublimation temperature was maintained about 25°C below the melting point (92AJC1811; 93T8147). If compounds **357** (R = H) were allowed to melt during the flash vacuum pyrolysis, or if the pyrolysis was carried out in the condensed phase, a number of products was obtained; ethyl 2-hydroxy-4-oxo-4*H*-pyrimido[2,1-*a*]isoquinoline-3-carboxylate (**20**) was a major component (93T8147).

The photolytic products of ethyl 2-(1-isoquinolinyl)-5-oxo-2,5-dihydroisoxazole-4-carboxylates (**357**) were both wavelength and solvent dependent (92AJC1811; 94AJC1249). No reaction occurred in any solvent

at 350 nm, but reaction was rapid at 300 and 254 nm. From compound **357** ($R = H$) at 300 nm no formation of a pyrimido[2,1-*a*]isoquinoline derivative was observed in glacial acetic acid, in acetonitrile in the presence of 1 M trifluoroacetic acid and 12 M hydrochloric acid, and in ethyl acetate in the presence of acetic acid and methanol. When ethanol was used as a solvent, 18% of 3-ethoxy-4*H*-pyrimido[2,1-*a*]isoquinolin-4-one (**369**, $R = H$, $R^1 = Et$) could be isolated with the geometric isomers of compound **370** (94AJC1249).



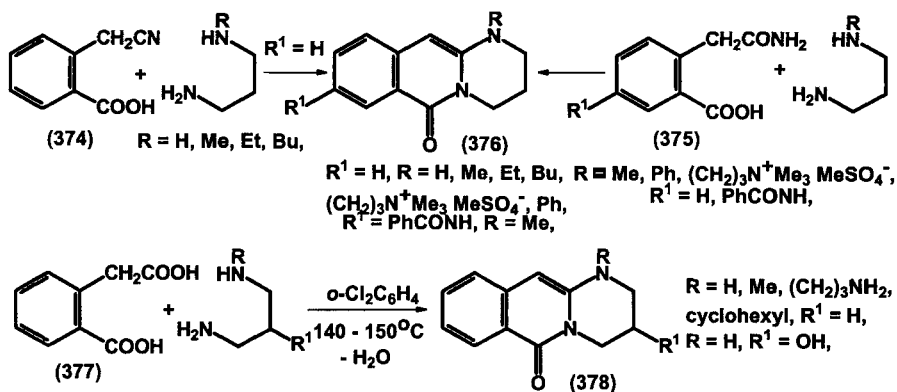
Irradiation of compound **357** ($R = Me$) in methanol and ethanol at 300 nm gave ethyl 2-methyl-4-oxo-4*H*-pyrimido[2,1-*a*]isoquinoline-3-carboxylate (**371**) and 3-alkoxy-2-methyl 4*H*-pyrimido[2,1-*a*]isoquinoline-4-ones (**369**, $R = Me$, $R^1 = Me, Et$) along with two imidazo[2,1-*a*]isoquinolines (**368**, $R = Me$ and **372**) and a ring-opened product (92AJC1811). At 254 nm the yields of 4*H*-pyrimido[2,1-*a*]isoquinolin-4-ones (**369**, $R = Me$ and **371**) and **368**, ($R = Me$) were lower; no formation or trace of them was observed in acetonitrile, acetone, acetic acid, and ethyl acetate. Irradiation of compound **357** ($R = Me$) at 300 nm in acetonitrile containing 36% hydrochloric acid afforded 3-chloro-2-methyl-4*H*-pyrimido[2,1-*a*]isoquinolin-4-one (**373**) in 31–81% yields and other products.



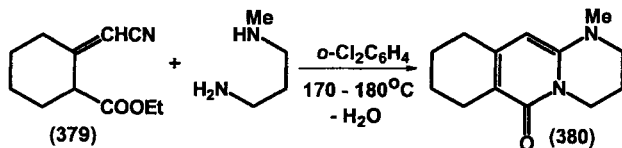
J. MISCELLANEOUS

Cyclocondensation of 2-(cyanomethyl)benzoic acid (**374**) and 2-(4-benzamido-2-carboxyphenyl)acetamide (**375**) with 1,3-propanediamines at 140–180°C yielded 1,2,3,4-tetrahydro-6*H*-pyrimido[1,2-*b*]isoquinolin-6-ones (**376**) in good yields [69LA(729)83; 71GEP1951516, 71GEP1960099].

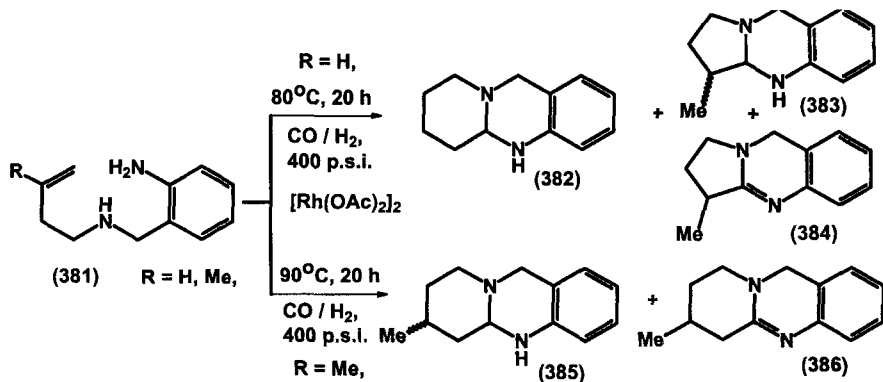
Reaction of homophthalic acid (**377**) and 3-hydroxypropylamine at 215–220°C gave 1-(3-hydroxypropyl)-1,2,3,4-tetrahydro-6*H*-pyrimido[1,2-*b*]isoquinoline (**376**, R = CH₂CH₂CH₂OH, R¹ = H) (71GEP1960375). 1-Substituted 1,2,3,4-tetrahydro-6*H*-pyrimido[1,2-*b*]isoquinolin-6-ones (**378**) were obtained from homophthalic acid (**377**) and 1,3-propanediamines in *o*-dichlorobenzene at 140–150°C with removal of water by azeotropic distillation [78JAP(K)78/130435; 79CPB2372; 88HCA77].



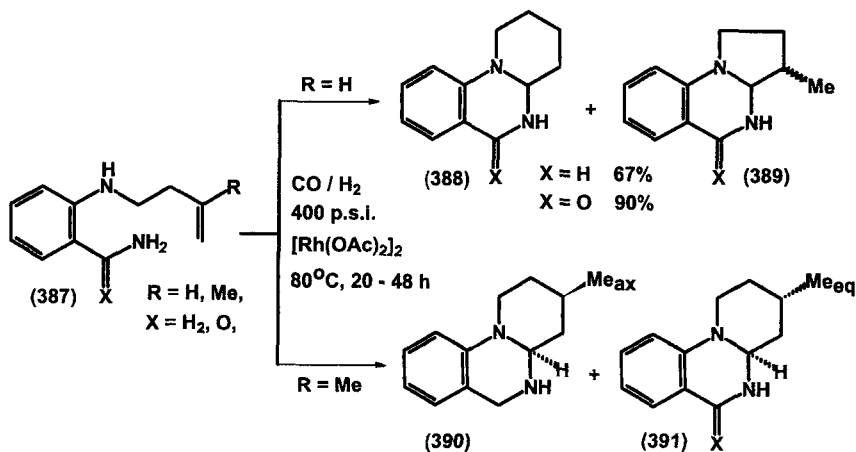
Ethyl 2-(cyanomethylene)cyclohexanecarboxylate (**379**) and *N*-methylpropylenediamine in *o*-dichlorobenzene at 170–180°C for 24 h yielded 1-methyl-1,2,3,4,7,8,9,10-octahydro-6*H*-pyrimido[1,2-*b*]isoquinolin-6-one (**380**) (78GEP2731982; 79YZ880; 81BRP1588166, 81USP4284778).



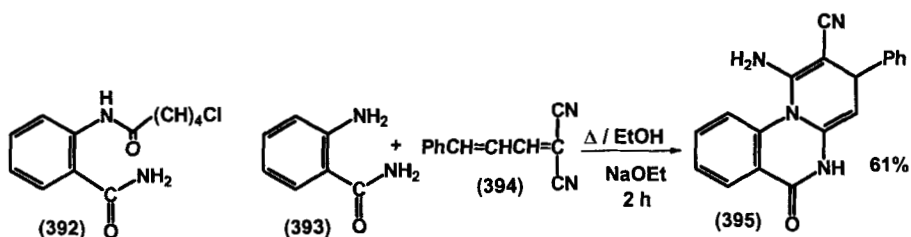
2,1-Benzisothiazolin-3-(1*H*)-one and triethyl phosphite in pyridine at ambient temperature overnight afforded a complex mixture from which 11*H*-pyrido[2,1-*b*]quinazolin-11-one (**27**) was isolated in 5% yield (84JHC369). The yield was higher (10%) when a more dilute solution was used. When 2,1-benzisothiazolin-3-(1*H*)-one was boiled in an 1:1 mixture of pyridine and water for 6 h, 11*H*-pyrido[2,1-*b*]quinazolin-11-one (**27**) was obtained in 19% yield in addition to poly(anthraniloyl)benzoxazine.



Rhodium-catalyzed hydroformylation of 2-amino-*N*-(but-3'-enyl)- and -*N*-(3'-methylbut-3'-enyl)benzylamines (**381**) in the presence of rhodium(II) acetate dimer and triphenylphosphine in deoxygenated ethyl acetate gave mixtures of 5,5a,6,7,8,9-hexahydro-11*H*-pyrido[2,1-*b*]quinazoline (**382**), isomeric 6-methyl-5,5a,6,7,8,10-hexahydropyrrolo[2,1-*b*]quinazolines (**383**), and 6-methyl-6,7,8,10-tetrahydropyrrolo[2,1-*b*]quinazoline (**384**), as well as a stereoisomeric mixture of 7-methyl-5,5a,6,7,8,9-hexahydro-11*H*-pyrido[2,1-*b*]quinazolines (**385**) and 15% of 7-methyl-6,7,8,9-tetrahydro-11*H*-pyrido[2,1-*b*]quinazoline (**386**), (95AJC2023). When the bulky tricyclohexylphosphine was used instead of triphenylphosphine, a 3:7 mixture of compounds **382** and **383** and a 3:1 mixture of isomeric **385** were formed.

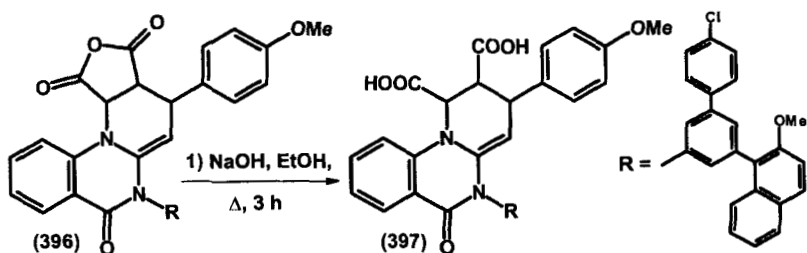


Rhodium-catalyzed hydroformylation of *o*-(substituted amino)benzylamines (**387**, X = H₂) and *o*-(substituted amino)benzamides (**387**, R = H, X = O) in the presence of rhodium(II) acetate dimer and triphenylphosphine in deoxygenated ethyl acetate gave a 7:3 mixture of 1,2,3,4,4*a*,5-hexahydro-6*H*-pyrido[1,2-*a*]quinazolines (**388**, X = H₂, O) and isomeric 3-methyl-1,2,3,3*a*,4,5-hexahydropyrrolo[1,2-*a*]quinazolines (**389**, X = H₂, O) (94AJC1061). The methyl derivative of benzylamine **387** (R = Me, X = H₂) afforded a mixture of diastereoisomers **390** and **391** (X = H₂). Their ratio depended on the reaction time. Longer reaction times gave more **391** (X = H₂), containing the methyl group in an equatorial position. Compound **390** isomerized into **391** (X = H₂), under the aforementioned conditions. The benzamide derivative (**387**, R = Me, X = O) yielded only one isomer (**391**, X = O), independent of the reaction period.



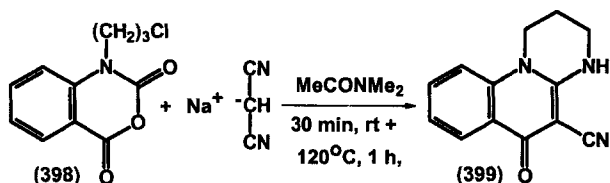
Cyclization of *N*-(2-aminocarbonylphenyl)-5-chloropentanamide (**392**) in boiling methanol in the presence of sodium methoxide for 4 h gave a mixture of 1,2,3,4-tetrahydro-6*H*-pyrido[1,2-*a*]quinazolin-6-one (**140**) and 1,2,3,4-tetrahydro-11*H*-pyrido[2,1-*b*]quinazolin-11-one (**7**) (86JHC53).

Cyclocondensation of anthranilamide (**393**) and cinnamylidenemalonitrile (**394**) in boiling ethanol in the presence of sodium ethoxide yielded 1-amino-6-oxo-3-phenyl-5,6-dihydro-3*H*-pyrido[1,2-*a*]quinazoline-2-carbonitrile (**395**) (87G385).

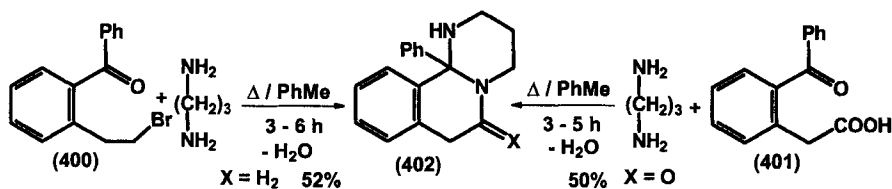


2,3,5,6-Tetrahydro-1*H*-pyrido[1,2-*a*]quinazoline-1,2-dicarboxylic acid (**397**) was obtained from tetracyclic compound **396**, prepared in the reaction of 2-(substituted 2-pyrimidinyl)-3-(*p*-methoxystyryl)quinazolin-4(3*H*)-one and maleic anhydride with a solution of sodium hydroxide in ethanol (94MI6).

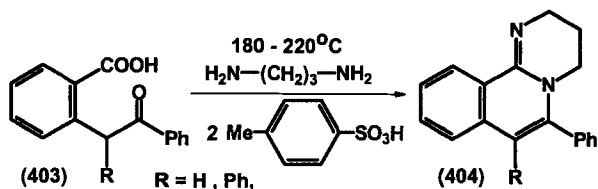
Sodio malononitrile, prepared from malononitrile with 57% sodium hydride in dimethylacetamide, and 1-(3-chloropropyl)isatoic anhydride (**398**) at room temperature for 30 min, then at 120°C for 18 h, gave 6-oxo-1,2,3,4-tetrahydro-6*H*-pyrimido[1,2-*a*]quinoline-5-nitrile (**399**) (76JOC825).



(1*S*)-5-amino-8,9-dihydroxy- (**8**) and 5,8,9-trihydroxy-1*H*-pyrimido[1,2-*a*]quinoline-1-carboxylic acids were isolated from pyoverdins in concentrated hydrochloric acid at 37°C for 21 days and in 2 M hydrochloric acid at 110°C for 6 days, respectively [84M11; 87T2261; 90MI2, 90TL7611; 91OMS899, 91ZN(C)993]. (3*S*)-5,8,9-trihydroxy-2,3-dihydro-1*H*-pyrimido[1,2-*a*]quinoline-3-carboxylic acid was isolated from an isopyoverdin by hydrolysis in 3 N hydrochloric acid at 110°C for 5 days [96ZN(C)772].

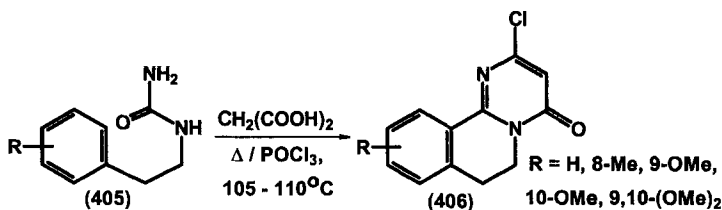


Cyclocondensation of *o*-(2-bromoethyl)benzophenone (**400**) and *o*-benzoylphenylacetic acid (**401**) with 3 moles of 1,3-diaminopropane in boiling toluene under a water separator afforded 11*b*-phenyl-1,3,4,6,7,11*b*-hexahydro-2*H*-pyrimido[2,1-*a*]isoquinoline (**402**, X = H₂) and its 6-oxo derivative (**402**, X = O) (71MI1). 11*b*-(2-Naphthyl)-1,3,4,6,7,11*b*-hexahydro-2*H*-pyrimido[2,1-*a*]isoquinolin-6-one was similarly prepared from *o*-(2-naphthyl)carbonylphenylacetic acid and 1,3-diaminopropane (77RZC691).



o-Substituted benzoic acids (**403**, R = H, Ph) with the ditosylate salt of 1,3-diaminopropane at 180–220°C yielded 6-phenyl- and 6,7-diphenyl-3,4-dihydro-2*H*-pyrimido[2,1-*a*]isoquinolines (**404**) (72GEP2206012; 72SAP 72/01118).

N-(2-Arylethyl)ureas (**405**) and malonic acid in phosphoryl chloride at 105–110°C gave 2-chloro-6,7-dihydro-4*H*-pyrimido[2,1-*a*]isoquinolin-4-ones (**406**) (81GEP3006478; 90T1323).



V. Applications and Important Compounds

Selective inhibition of cyclic AMP-dependent protein kinase by isoquinoline derivatives, among them by ethyl 4,6-dioxo-1,4-dihydro-6*H*-pyrimido[1,2-*b*]isoquinoline-3-carboxylate (**199**) and 4-amino-6-oxo-6*H*-pyrimido[1,2-*b*]isoquinoline-3-carboxylate and 3-nitrile (**201**), was investigated (96MI7).

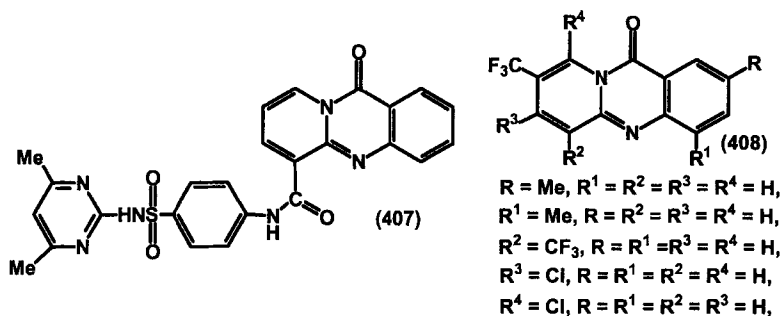
The biological properties of 11-oxo-11*H*-pyrido[2,1-*b*]quinazoline-2-carboxylic acid (**127**, R = COOH, R¹ = H) (SM857, doqualast) were investigated both *in vitro* and *in vivo* (85MI5; 86AF1609, 86AF1619, 86AF1627, 86AF1632, 86AF1637, 86AF1642, 86AF1647, 86MI2, 86MI9; 87MI2, 87MI3; 88MI2, 88MI6; 89AF1171). Combinations of 11-oxo-11*H*-pyrido[2,1-*b*]quinazoline-2-carboxylic acid (**127**, R = COOH, R¹ = H) and its salts with β₂-mimetics were patented as effective antiallergic and broncholytic agents (87GEP3545949).

8-(5-Tetrazolyl)- and 2- and 8-carboxylic acids derivatives of 11*H*-pyrido[2,1-*b*]quinazolin-11-one were patented for the treatment of hyperuricemia (88GEP3704203) and hyperlipidemia (89EUP312076) and as cardioprotective agents (90GEP3902639).

Bronchodilator activities of 2-amino-11*H*-pyrido[2,1-*b*]quinazolin-11-one (**127**, R = NH₂, R¹ = H) (82MI2) and other 11*H*-pyrido[2,1-*b*]quinazolin-11-ones (87JMC1543) were investigated *in vitro* and *in vivo*. The mutagenicity of 11*H*-pyrido[2,1-*b*]quinazolin-11-one (**27**), its 2-, 3-, 4-amino, 8-, 9-methyl, 2-methoxy, and 3-chloro derivatives (82MI1), and *N*-[2-(dimethylamino)ethyl]-11-oxo-11*H*-pyrido[2,1-*b*]quinazoline-6-carboxamide (90MI3) were investigated.

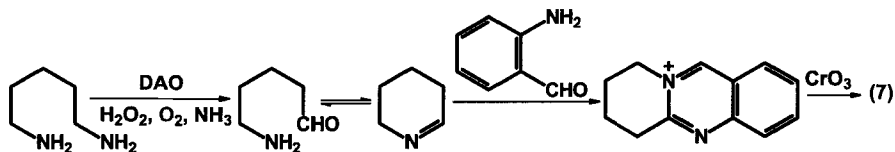
Antiallergic activities of different *N*-substituted 11-oxo-11*H*-pyrido[2,1-*b*]quinazolin-8-carboxamides were investigated (87JMC185; 88JMC466). The thromboxane synthetase inhibitor *N*-[1-methyl-1-(4-pyridyl)butyl]-11-oxo-11*H*-pyrido[2,1-*b*]quinazoline-8-carboxamide was investigated in anesthetized sheep (87MI5). *N*-(2-Piperazinoethyl)-8-isopropyl-11*H*-pyrido[2,1-*b*]quinazoline-2-carboxamide was patented as a leukotriene agonist for the treatment of aphthous ulcers and other mucocutaneous disorders (92CP2065496).

The antitumor activities of *N*-substituted 11-oxo-11*H*-pyrido[2,1-*b*]quinazoline-6-carboxamides were studied (88JMC707; 92MI3; 95JMC2418). Using an automated pharmacophore identification procedure, 11-oxo-11*H*-pyrido[2,1-*b*]quinazoline-6-carboxamide (**407**) was predicted to be an active inhibitor of human immunodeficiency virus type 1 (HIV-1) integrase (97JMC920).



8-Trifluoromethyl-11*H*-pyrido[2,1-*b*]quinazolin-11-ones (**408**) were patented as agrochemical fungicides [90JAP(K)90/235882]. 11*H*-Pyrido[2,1-*b*]quinazoline derivatives were patented as charge generating agents applied to electrophotographic photoconductors [91JAP(K)91/24555].

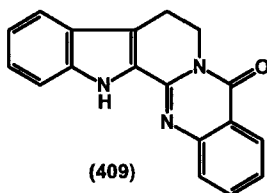
Diamine oxidase (DAO) activity could be determined by first reacting cadaverine with an enzyme to produce Δ^1 -piperidine, which then was reacted with 2-aminobenzaldehyde and finally chromium(VI) oxide to form 6,7,8,9-tetrahydro-11*H*-pyrido[2,1-*b*]quinazolin-11-one (**7**). The latter was determined on an HPLC apparatus (Scheme 5) (84MI4).



SCHEME 5

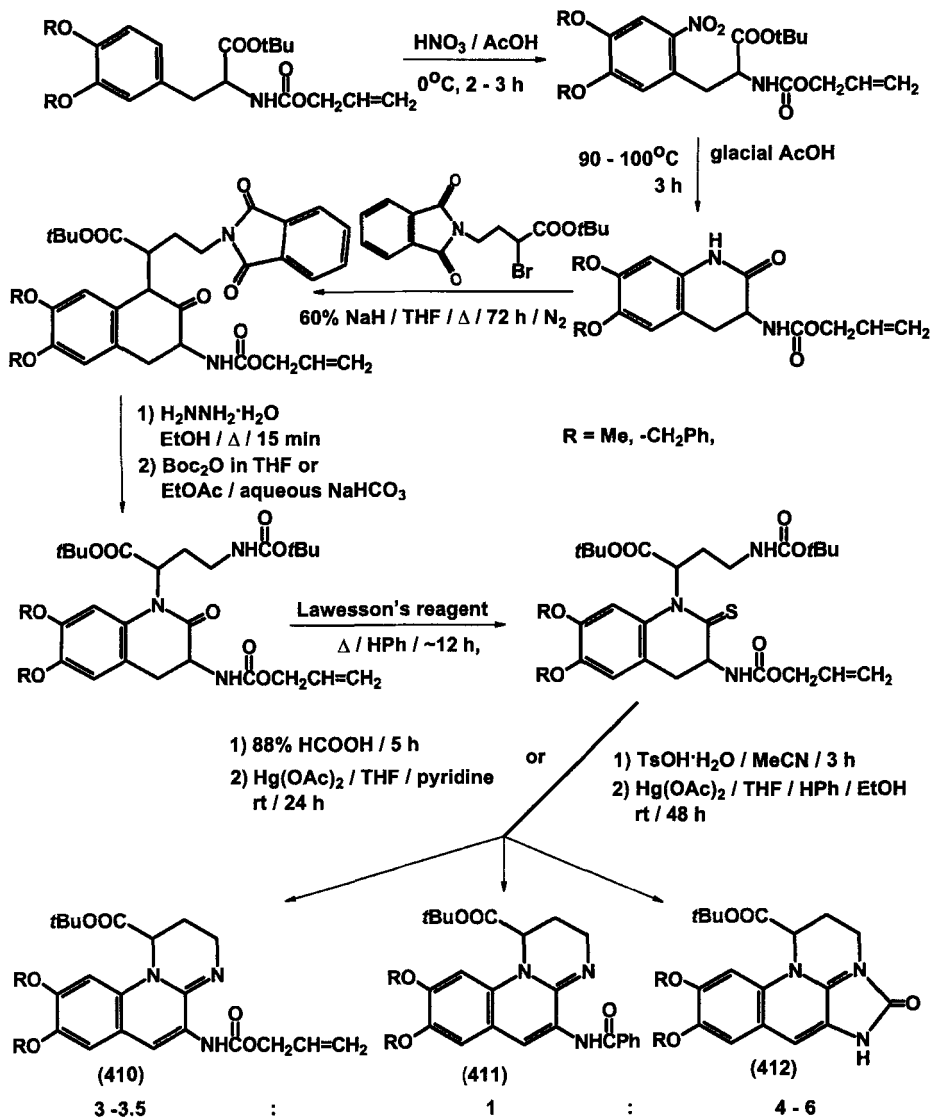
8,10-Dinitro-1*H*-pyrido[1,2-*a*]quinazolin-6-ones were patented as charge transporting agents used for electrophotographic photoreceptors [96EUP738934, 96JAP(K)96/157476, 96JAP(K)96/211638].

6,7,8,9-Tetrahydro- and 1,2,3,4,6,7,8,9-octahydro-11*H*-pyrido[2,1-*b*]quinazolin-11-ones and their 6-arylhydrazino derivatives (**134**) are key intermediates in the total synthesis of rutaecarpine alkaloid (**409**) and its derivatives (**183**) (85JHC1373; 87JHC1045).



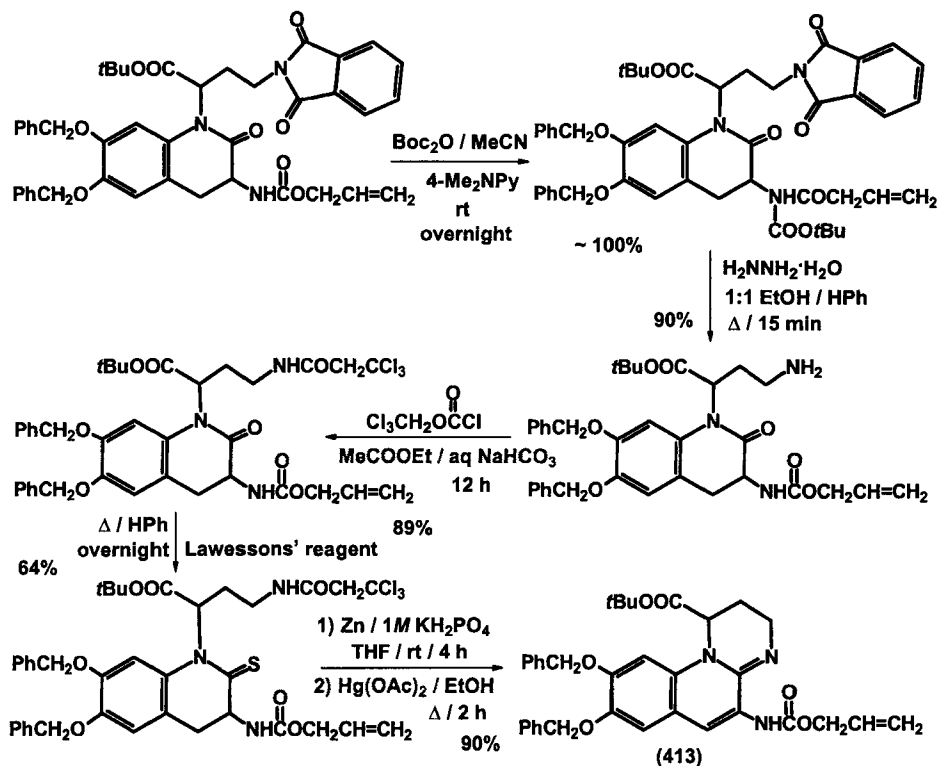
Siderophores (pseudobactins, pyoverdins, isopyoverdins, azoverdins), iron chelating peptides of bacteria, contain (1*S*)- and (3*S*)-5-amino-8,9-dihydroxy-1*H*-pyrimido[1,2-*a*]quinoline-1- and -3-carboxylic acids derivatives (**8–11**) [80MI1; 81MI1, 81MI2; 83TL4877; 84BBA11, 84MI2, 84MI10; 85MI3, 85MI7, 85MI9; 86JBC795, 86MI1, 86MI3, 86MI8, 86ZN(C)497; 87MI4, 87T2261; 88MI4, 88MI8; 89LA375, 89MI2, 89MI3; 90MI2, 90MI4–90MI6, 90TL7611, 90ZN(B)1437; 91MI3–91MI5, 91MI10, 91MI11, 91ZN(C)522, 91ZN(C)527, 91ZN(C)534, 91ZN(C)993; 92M151, 92MI1, 92MI4–92MI7, 92TL1737, 92TL1889, 92ZN(C)26, 92ZN(C)487; 93JPR83, 93JPR157, 93MI2–93MI6, 93MI9; 94IC6391, 94MI1–94MI3, 94MI5, 94MI7, 94MI8, 94T9865; 95DIS(B)1390, 95MI2, 95NJC105, 95ZN(C)337, 95ZN(C)616, 95ZN(C)622; 96DIS(B)1090, 96MI1–96MI3, 96MI5, 96MI6, 96MI8, 96TL3329, 96ZN(C)772].

The syntheses of pyrimido[1,2-*a*]quinoline chromophore of pseudobactins are depicted in Schemes 6 and 7 (88MI4; 90JOC4246). When allyloxycarbonyl and phthaloyl protective groups were used, then along with pyrimido[1,2-*a*]quinoline derivatives **410** and **411**, tetracyclic **412**, corresponding to the chromophore of azobactin, was formed (Scheme 6). Pyrimido[1,2-*a*]quinoline (**413**) was obtained in good yield when 2,2,2-



SCHEME 6

trichloroethoxycarbonyl and *tert*-butoxycarbonyl protective groups were applied (Scheme 7). The synthesis of the peptide fragment of a pseudobactin was also reported (95JOC1932; 96MI4). ¹⁵N-labeled

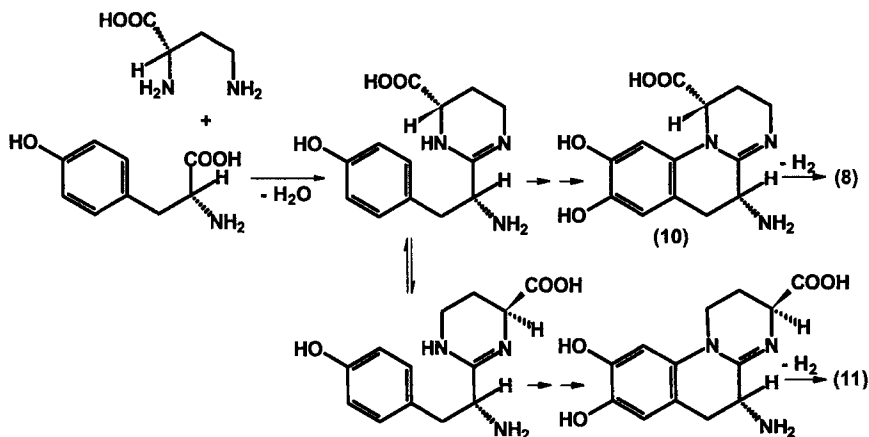


SCHEME 7

azoverdin was produced by *Azomonas macrocytogenes* ATCC 12334, using $(^{15}\text{NH}_4)_2\text{SO}_4$ and $\text{MeCO}^{15}\text{NH}_2$ (94MI8).

The proposed biogenesis of pyoverdin chromophore, (1*S*)-5-amino-8,9-dihydroxy-2,3-dihydro-1*H*-pyrimido[1,2-*a*]quinoline-1-carboxylic acid (**8**) and (3*S*)-5-amino-8,9-dihydroxy-2,3-dihydro-1*H*-pyrimido[1,2-*a*]quinoline-3-carboxylic acid (**11**), from *D*-tyrosine and *L*-2,4-diaminobutyric acid was investigated (Scheme 8) [91ZN(C)527; 93MI1, 93ZN(C)425; 94T9865; 95ZN(C)616].

Ethyl 1-oxo-6-piperidino-1*H*-pyrimido[1,2-*a*]quinoline-2-carboxylate showed potent *in vitro* anticoccidial activity (92AAC2338). *anhydro*-1,3-Diethyl-2-hydroxy-4-oxo-4*H*-pyrimido[2,1-*a*]isoquinolinium hydroxyde (**318**) exhibits nonselective A_1 - and A_2 -adenosine receptor activities (84JMC1364) and proved to be an inhibitor of cyclic-AMP phosphodiesterase (81JMC1284). 8,9,10,11-Tetrahydro-3-(1*H*-5-tetrazolyl)-4*H*-pyrimido[2,1-*a*]isoquinolin-4-one exhibits antiulcer activity (84USP4457932).



SCHEME 8

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Reactions of 2(3*H*)-Furanones

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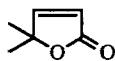
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I. Introduction

There are three types of furanones: 2(3*H*)-, 2(5*H*)-, and 3(2*H*)-furanones (1–3) (76CRV625).



(1)



(2)



(3)

The term butenolide was first employed by Klobb for describing these compounds (1898BSF389). *Chemical Abstracts* currently has adopted the furanone system of nomenclature. Thus, $\Delta^{\beta,\gamma}$ -butenolides are the 2(3*H*)-furanones and $\Delta^{\alpha,\beta}$ -butenolides are the 2(5*H*)-furanones. The butenolide nomenclature still continues to be employed (76CRV625).

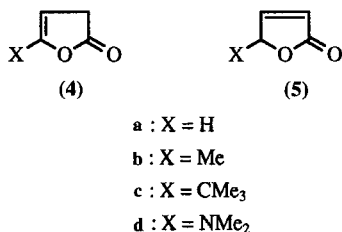
There are several reviews dealing with the chemistry of these unsaturated lactones (64CRV353; 64CSR211; 68RCR254; 76CRV625; 77UK1250;

87KGS723); that of Rao (76CRV625) seems to be the most extensive. Synthetic approaches to these compounds have been reviewed (94MI1; 95MI1).

In this review, we emphasize recent developments in the reactions of 2(3*H*)-furanones covering 10 years from 1987 until the end of 1996.

II. Isomerization

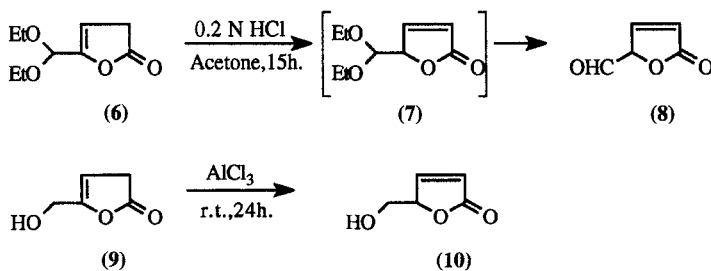
Generally, 2(5*H*)-furanones (**5**) are thermodynamically more stable than their tautomers, the 2(3*H*)-furanones (**4**). SCF-MO calculations showed that the energy of **5a** is less than that of its tautomer **4a** by 53 kJ/mol (70JA2929).



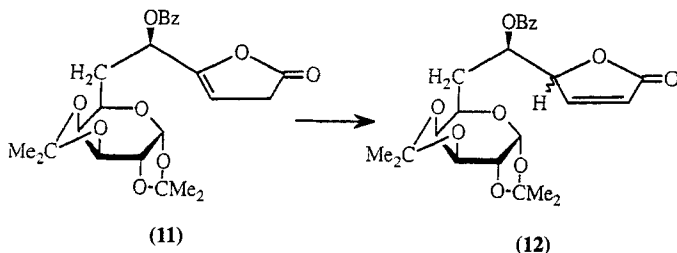
Isomerization of **4** to **5** was effected by triethylamine in benzene (86S921) or even by heating at 100°C in an atmosphere of nitrogen [89ZN(B)465].

5-(Diethoxymethyl)-2(3*H*)-furanone (**6**) was converted to 5-formyl-2(5*H*)-furanone (**8**) by the action of 0.2 N HCl in acetone (89SC3267). Isomerization of the hydroxymethylene derivative (**9**) to its tautomer (**10**) was carried out in the presence of AlCl₃ (Scheme 1) (89SC3267).

Treatment of the furanone (**11**) with triethylamine in toluene effected migration of the double bond to give a mixture of the two stereoisomeric furanones (**12**) (Scheme 2) (92 MI1).



SCHEME 1



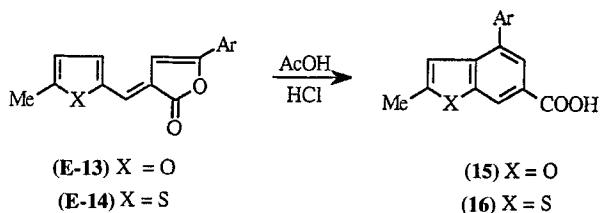
SCHEME 2

He I photoelectron spectroscopic studies of the electronic structure of 2(3*H*)-furanone (**4a**) and 2(5*H*)-furanone (**5a**) were found to be consistent with their chemical stabilities. The IP (9.67 eV) of the HOMO of 2(3*H*)-furanone is significantly lower than the IP (10.65 eV) of the HOMO of the 2(5*H*)-furanone. Calculations for both molecules showed that the total energy of **5a** is lower than that of **4a** (94MJ2). At room temperature, 2(3*H*)-furanone (**4a**), which is an unstable molecule, is formally converted into the 2(5*H*)-furanone (**5a**). This conversion involves a [1.3] σ -migration of a hydrogen atom.

2(3*H*)-Furanones having an exocyclic double bond at position 3 follow a different course of isomerization. Thus, the furanones **13** and **14** isomerize in acidic medium to give the corresponding benzofuran and benzothiophene carboxylic acids **15** and **16**, respectively (Scheme 3) (90JPR414).

III. Hydrolysis

Generally, acid or base hydrolysis of 2(3*H*)-furanones gives the corresponding γ -keto acids. Refluxing furanones **17** and **19** with aq. sodium hy-



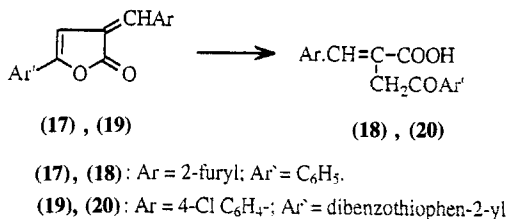
a : Ar = C₆H₅-

b : Ar = 4-MeC₆H₄-

c : Ar = 4-MeO C₆H₄-

d : Ar = 4-Cl C₆H₄-

SCHEME 3



SCHEME 4

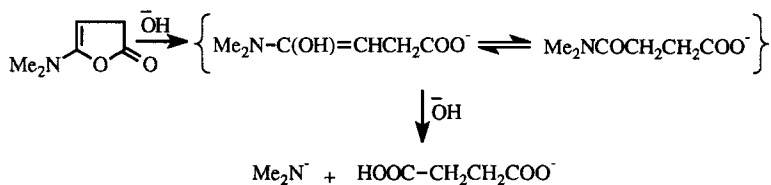
dioxide effected ring opening with the formation of the corresponding acids **18** and **20**, respectively (Scheme 4) [79JPR516;89IJC(B)410].

Alkaline hydrolysis of 5-(dimethylamino)-2(3*H*)-furanone (**4d**) leads not only to ring opening but also to loss of a dimethylamino group with the formation of succinic acid (Scheme 5) [89ZN(B)465].

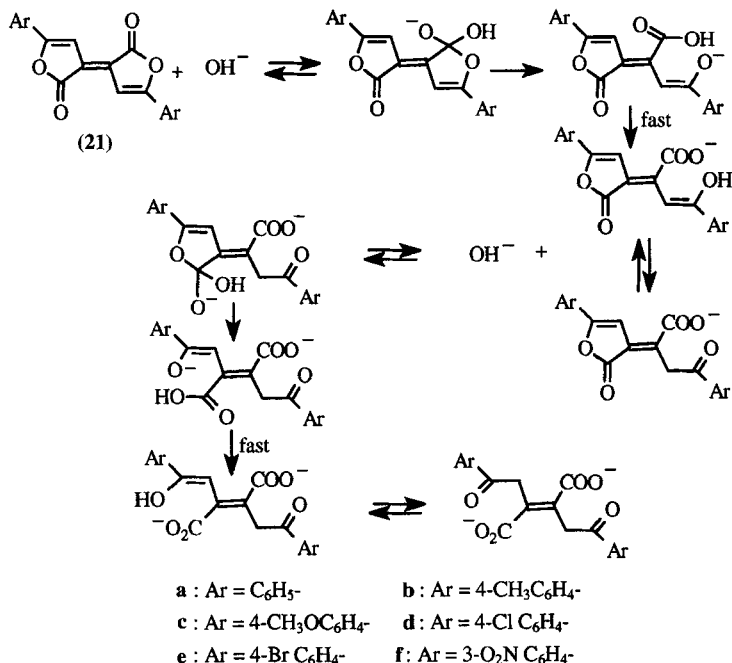
Kinetic studies of the hydrolysis of a series of substituted (*E*)-5,5'-diphenylbifuranylidineodiones (**21**) revealed that the reaction proceeds along a biphasic pathway comprising initial rapid hydrolytic ring opening of one ring followed by slower opening of the second ring. The effects of substitution have been successfully assessed by the use of the Hammett equation. The ρ -value ≈ 1.9 and the activation parameters i.e., the enthalpy and entropy of activation, were found to be in accord with the mechanism proposed (Scheme 6) [91JCS (P2)743]. The increased ease of this reaction was explained in terms of the severe strain in the five-membered ring which is released in route to the transition state for the alkaline hydrolysis.

IV. 1,3-Dipolar Cycloadditions

(*E*)-3-(Arylmethylene)-5-phenyl-2(3*H*)-furanones (**22**) react with nitrile imide **23**, generated *in situ*, to give the spiropyrazones **24**. The reaction was shown to be regioselective by ¹H and ¹³C NMR analysis of the reaction products. The spiropyrazoles **24** were converted by hydrolysis and methanolysis into the corresponding pyrazolecarboxylic acids **25** and carboxylates **26**, respectively (Scheme 7) [93JCR(S)80].

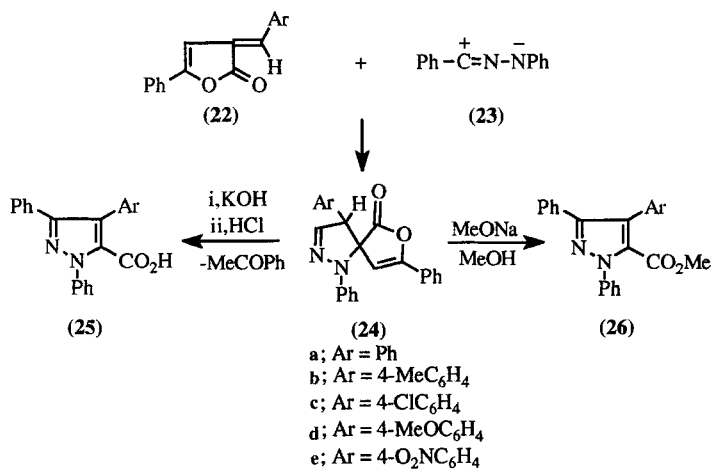


SCHEME 5

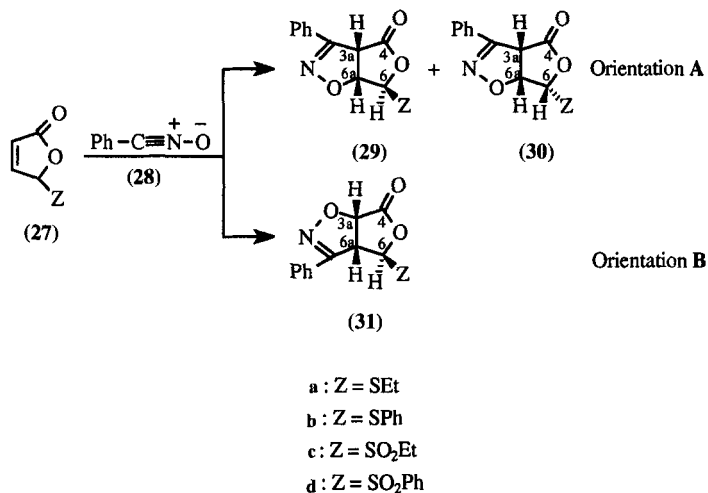


SCHEME 6

The behavior of 2(3*H*)-furanones is completely different from that of the isomeric 2(5*H*)-furanones (27), which on addition of benzonitrile oxide (28) give the furoisoxazolines 29, 30, and 31 (Scheme 8) (96T3457).



SCHEME 7



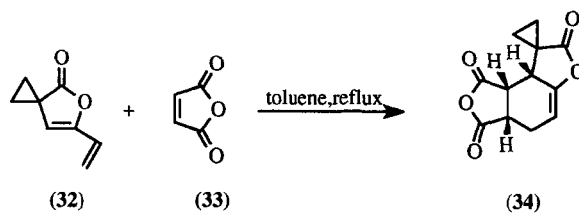
SCHEME 8

The predominant orientation (A) was found to be in accord with the regiochemistry reported for the cycloaddition of dipole **28** to other 2(5*H*)-furanones (70S365; 87CCC1315; 88TL5317) and α, β -unsaturated lactones [99JCS(CC)440].

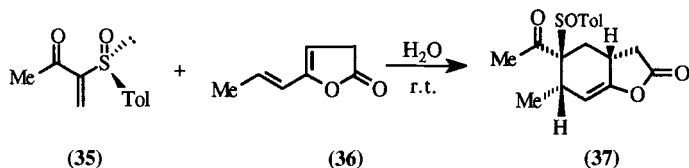
V. Diels–Alder Reactions

The cyclopropanated furanone **32** was found to behave as a Diels–Alder diene. Thus, **32** reacts with maleic anhydride (**33**) to give a tetracyclic adduct **34** which is formed endoselectively (Scheme 9) (93SL415). The latter adduct is a spiroannulated butenolide related to naturally occurring sesquiterpenes [85AG(E)94;85TL5453].

At room temperature in water cycloaddition of (*S*)- α -acetylvinyl sulfoxide (**35**) to furanone **36** leads to the formation of the chiral adduct **37** (Scheme 10) (94SC447).



SCHEME 9



SCHEME 10

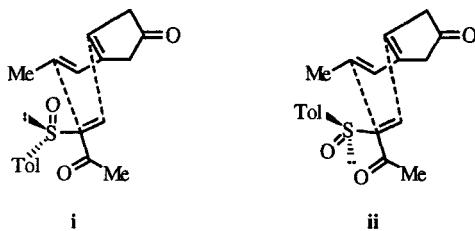
The X-ray diffraction of a single crystal allowed the assignment of the absolute configuration to the stereocenters of **37**. The mechanism proposed to explain the stereochemistry of the [4 + 2] adduct involves attack by the less congested side of the dienophile **35** (94SC447). This assumes a conformation where the bonds S=O and C=O are opposite and where the system – C=C – S=O adopts an S-cis geometry (Scheme 11, form i). On the other hand, the opposite conformation, in which this system adopts an S-trans geometry would lead to **37** only by attack on the most crowded face of the dienophile, an idea that is less consistent (Scheme 11, form ii).

VI. Reactions under Friedel–Crafts Conditions

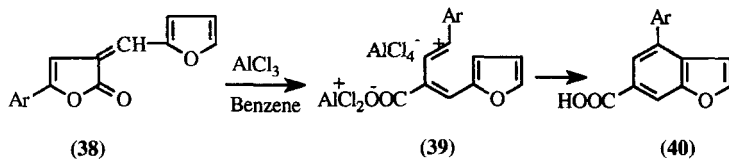
Treatment of 3-(2-furylmethylene)-5-aryl-2(3*H*)-furanones (**38**) with AlCl₃ in benzene led to alkyl–oxygen ring cleavage to give resonance stabilized carbocations **39**, which upon electrophilic attack in the ortho position yield the corresponding benzofurancarboxylic acids (**40**) (Scheme 12) (77JPR689).

This intramolecular mode of reaction was also observed with the more nucleophilic solvents toluene or anisole (82MI1). This behavior was found to be different from that of the 3-fluorenylmethylene analogs, which under the same conditions undergo intermolecular alkylation to give butadienecarboxylic acids [78IJC(B)502].

Furanones having no exocyclic double bond at position 3 behave differently under Friedel–Crafts conditions. Thus, **41** react with AlCl₃ in benzene



SCHEME 11



a : Ar = Ph

b : Ar = 4-CH₃ C₆H₄-

c : Ar = 4-CH₃OC₆H₄

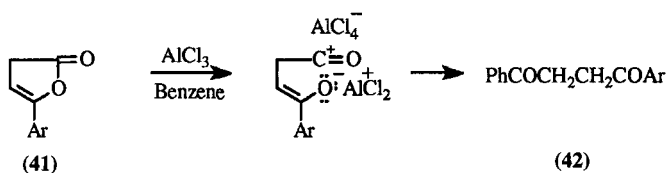
d : Ar = 4-ClC₆H₄-

SCHEME 12

to give 1-aroyl-2-benzoylthane (**42**), whose formation was explained in terms of an intermolecular acylation reaction (Scheme 13) [82IJC(B)763].

This difference in behavior between furanones **41** and those having an exocyclic double bond at position 3 (which under the same conditions produce acids) was attributed to the fact that the latter can afford resonance stabilized carbocations because of the extended conjugation with the arylidene moiety in position 3.

The behavior of the 2(3*H*)-furanone **43** and of the 2(5*H*)-furanone **45** as alkylating agents was studied in an attempt to show the effect of the position of the double bond on the reaction mode. Compound **43** reacts with AlCl₃ in the presence of benzene, toluene, or anisole to give 4-aryl-2,4-diphenylbut-3-enoic acids (**44**), which are formed by an intermolecular alkylation reaction. On the other hand, the reaction of **45** under the same



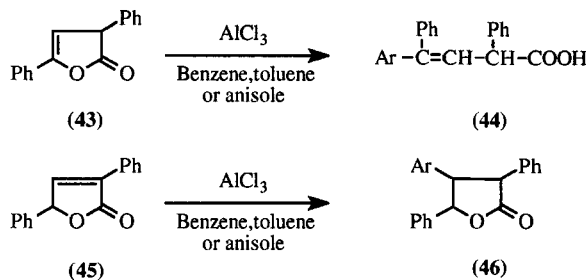
a : Ar = Ph

b : Ar = 4-CH₃C₆H₄-

c : Ar = 4-CH₃OC₆H₄-

d : Ar = 4-ClC₆H₄-

SCHEME 13



a : Ar = Ph

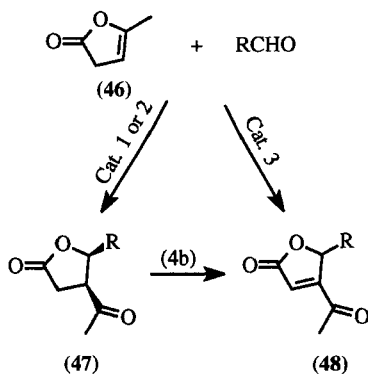
b : Ar = 4-CH₃C₆H₄-c : Ar = 4-CH₃OC₆H₄-d : Ar = 4-ClC₆H₄-

SCHEME 14

conditions affords the butyrolactones (**46** via a 1,4-addition reaction to the α,β -unsaturated carbonyl moiety (Scheme 14) (93RRC79).

VII. Aldol-Type Condensations

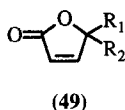
The aldol-type reaction of a cyclic enol ester, 5-methyl-2(3*H*)-furanone (**4b**), was carried out with three kinds of catalytic systems: PdCl₂(PhCN)₂-SnCl₂, Ni(Cod)₂/Ph₃P-Zn, and Pd(OAc)₂/PPh₃-Zn. In the presence of the first catalyst, aromatic aldehydes condense with **4b** to give *cis*- β -acetyl- γ -aryl- γ -butyrolactones (**47**), but alkanals are mainly con-



SCHEME 15

verted to 4-acetyl-5-alkyl-2(5*H*)-furanones (**48**). With the second and third catalyst, 2(5*H*)-furanones (**48**) are produced from either aromatic or aliphatic aldehydes (Scheme 15) (94BCJ2265).

Ketones were also converted into furanones **49** under the same conditions.

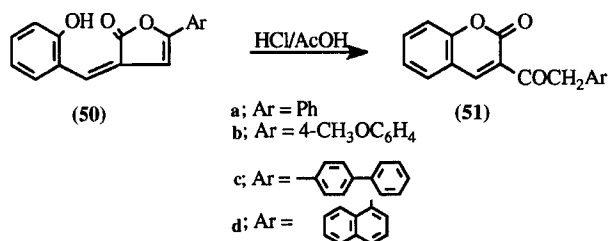


| | R ₁ | R ₂ |
|-----|------------------------------|----------------|
| a : | Et | Et |
| b : | Cyclohexyl | |
| c : | 4- <i>t</i> -butylcyclohexyl | |

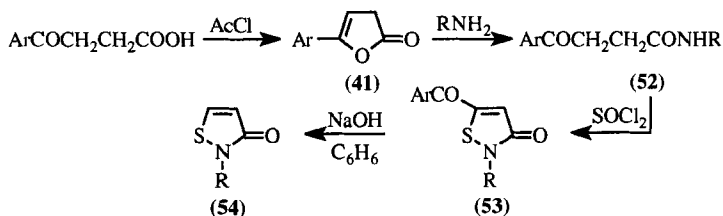
With PdCl₂ (PhCN)₂-ZnCl₂ in acetonitrile, **4b** was found to effect dehydrogenation of **47** at 80°C to give **48**. It was concluded that **48** is produced via an aldol-type reaction between **4b** and carbonyl compounds, followed by dehydrogenation of the **47** formed as an intermediate (94BCJ2265).

VIII. Conversion to Other Heterocycles

The importance of 2(3*H*)-furanones as precursors of other heterocycles stems from their facile ring opening by a variety of nucleophiles to give acyclic derivatives which may undergo ring closure producing synthetically and biologically important heterocyclic systems. 3-(*o*-Hydroxyphenylmethylene)-5-aryl-2(3*H*)-furanones **50** on treatment with conc. HCl in acetic acid undergo rearrangement to 3-phenacylcoumarins **51** (Scheme 16) [87IJC(B)427].



SCHEME 16



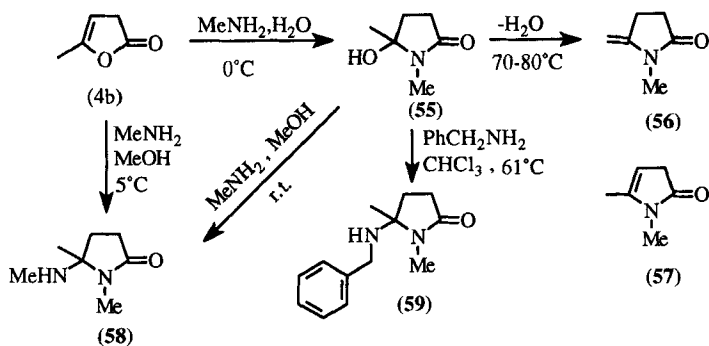
SCHEME 17

2-Substituted 3(2*H*)-isothiazolones **54** were obtained from furanones **41**, which are easily prepared from 3-aroilpropionic acids (83JHC1545), by the sequence shown in Scheme 17 (87H569).

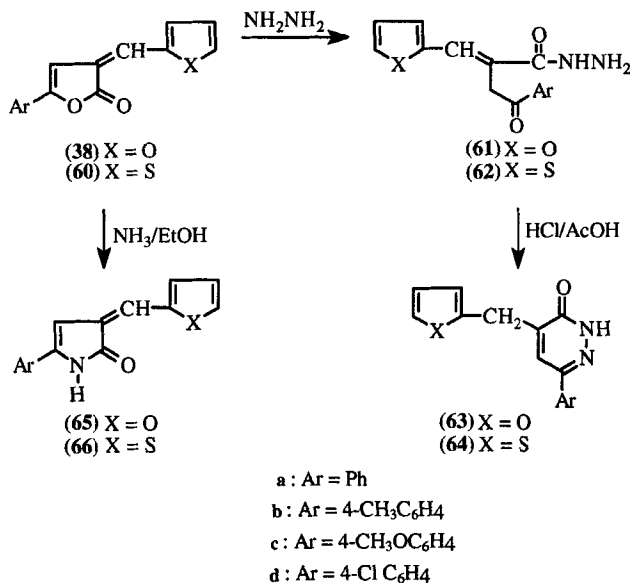
The nature of the substituent R, an alkyl, substituted aryl, or aralkyl group, is limited by the availability of the open-chain N-substituted 3-aroilpropionamides (**52**).

5-Methyl-2(3*H*)-furanone (**4b**) reacts with methylamine in water to give the hydroxypyrrolidin-2-one **55**, which upon dehydration by vacuum distillation gives 1-methyl-5-methylenepyrrolidin-2-one **56** (90JPR557). The latter product was described earlier as 1,3-dimethyl-4-pyrrolin-2-one (**57**) (29CCCC119). When the reaction of **4b** with methylamine was carried out in dry methanol, methylaminopyrrolidin-2-one **58** was obtained. Similarly, benzylamine in boiling trichloromethane converted **55** into the benzylaminopyrrolidin-2-one (**59**) (Scheme 18) (90JPR557).

Ring opening of furanones **38** and **60** with hydrazine hydrate leads to the formation of the corresponding acrylic acid hydrazides **61** and **62**, respectively (81JPR164; 88PHA80). Ring closure of the latter hydrazides with an HCl/AcOH mixture yields the corresponding pyridazinones **63** and **64**. On the other hand, ammonia in ethanol converts the furanones **38** and **60** into the corresponding 5-oxo-2-pyrrolines **65** and **66** (Scheme 19) (79JPR516; 88PHA80).

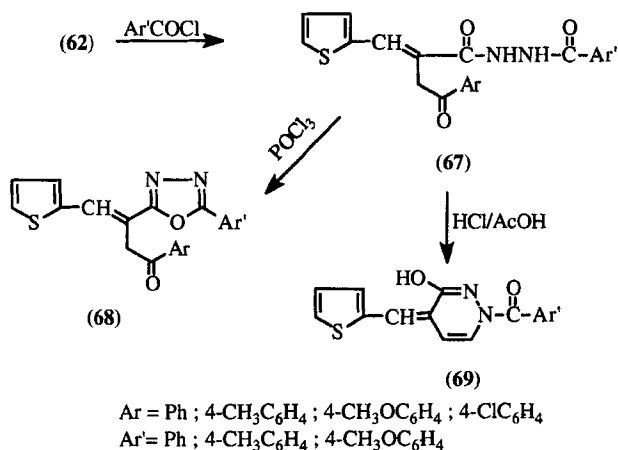


SCHEME 18



SCHEME 19

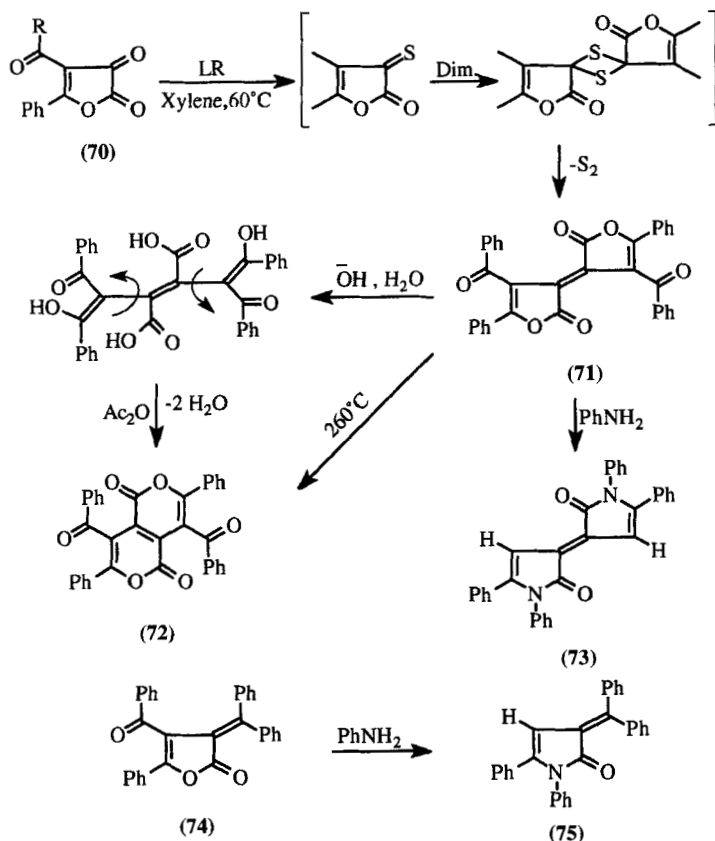
Reaction of hydrazides **62** with acid chlorides in benzene leads to the formation of the diaroylhydrazines **67** from which, on cyclization with phosphorus oxychloride and an HCl/AcOH mixture, the corresponding 1,3,4-oxadiazoles **68** and pyridazinones **69** are formed, respectively (Scheme 20) (93CCC1925).



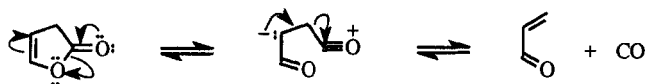
SCHEME 20

It was found that (*E*)-bisfuranone **71**, obtained upon attempted sulfurization of the furandione **70**, undergoes thermal rearrangement at 260°C to give the pyrano[4,3-*c*]pyran derivative **72**. The latter product is also obtained by alkaline hydrolysis of **71**, followed by recyclization with acetic anhydride (Scheme 21) (96T5427).

The bisfuranone **71** reacts in boiling aniline to give the bispyrrolone **73**, indicating a conversion of the furanone into the pyrrolone system, accompanied by loss of the benzoyl moiety. A similar conversion and debenzoylation reaction has been observed with furanone **74**, which is converted into **75** under the same reaction conditions (96T5427). This deacylation in basic medium, probably proceeding via open-chain intermediates, can be regarded as a retro Claisen reaction [76ZN(B)1511].



SCHEME 21



SCHEME 22

IX. Thermal and Photochemical Transformations

The thermolysis of 2(3*H*)-furanone (**4a**) into acrolein and carbon monoxide was studied theoretically as one of several cheletropic processes (89MI1).

An attempt was made to describe the mechanism of this process in terms of familiar concepts (Lewis type structures, interactions between specific orbitals, etc.) by calculating localized orbitals for each of the critical points of a pathway. All calculations, carried out with the MOPAC program using a MINDO/3 Hamiltonian (75JA1285), revealed that the process is a two-step mechanism with an intermediate and two very asymmetric transition states (Scheme 22) (89MI1).

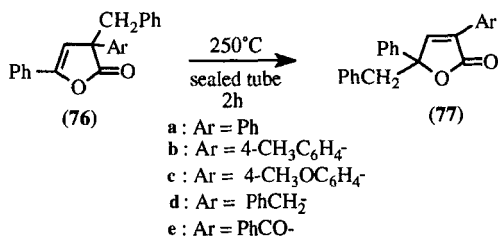
Thermolysis of 2(3*H*)-furanones **76** in a sealed tube at 250°C leads to the formation of the isomeric 2(5*H*)-furanones **77** (Scheme 23) (87JOC5505).

The formation of **77** was explained on the basis of a thermal [1,3]-sigmatropic shift with inversion of configuration at the migrating center, or via a radical pathway (87JOC5505).

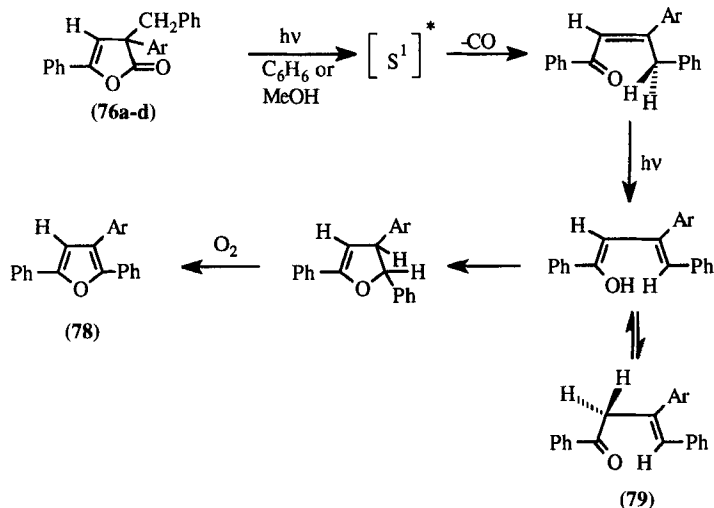
It has been stated that the most general photoreaction of 2(3*H*)-furanones is singlet-mediated decarbonylation to vinyl ketones, although in some cases formation of cyclobutane dimers and oxetanes has been observed (90H751).

Photolysis of the furanones **76a–d** in benzene or methanol gives a mixture of triarylfuran derivatives **78**, butenone derivatives **79**, and some unchanged starting materials (Scheme 24) (87JOC5505).

Sensitized irradiation of furanones **76a–d** in benzene in the presence of acetophenone leads to the formation of the rearranged products **77** and bis-lactones **80**. On the other hand, benzoyl derivatives **76e**, on direct photolysis



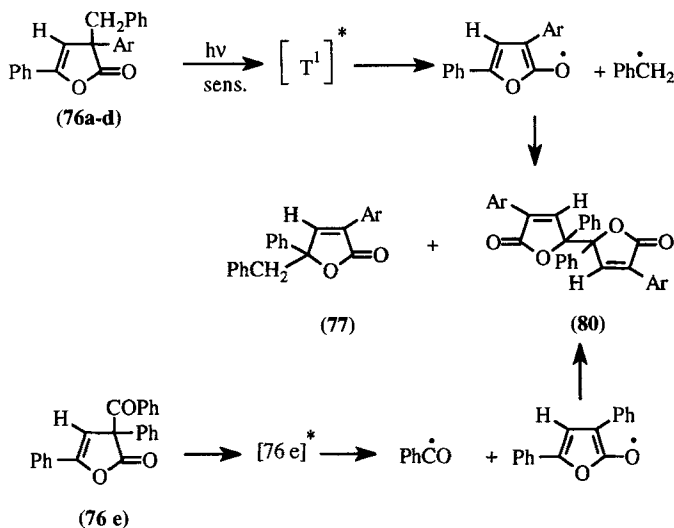
SCHEME 23



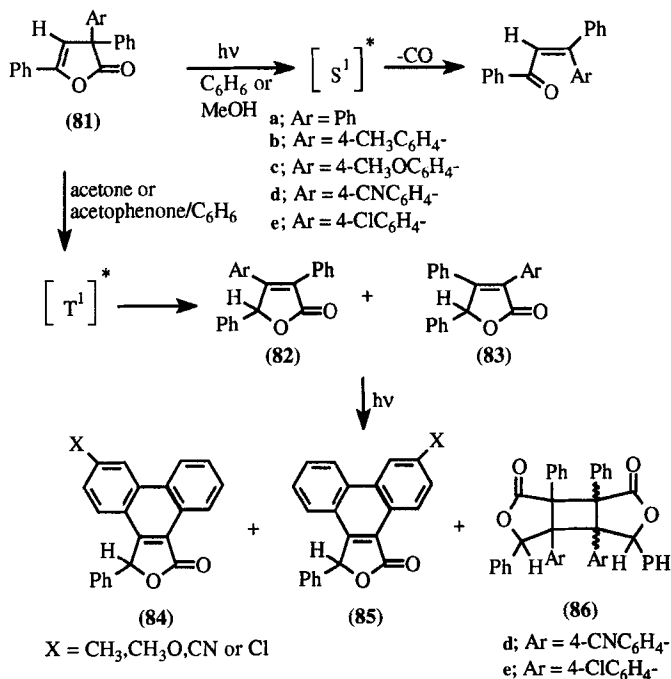
SCHEME 24

sis in methanol or benzene, or under acetophenone sensitization, give bis-lactones **80** as the only products (Scheme 25) (87JOC5505).

Photorearrangement of the 3,3,5-triaryl-2(3*H*)-furanones (**81**) with acetone or acetophenone sensitization yields a mixture of photorearranged 2(5*H*)-furanones **82** and **83**, along with the phenanthrofuranones **84** and **85**,



SCHEME 25



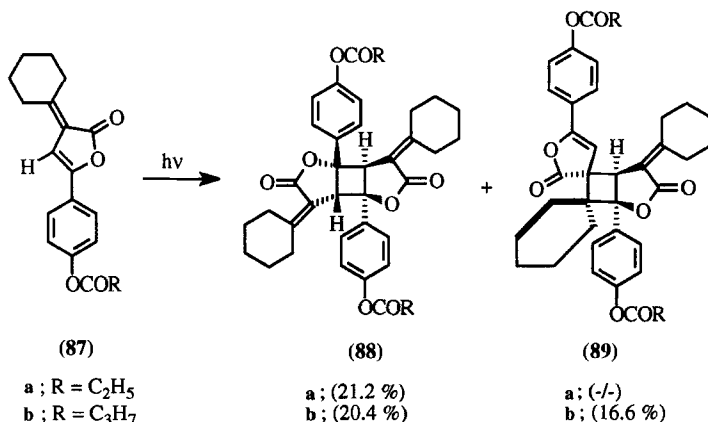
SCHEME 26

respectively. In the case of **81d,e**, an additional [2 + 2] photodimer **86** is obtained (Scheme 26). Direct observation of the 2(3*H*)-furanone triplets by flash photolysis enabled the authors to conclude that the migration of aryl groups occurs on a microsecond time scale except for the *p*-cyanophenyl group, which migrates ≈ 10 times faster (87JOC2831).

Photoirradiation of the furanone ester **87a** with ultraviolet light ($\lambda = 360\text{nm}$) leads to the formation of the symmetrical [2 + 2] photoadduct **88a**. On the other hand, butyrate **87b** under the same conditions yields, besides **88b**, another asymmetric adduct, **89b** (Scheme 27) (91AG1379). This difference in behavior between **87a** and **87b** was explained on the basis of a study of their X-ray crystal structure by applying the "reaction cavity principle".

X. Conclusion

2(3*H*)-Furanones represent an important class of furan derivatives. Their importance is due to facile ring opening by a variety of nucleophiles to give acyclic derivatives which may undergo ring closure, producing other syn-



SCHEME 27

thetically and biologically important heterocyclic systems. Photochemical transformations of 2(3H)-furanones, especially those involving triplet states, are important in natural product synthesis.

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The Literature of Heterocyclic Chemistry, Part VI

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I. Introduction

This survey is a sequel to five already published in *Advances in Heterocyclic Chemistry* [66AHC(7)225; 79AHC[25]303; 88AHC[44]269; 92AHC(55)31; 98AHC(71)291]. It includes monographs and reviews published during the period 1994–1996, as well as some published earlier but omitted in Part V.

Like the previous one, this survey is based mainly on short bibliographic papers published by the authors in *Khimiya Geterotsiklicheskikh Soedinenii* since 1994 (95KGS276; 95KGS426; 95KGS1421, 95KGS1429; 96KGS277; 96KGS567; 96KGS1138; 96KGS1291; 97KGS278; 97KGS1285; 97KGS1425). Sources not only in English, but also in Russian, German, Japanese, Chinese, French, Czech, Polish, and other languages, are surveyed and classified. This feature of the survey should cause no problem, because some of the sources are available in English translations and practically all others have informative English abstracts as well as quite understandable and useful schemes and lists of references. As before, carbohydrates are not covered. Such compounds are mentioned only in general cases (e.g., anomeric effect), as well as when carbohydrates serve as starting compounds for the synthesis of other heterocycles or they are present as fragments of a complex system that includes another heterocyclic moiety such as nucleosides.

II. General Sources and Topics

A. GENERAL BOOKS AND REVIEWS

1. *Textbooks and Handbooks*

96CHEC-2, 96MI1.

2. *Annual Reports*

a. *Comprehensive Reports*

94PHC1; 95PHC1; 96PHC1.

b. *Specialized Reports*

Three-membered heterocycles: 94PHC71; 95PHC43; 96PHC44.

Four-membered heterocycles: 94PHC74; 95PHC64; 96PHC66.

Pyrrole and its benzo derivatives: 94PHC110; 95PHC106; 96PHC103.

Furan and its benzo derivatives: 94PHC129; 95PHC130; 96PHC121.

Thiophenes, selenophenes, and tellurophenes: 94PHC88; 95PHC82, 96PHC82.

Five-membered heterocycles with more than one N atom: 94PHC147; 95PHC148; 96PHC146.

Five-membered heterocycles with N and S (Se) atoms: 94PHC163; 96PHC163.

Five-membered heterocycles with O and S (Se, Te) atoms: 94PHC177; 95PHC165; 96PHC178.

Five-membered heterocycles with O and N atoms: 94PHC190; 95PHC179; 96PHC192.

Pyridine and its benzo derivatives: 94PHC206; 95PHC195; 96PHC209.

Diazines and their benzo derivatives: 94PHC231; 95PHC226; 96PHC231.

Triazines, tetrazines, and fused polyaza systems: 94PHC249; 95PHC244; 96PHC255.

Six-membered heterocycles with O and/or S atoms: 94PHC271; 95PHC268; 96PHC277.

Seven-membered heterocycles: 94PHC317; 95PHC294; 96PHC298.

Heterocycles with eight-membered and larger rings: 94PHC321; 95PHC315; 96PHC320.

Synthesis of heterocycles: 95MI8.

Synthesis of saturated heterocycles: 94GSM(16)402.

Total synthesis of natural substances: 94GSM(16)450.

Bi-heterocycles: 94JOM(477)31; 95JOM(496)1.

Cr-, Mo-, W-heterocycles: 94JOM(477)45.

Fe-heterocycles: 94JOM(477)119.

Ru- and Os-heterocycles: 94JOM(477)173, 94JOM(477)219.

Sb-heterocycles: 94JOM(477)1; 95JOM(486)1, 95JOM(496)137.

Transition metals in synthesis and transformations of heterocycles: 94JOM(477)269, 94JOM(477)363.

3. *Other Reviews*

Nomenclature of heterocycles: 94RHA1

4. *History of Heterocyclic Chemistry, Biographies*

Contribution of Prof. S. Gronowitz to thiophene chemistry: 94KGS1445.

Contribution of Prof. R. Huisgen to organic chemistry, particularly to 1,3-dipolar cycloaddition: 95H(40)1.

Contribution of Prof. H. C. van der Plas to pyrimidine chemistry: 94KGS1649.

Investigations of Prof. T. Fujii in the field of natural N-heterocycles: 96YZ335, 96YZ355.

Investigations of Prof. A. R. Katritzky and his group (1943–1993): 94H(37)3, 94JHC569.

Investigations of Prof. K. Lempert devoted to heterocycles: 94MI51.

5. Bibliography of Monographs and Reviews

a. *Comprehensive Data*

96CHEC-2

b. *Specialized Surveys*

95KGS276; 95KGS426; 95KGS1421; 96KGS277; 96KGS567; 96KGS1138; 96KGS1290; 97KGS278.

B. GENERAL TOPICS BY REACTION TYPE

1. *Structure and Stereochemistry*

a. *Theoretical Aspects*

Cascade dendrite macromolecules with heterocyclic fragments: 96JHC1445.

Chiral Lewis acids possessing heterocyclic residues in asymmetric Diels–Alder reactions: 94OPP129.

Formation and transformation of heterocycles in reactions stimulated by microwave irradiation: 95T10403.

Formation and transformations of heterocycles by O–H insertion reactions of carbenes and carbenoids: 95T10811.

Generation of radicals by photoinduced electron transfer and fragmentation of formed radicals in synthesis and functionalization of heterocycles: 94T575.

Heterocycles as artificial enzymes: 95ACR146.

Heterocycles in supramolecular chemistry: 95MI5.

Homoenolates (compounds possessing organometallic residue in β -position to carbonyl group) in synthesis and transformations of heterocycles: 93OPP41.

Macromolecule–metal complexes possessing heterocyclic fragments: 95MI10.

Metal–metal interactions in binuclear complexes with heterocyclic ligands: 95CSR121.

Photochemistry of radicals, derivatives of heterocycles: 94MI1.

Reverse anomeric effect: 95T11901.

Ring-chain tautomerism of nitrogen derivatives of β -dicarbonyl compounds: 95ZOB705.

Structure and NMR parameters of meoionic compounds: 95KGS1180.

Sulfonyl radicals in synthesis and transformations of heterocycles: 94OPP257.

Tautomerism and isomerism of heterocycles: 95H(41)1805, 95H(41)2057.

Thermodynamics of poly lactones: 96UK1149.

Topological charge stabilization in heterocyclic compounds: 95KGS1011.
Use of ultrasound in chemistry of heterocyclic compounds: 94KGS723.

b. Molecular Dimensions

Multinuclear metallocomplexes with heterocyclic ligands: 96G199.

c. Molecular Spectra

Chemical shifts of C₍₁₎ atoms of prenyl or geranyl group in heterocyclic prenyl- and geranylphenols: 96H(42)911.

d. Stereochemical Aspects

Anomeric effect in six-membered saturated heterocycles: 94TS159.

Chiral 3-amino-2-hydroxybornanes bearing heterocyclic fragments in asymmetric synthesis: 94RHA173.

Enantioselective catalysis of Diels–Alder reactions with participation and formation of heterocycles: 94MI39.

Enantioselective C–C and C–H bond formation in reactions of heterocycles catalyzed by ethylenebis(tetrahydroindene), ansazirkonocene and ansatitanocene complexes: 96AG(E)1262.

Formation and transformations of various heterocycles; stereocontrol with use of diphenylphosphoryl group: 96AG(E)241.

Stereochemical nonrigidity of tetrahedral complexes of nontransition metals with heterocyclic ligands: 96MI37.

Stereochemistry of metabolic reactions of amino acids with participation of pyridoxal phosphate: 94TS381.

Stereochemistry of metabolic reactions of proline, histidine, and tryptophan: 94TS381.

e. Betaines and Other Unusual Structures

Heterocyclic betaines with π -deficient and π -excessive fragments: 96F381.

Nonclassical heterocycles (those with three-center and four-electron bonds, betaines, etc.): 94CSR111.

Phosphorus ylides containing a heterocyclic fragment: 96T1855.

f. Miscellaneous Substituted Heterocycles

β -Amino acids including heterocyclic fragments: 94T9517.

Azacarbanions, derivatives of heterocycles bound in complex with Lewis acid: 96PAC509.

Calix[4]arenes functionalized by heterocyclic fragments: 92OPP437.

Calixarenes with heterocyclic fragments: 95AG(E)715.

Chemistry of five-membered 2,3-dioxoheterocycles, furan, pyrrole, and pyrazole derivatives: 94MI2.

Chemistry of heterocyclic hydrazonoyl halides: 95AHC(63)277.

Chemistry of sulfenamides derivatives of heterocycles: 96ZOR1287.

Chiral heterocycles with 1,1'-binaphthyl-2,2'-ene fragments: 96PAC945.

Disulfides, derivatives of heterocycles: 94UK776.

Geminal azides of heterocycles: 96PHC1.

Heterocycles with N-atom protected by tri(isopropyl)silyl group: 95CRV1009.

Heterocyclic ketene animals: 94H(37)1233.

Heterocyclic sulfenamide derivative: 96UK452.

Hetaryladamantanes: 96UK603.

Hetarylferrocenes: 96UK43.

Industrial asymmetric synthesis with participation and formation of heterocycles: 94T3639.

N-Methoxy-*N*-methyamides in synthesis and transformations of heterocycles: 93OPP15.

Phosphines and phosphine oxides with hetaryl substituents: 96MI48.

Rhenium compounds in synthesis and transformations of heterocycles: 96Y GK644.

Sulfinylimides, derivatives of heterocycles: 95ZOK161.

Synthesis and properties of sulfides, derivatives of heterocycles: 94UK338.

Synthesis and transformations of heterocycles with participation of α -diazocarbonyl compounds: 94CRV1091.

2. Reactivity

a. General Topics

Addition reactions of fullerene C₆₀ derivatives having heterocyclic fragments: 95S895.

Asymmetric polymerization of heterocycles: 94CRV349.

Charge-transfer complexes in chemistry of aromatic *N*-oxides: 95H(40)1035.

Cobalt carbonyls in transformations of heterocycles: 94MI311.

Electrochemical reactions with participation of heterocycles: 94MI16.

Enzymatic reactions and syntheses of heterocycles in biotechnology: 94MI14.

Heck reaction with participation of heterocycles: 94AG(E)2379.

Heterocycles as ligands in macromolecular metal chelates: 95UK913.

Lipase-catalyzed enantioselective transformations of heterocycles: 94Y GK638.

¹⁷O chemical shifts of trifluoroacetyl substituents and solvolytic reactivity of heteroaromatic analogs of 1-*tert*-butylbenzyl halides: 96PAC901.

Organic chemistry of trithiazyl trichloride: 96JHC1419.

Oxydation of derivatives of heterocycles by sodium perborate and per-carborate: 95T6145.

Pd- and (or) Cu-mediated cross-coupling reactions between alkynyl-substituted heterocycles and unsaturated halides or halo-substituted heterocycles and 1-alkynes: 95OPP129.

Photochemical transformations of dihetaryl ethers: 93OPP1.

Photochemical transformations of heterocycles under the action of solar radiation: 94AG(E)2009.

Photocycloaddition of heterocyclic compounds: 94MI34.

α -Pinene-based borane reagents with heterocyclic fragments in asymmetric synthesis: 95JOM(500)1.

Reactions of heterocycles mediated by Pd(II) compounds and Pd(0) complexes: 95MI13.

Reactions of heterocycles with organotin, organozinc, and organoindium compounds: 96T5643.

Reactions of trichloroethylene with heterocycles: 94UK673.

Reactions with formation and transformation of heterocycles with electron shifts other than cyclic or linear electron transfer: 94AG(E)255.

Solid-phase reactions with participation of heterocycles: 94MI3, 94T6441, 94YGK923; 96T4527.

Transformations of heterocycles on silicon dioxide surface: 95UK1073.

Transition-metal-catalyzed ring expansion of heterocycles with insertion of carbonyl group: 95ACR414.

Water-promoted reactions of heterocycles: 94S741.

b. Reactions with Electrophiles and Oxidants

Hetaryl-containing amide anions in S_NAr reactions: 95IZV2315.

Fluorination of heterocycles: 93YGK232; 94RHA123.

Fries rearrangement of heterocyclic esters: 92OPP369.

Palladium-catalyzed reactions of organic halides with organometallic derivatives of heterocycles and terminal acetylenes: 96IZV2148.

Reactions of sulfenyl chlorides with heterocycles: 95UK781.

Reactions of xenon difluoride with heterocycles: 95T6605.

Selective anodic fluorination of heterocycles: 94RHA155.

Sulfonation of heterocycles with SO_3 : 95ZOK1283.

Transformation and synthesis of heterocycles by oxidation with hypochlorites: 92OPP623.

c. Reactions with Nucleophiles and Reducing Agents

Asymmetric conjugated addition of organocopper reagents with participation of heterocycles: 96YGK474.

Reactions of halogenated heterocycles with organometallic compounds: 96IZV2148.

Reactions of heterocycles in aqueous medium with Sn, Zn, and Bi compounds: 94MI55.

Reactions of heterocycles with sulfenamides: 96UK452.

Reduction of heterocycles by lithium dialkylamide: 94JOM(470)1.

Reductive dechlorination, olefination, carbonylation, and nucleophilic substitution of chlorinated hetarenes: 94CRV1047.

Silylallylic anions in transformations of heterocycles: 95CRV1279.

Transformations of heterocycles in reactions with SmI_2 : 96CRV307.

d. Reactions toward Free Radicals, Carbenes, etc

Free-radical substitution of heterocycles: 96T13265.

Transformation of heterocycles in tandem radical reactions of CO, isonitriles, and related reagents: 96CRV177.

Transformations of heterocycles with participation of α -diazocarbonyl compounds: 94AG(E)1797.

e. Reactions with Cyclic Transition State

Carbonyl compounds of heterocycles in Wittig reaction: 94TS1.

Cycloaddition reactions with vinyl-substituted heterocycles: 95AHC(63)339.

Reactions of P-ylides with heterocycles: 96T1855.

f. Reactivity of Substituents

Heterocyclic derivatives of amino alcohols as chiral auxiliary compounds in asymmetric synthesis: 96CRV835.

Oxidation of heterocyclic derivatives using tetrapropylammonium per-ruthenate: 94S630.

g. Heterocycles as Intermediates in Organic Synthesis

Asymmetric hydrosilylation of ketones using optically active Rh-complexes of bis(oxazolynyl)pyridine (Pybox): 95YGK500.

Cyclic α -monohalo ethers in organic synthesis: 95S1.

Heterocycles as starting compounds, reagents, and intermediates for synthesis of non- α -amino acids: 95MI12.

Heterocycles as templates inducing α -helical, β -folded, and loop-shaped conformations: 95CRV2169.

Heterocycles in asymmetric syntheses of 1-aminocyclopropan-1-carboxylic acids (2,3-methanoaminoacids): 94MI53, 94SL575.

Heterocycles in photochemical syntheses of macrocycles: 96S1261.

Heterocycles in stereoselective synthesis of α -amino acids: 94T1539.

Heterocycles in stereoselective synthesis of β -amino acids: 94T9517.

Heterocycles in synthesis of α -ketoesters: 96MI45.

Heterocycles in synthesis of *C*-aryl glycosides: 94S1.

Heterocycles in synthesis of compounds of other series: 94PHC36.

Heterocyclic anionic σ -complexes in organic synthesis: 95ZOK3.

Heterocyclic reagents in peptide synthesis using solid-phase condensation: 94S337.

Highly efficient versatile oxidation with heteroaromatic *N*-oxides, catalyzed by ruthenium porphyrin: 95YGK633.

Levoglucosenone in organic synthesis: 94UK922.

N-Fluoropyridinium salts and related compounds as electrophilic fluorinating agents: 93YGK232; 96CRV1737.

N, *O*-, *O*-, and *N*-heterocycles as precursors of heterocumulenes: 95PAC749.

S-, *Se*-, and *Te*-(trifluoromethyl)dibenzothiophenium-, selenophenium, and -telluorophenium salts as trifluoroethylating reagents: 96CRV1757.

Use of heterocycles for generation of α -oxoketenes: 94S1219.

Use of heterocycles in synthesis of deuterated amino acids: 95MI6.

3. *Synthesis*

a. *General Topics*

Acyl derivatives of *Li*, *Si*, *Ge*, *Sn*, *Se*, *Te* in synthesis of heterocycles: 95OPP383.

Advances in asymmetric synthesis of heterocycles: 95CSR177.

Advances in heterocyclization using organoselenium reagents: 96YGK166.

Advances in methods for the synthesis of fluoro-containing heterocyclic compounds: 94ZOR1704.

Advances in synthesis of heterocycles using low-valence titanium: 96AG(E)2442.

Advances in the use of tandem reactions in syntheses of heterocycles: 95T13103.

Amino acids and their derivatives in asymmetric synthesis of heterocycles: 96S793.

Asymmetric synthesis of heterocycles using chiral 3-amino-2-hydroxyboranes: 94RHA173.

Aza-Wittig reaction in synthesis of heterocycles: 95MI34.

Catalytic asymmetric dihydroxylation in synthesis of five- and six-membered heterocycles: 94CRV2483.

Chiral allenes as building blocks in synthesis and transformations of furans, indoles, thiophenes, sulfolenes, cyclophanes, oxazolidinones, macrocyclics: 93YGK608.

Cobalt carbonyls in synthesis of heterocycles: 94MI31.

Combinatorial ("library") synthesis of heterocycles: 96ACR114; 96ACR123; 96ACR132; 96AG(E)17; 96AG(E)2288; 96CCC171.

Complementarity of lipases and substrates by lipase-catalyzed resolution of optical antipodes: 95YGK668.

Derivatives of sulfinimidic acids in synthesis of heterocycles: 96ZOR1447.

Diels–Alder cycloaddition reactions in synthesis of heterocycles: 95PHC21.

Enzymatic synthesis including heterocyclizations: 94CSR409.

Formation of heterocycles in ligand-exchange reactions of sulfoxides: 96YGK481.

Formation of heterocycles via organotin, organozinc, and organoindium compounds: 96T5643.

Free-radical substitution in formation of heterocycles: 96T13265.

Heterocyclization by redox-induced radical and radical-ion reactions: 95T7579.

Homolytic addition of polyhaloalkanes to unsaturated organotin compounds in synthesis of 1,3-dioxacycloalkanes, thiacyclanes, *N*-heterocycles: 96ZOR807.

[Hydroxyl(tosyloxy)iodo]benzene in synthesis of heterocycles: 94H(38)409.

Hypervalence iodine reagents in synthesis of heterocyclic compounds: 94SL221.

Iminophosphoranes as useful building blocks for synthesis of heterocycles: 94S1197.

Metathesis with formation of heterocycles and related processes in organic synthesis: 95ACR446.

Nafion-H-catalyzed synthesis of benzannulated heterocycles: 95YGK487.

Phosphaalkynes as building blocks in heterocyclic chemistry: 94JHC663.

Preparation of heterocycles mediated by Pd(II) compounds and Pd(0) complexes: 95MI13.

Radical methods in synthesis of heterocycles: 96PHC14.

Regiocontrolled heterodiene synthesis of fluorinated heterocycles: 96YGK654.

S-Cationoid reagents in synthesis of heterocycles: 95UK150.

Silylallylic anions in synthesis of heterocycles: 95RV1279.

Solid-phase reactions with formation of heterocycles: 96T4527.

Stereo- and regiocontrol in 1,3-dipolar cycloaddition reactions: 95YGK104.

Stereoselective synthesis of α -amino acids with heterocyclic fragments: 94T1539.

Stereoselective transformations and synthesis of heterocycles including natural compounds with participation of diorganozinc reagents: 95SL393.

Sulfenyl chlorides in synthesis of heterocycles: 95UK781.

Sulfides in synthesis of heterocycles: 94UK154.

Sulfinylimides in synthesis of heterocycles: 95ZOK161.

Supramolecular synthons in creation of crystals of heterocycles: 95AG(E)2311.

Synthesis of carbocyclic and heterocyclic compounds using allylzinc-allylpalladium in tandem: 95SL1.

Synthesis of fused heterocycles with bridgehead nitrogen atom by tandem cycloaddition: 94PAC2041.

Synthesis of *gem*-difluoromethylene derivatives of heterocycles: 96T8619.

Synthesis of heterocycles on silicon dioxide surface: 95UK1073.

Synthesis of heterocycles using "domino" principle: 96CRV115.

Synthesis of heterocycles from aminoalkyl vinyl ethers: 95UK562.

Synthesis of heterocycles with participation of α -diazocarbonyl compounds: 94AG(E)1797.

Synthesis of γ -lactones, γ -lactams, alkaloids using transition-metal-catalyzed radical reactions: 95YGK298.

Synthesis of non- α -amino acids with amino group being a fragment of a heterocycle: 95MI12.

Synthesis of *N*-phosphorylated heterocycles using P^{III} acid amides: 94UK602.

Transition states of pericyclic 1,3-dipolar cycloaddition reactions: 95ACR81.

Trifluoroacetylimidoyl halides in synthesis of fluorinated heterocycles: 95YGK43.

Trimethylsilyldiazomethane as new reagent for generation of alkyliden-carbenes and its use in synthesis of heterocycles: 96YGK918.

b. *Ring Synthesis from Nonheterocyclic Compounds*

Aliphatic azides in synthesis of heterocycles: 96JHC1489.

Asymmetric heterodiene synthesis: 94OPP129.

Azadienes and oxadienes in asymmetric Diels-Alder reaction: 94S535.

Aza-Wittig reaction in synthesis of heterocycles: 92OPP209.

Cyanoamides in heterodiene synthesis: 94KGS1155.

Fluorinated isocyanides in synthesis of heterocycles: 94AG(E)1315.

Fluorinated organometallic vinyl, allyl, benzyl, propargyl, and aryl compounds in synthesis of heterocycles: 94T2993.

Formation of heterocycles by intermolecular nucleophilic substitution of vinyl halides: 94YGK121.

Formation of heterocycles by reactions of nitriles with electrophilic reagents: 95UK1091.

Formation of heterocycles by Smiles rearrangement in *o*-aminodiphenyl ethers series: 95UK142.

Formation of heterocycles in oxidative free-radical cyclizations using manganese(III) compounds: 96CRV339.

Formation of heterocycles in reactions of SO_3 with nitriles, iodoso compounds, isocyanates: 95ZOK1283.

Formation of lactones and lactams through transition-metal-catalyzed carbon dioxide fixation by unsaturated hydrocarbons: 95G101.

Formation of N- and O-heterocycles in Pd-catalyzed cyclization reactions: 95T975.

Formation of O- and N-heterocycles by remote intramolecular free-radical cyclizations: 95T7095.

Generation and reactivity of organoselenium intermediates in heterocyclizations: 96G635.

Heterocyclizations using Heck reaction: 94AG(E)2379.

Highly stereoselective heterocyclization with participation of complexes of amines with $\text{CO}_2(\text{CO})_6$: 94YGK608.

Isocyanides in synthesis of heterocycles: 93OPP141.

Mitsunobu reaction (esterification of carboxylic acids in diethyl azodicarboxylate- Ph_3P redox-system) in synthesis of lactones, cyclic imides, lactams, nucleosides, and other heterocycles: 96OPP127.

α -Oxoketenes as intermediates in synthesis of heterocycles: 94S1219.

Pericyclic iminoene reactions of allenylsilanes in synthesis of heterocycles: 96JHC1429.

Reactions of $(\text{Cl}_3\text{CO})_2\text{CO}$ with nucleophiles in synthesis of heterocycles: 96S553.

Reactions of trichloroethylene leading to heterocycles: 94UK673.

Stereochemistry of Pd-catalyzed cyclizations with the formation of heterocycles: 96T9289.

Stereoselectivity on cycloadditions leading to functionalized heterocycles: 94JHC687.

Steric promotion of heterocyclization: 95S1205.

Structure and reactivity in cycloaddition reactions to form heterocycles: 95KGS1307.

Synthesis of azasugars and multistep cascade rearrangements in Diels-Alder cycloaddition of nitrosodienophiles: 96SL189.

Synthesis of heterocycles by transition-metal-catalyzed carbocyclization: 96CRV635.

Synthesis of heterocycles from aliphatic nitro compounds: 94KGS1299.

Synthesis of heterocycles in cascade processes with participation of metallocarbenoids: 96CRV223.

Synthesis of heterocycles in tandem radical reactions of CO, isonitriles, and related reagents: 96CRV177.

Synthesis of heterocycles on Pd-catalyzed cyclizations involving anion death: 94JHC631.

Synthesis of heterocycles using enantiodifferentiating transformation of prochiral polyols with menthone as chiral template: 94SL95.

Synthesis of heterocycles using intramolecular Wittig, Horner, and Wadsworth–Emmons reactions: 95H(41)2357.

Synthesis of heterocycles using reactions of carbonyl compounds or imines with activated olefins in the presence of tertiary amines: 96T8001.

Synthesis of heterocycles using new Pd-catalyzed reactions of unsaturated triflates with alkenes and amines: 96PAC45.

Synthesis of heterocycles with participation of nitrile imines: 94AG(E)527.

Synthesis of five-membered heterocycles by 1,3-dipolar cycloaddition to allenes: 96G479.

Synthesis of polycyclic heterocycles by photocyclization of aryl- and hetaryl-2-propenoic acids: 96JHC523.

Synthesis of tricyclic heterocycles by cycloaddition of *ortho*-quinodimethanes, obtained from benzocyclobutenes: 96OPP545.

Thioimidium salts in synthesis of heterocycles: 96KGS3.

Unsaturated 1,5-diketones and their halo derivatives in synthesis of heterocycles: 96KGS1299.

Use of 1,5-electrolytic reaction in synthesis of heterocycles: 96M16.

c. *Synthesis by Transformation of Heterocycles*

Alkylheteroaromatic compounds as building blocks for synthesis of fused polyfunctionally substituted heterocycles: 94SL27.

3,7-Diheterabicyclo[3.3.1]nonan-9-ones as potential synthons for new heterocycles: 95MI24.

“Halogen dance” in synthesis of substituted heterocycles: 94PHC1.

New methods for synthesis of polyfunctionalized heterocycles: 95YGK846.

Oxidation of C-silylated heterocycles to appropriate hydroxy derivatives: 96T7599.

Recyclization of isatin and its derivatives in synthesis of heterocyclic compounds: 96KGS291.

Ring transformations in ring heteroanalogs of 1,3-dicarbonyl compounds as versatile approach to alkylheterocycles functionalized in side chain: 95S879.

Synthesis of C-hetarylglycosides: 94S1.

Synthesis of new heterocyclic compounds using active urazoles: 96YGK212.

Tandem reactions of Diels–Alder cycloaddition with participation of heterocyclic dienes and dienophiles: 96CRV167.

4. *Properties and Applications (Except Drugs and Pesticides)*

a. *Dyes and Intermediates*

Cyanine dyes containing N-substituted azaaromatic groups: 95KGS882.

Cyclic carboximides as structure elements of high stability for perylene dyes: 95H(40)477.

Heterocyclic solvatochromic dyes as indicators of solvent polarity: 94CRV2319.

b. Substances with Luminescent and Related Properties

Design, synthesis, and properties of optically nonlinear molecular ensembles with heterocyclic fragments: 95AG(E)155.

Heterocycles as ligands in luminescence and redox-active polynuclear complexes of transition metals: 96CRV759.

Metallocenes with heterocyclic substituents or bridges as materials for NLO (nonlinear optics): 95AG(E)21.

Water-soluble fluorescent anthracene derivatives possessing aza-crown and B,O-heterocycle residues as chemosensors: 94ACR302.

c. Organic Conductors (Except Polymers)

Design and synthesis of new electron donors other than tetrathiafulvalene analogs and formation of their conducting molecular complexes: 96Y GK752.

d. Coordination Compounds

Chelate-forming compounds in technologies of soil purification from radionuclides and heavy-metal cations: 96MI44.

Complexes of bi-, tri-, and tetracyclic heterocycles with hydrophosphoranes: 96UK242.

Complexes of metal chalcogenates with heterocyclic ligands: 96MI43.

Complexes of synthetic hemes with dioxygen: 94CRV659.

Cu complexes with heterocyclic ligands: 94CRV737.

Direct synthesis of coordination compounds from zero-valent metals and heterocyclic ligands: 95UK215.

Heterocycles as ligands in catalytic systems used in asymmetric epoxidation and hydroxylation: 95AG(E)1059.

Isotope separation with macrocyclic polyethers: 96KK243.

Ni complexes with heterocyclic ligands: 94CRV2421.

Reactions between dioxygen and Fe(II) complexes with heterocyclic ligands other than heme: 94CRV759.

e. Polymers

Crown-based polymers: 94MI13.

Effect of chemical structure on relaxation properties of thermostable aromatic polymers containing heterocyclic fragments: 96UK733.

Electrochemistry of poly(thiophene) and poly(pyrrole): 94MI45.

Heteroaromatic conducting polymers: 96UK565.

Polycondensation reactions catalyzed by Ni and Pd complexes as methods for synthesis of poly(thiophenes) and poly(pyrroles): 96UK852.

Polyheteroarylenes, π -conjugated polymers obtained by polycondensation of organometallic compounds: 95Y GK999.

Polyimides possessing heterocyclic fragments: 96UK648.

Polymers containing carborane, 1,3,4-oxadiazole and *sym*-triazine fragments: 95UK390.

Polymers containing heterocyclic fragments and displaying photorefractive effects: 96ACR13.

Poly(thiophenes) and poly(pyrroles) as conductive materials: 94H-(37)2069.

Poly(thiophenes) and poly(pyrroles) with substituents possessing radical centers: 94CSR147.

Poly(thiophenes) as conjugated macromolecules with known structural parameters: 96CRV537.

Synthesis of polymers possessing heterocyclic fragments, among them poly(benzoxazoles): 94MI44.

Synthesis, physicochemical properties, and application of polymers of ethynylpiperdone derivatives: 96MI46.

Synthesis, properties, and peculiarity of polyheteroarylenes: 96UK266.

Synthesis, spectroscopy of, and catalysis by polymers with nitroxyl-radical residues as substituents: 94CSR147.

Template synthesis of nanostructures of electron-conducting polymers, such as poly(pyrrole), poly(thiophene): 95ACR61.

Tetrathiafulvalenes and oligothiophenes in preparation of conducting polymers: 96ACR417.

f. *Miscellaneous*

Applications of heterocyclic sulfenamide derivatives: 96UK452.

Heterocycles as components of supramolecular sensors and switches: 95CSR197.

Heterocycles as fragrances: 94MI4, 94MI12.

Heterocycles as thermotropic liquid crystals stabilized by intermolecular hydrogen bonds: 95AG(E)1646.

C. SPECIALIZED HETEROCYCLES

1. *Nitrogen Heterocycles (Except Alkaloids)*

a. *General Sources and Topics*

Catalytic synthesis and transformations of *N*-heterocycles: 94KGS1482.

Chemistry of 7-azabicyclo[2.2.1]hepta-2,5-dienes, -hept-2-enes, and -heptanes: 96CRV1179.

Cyclic nitroxyl radicals in study of mechanisms of multiple chain breaking in oxidation reactions: 96UK547.

Design and use of heterocyclic *N*-oxides possessing effective and polyfunctional photooxidative ability: 94YGK149.

Donor–acceptor complexes of heteroaromatic *N*-oxides: 95KGS760.

N-heterocycles, forming three intermolecular hydrogen bonds: 95CSR329.

Microbiological transformations of *N*-heterocycles: 94KGS1510.

Photochemistry of cyclic thioamides and thioimides: 94YGK658.

Ring enlargement of *N*-heterocycles: 94YZ880.

Self-organizing structures, particularly pyrrole, pyridine, and pyrrolidine derivatives: 94PAC1961.

b. *Structure and Stereochemistry*

Aminoazoles and aminoazines in coordination chemistry: 94KK83.

Coplanarity provided by hydrogen bonds in some *N*- and *N,S*-oligoheterocycles: 96BSB659.

Crystallochemistry of coordination compounds of stable five- and six-membered cyclic nitroxyl radicals: 94ZSK103.

Cyclic stable nitroxide radicals: 95H(41)2827.

Molecular mobility and chiral arrangement in solid state of *N*-heterocycles: 96PAC285.

N-heterocycles as ligands in organometallic chemistry and homogeneous catalysis: 94AG(E)497.

Solid-state structures of hydrogen-bonded tapes on the base of cyclic secondary diamides: 94CRV2328.

Supramolecular self-organization of *N*-heterocycles: 96YGK953.

c. *Reactivity*

Allylboranes in reductive mono- and *trans*-diallylation of *N*-hetarenes: 95IZV1203.

Chiral five-membered *N,N'*-dimethyl-1,2-diphenylethylenediamine-based cyclic animals as auxiliaries in asymmetric synthesis: 96PAC531.

Reductive mono- and *trans*- α,α' -diallylation of aromatic *N*-heterocycles with allylboranes: 94PAC235.

Use of heterocyclic nitroxyl radicals, in particular 2,2,6,6-tetramethylpiperidine-1-oxyl radical (TEMPO) for oxidation of primary and secondary alcohols: 96S1153.

Zip-expansion of *N*-heterocycles: 93YGK54.

d. *Synthesis*

Advances in synthesis of *N*-heterocycles using aza-Wittig reaction: 93YGK203.

Enantioselective synthesis of N-heterocycles through enzymatic asymmetrization: 96T3769.

Esters of amino acids as versatile auxiliary groups for asymmetric synthesis of N-heterocycles: 95SL133.

Formation of fused N,O-heterocycles by tandem [4+2]/[3+2] cycloaddition reactions: 96CRV137.

Hetero Diels–Alder reactions in synthesis of nitrogen-containing natural compounds with participation of hydroxamic acids: 95SL873.

Hydroxamic acids and N-alkoxyimidoyl halides in synthesis of N-heterocycles: 95YZ909.

Iminoene reactions in synthesis of N-heterocycles: 95S347.

“Library” synthesis of N-heterocycles: 96CRV555.

Photochemistry of 2-alkylamino-1,4-naphthoquinones; formation of fused N-heterocycles: 94UK145.

Synthesis and metal-catalyzed oxidation of cyclic saturated amines: 95AG(E)2443.

Synthesis of N-heterocycles from amino amidoximes: 94H(37)2051.

Synthesis of N-heterocycles from α -haloketones: 94KGS867.

Synthesis of N,S-heterocycles using SNS⁺ cations: 94ACR101.

Synthesis of five- and six-membered N-heterocycles from carboxylic acids: 95UK339.

Use of azadienes in Diels–Alder reaction: 96PAC859.

Zip-expansion of carbocycles with formation of N-heterocycles: 93YGK54.

2. *Oxygen Heterocycles*

a. *Chemistry of Individual Classes of O-Heterocycles*

Cyclic organic carbonates: 96CRV957.

Cyclic SO₃ trimer: 95ZOK1283.

Synthesis and properties of cyclic sulfinates, sultines: 96UK156.

Synthesis, reactions, and properties of cyclic thiocarbonates: 96MI35.

b. *Reactivity*

π -Allyl tricarbonyliron complexes of lactones in synthesis of lactones and lactams: 96CRV423.

O-heterocycles in synthesis of dodecahedrane: 94AG(E)2239.

Photocycloaddition of benzaldehyde to unsaturated O-heterocycles: 94ACR70.

Reactions of cyclic acetals and their heteroanalogs: 94MI42.

Reactions of five- and six-membered cyclic acetals with organomagnesium reagents, catalyzed by Ni compounds: 96SL201.

Ring opening of cyclic ethers: 96OPP371.

Selective oxidation of five- and six-member cyclic ethers into lactones: 95MI22.

Stepwise electrophilic addition at C = C bonds of unsaturated O-heterocycles: 94CRV2359.

Synthesis of α,ω -alkanediols from O-heterocycles, including lactones, oxiranes, ozonides, tetrahydrofuran, and tetrahydropyran derivatives: 94OPP645.

Transformations of substituted cyclic acetals under conditions of phase-transfer catalysis: 94MI17.

Use of lactone α -allyl complexes of $\text{Fe}(\text{CO})_3$ in organic synthesis: 94PAC1415.

c. *Synthesis*

Biochemical methods of synthesis of bioactive natural substances including lactones and other O-heterocycles: 95SL1097.

Enantioselective synthesis of O-heterocycles through enzymatic asymmetrization: 96T3769.

Formation of fused N,O-heterocycles by tandem [4+2]/[3+2] cycloaddition reactions: 96CRV137.

Formation of O-heterocycles by electrophilic addition to cyclohexene derivatives with participation of neighbor groups: 94CCC1.

Formation of O-heterocycles by intermolecular photocycloaddition of arenecarboxylates involving carbonyl group addition: 94YGK266.

Formation of ozonides from petrochemical olefins: 94MI41.

Halolactonization and halolactones: 94MI18.

Iodolactonization of γ,δ -unsaturated esters: 95YGK770.

New methodology for the synthesis of natural products containing fragments of cyclic peroxide: 95SL997.

Pd-catalyzed reactions of propargyl compounds in synthesis of α -alkenylidene-substituted β - and γ -lactones, β -lactams, and furan derivatives: 95AG(E)2589.

Photochemical heterocyclization of diaryl ethers with formation of benzannulated O-heterocycles: 93OPP1.

Synthesis of O-heterocycles by [1,2]-Wittig rearrangement: 96YGK1000.

Synthesis of five- and six-membered saturated O-heterocycles from unsaturated alcohols under conditions of Prins reaction: 94MI43.

3. *Sulfur Heterocycles*

a. *Chemistry of Individual Classes of S-Heterocycles*

Chemistry of cyclic disulfides: 94UK776.

Cyclic SO_3 trimer: 95ZOK1283.

Formation of cyclic thiocarbonyl compounds and their transformations by interaction with phosphites: 94MI62.

Radical cations, derivatives of S-heterocycles: 94MI22.

Structure, bond energy, and reactivity of cyclic sulfuranes: 95YGK687.

Synthesis and properties of cyclic sulfides: 94UK338.

Synthesis and properties of cyclic sulfinates, sultines: 96UK156.

Synthesis, reactions, and properties of cyclic thiocarbonates: 96MI35.

b. Structure and Stereochemistry

Coplanarity provided by hydrogen bonds in some N,S-oligoheterocycles: 96BSB659.

Molecular mobility and chiral arrangement in solid state of S-heterocycles: 96PAC285.

Stereochemical aspects of Te complexes with S-heterocyclic ligands: 94CRV301.

Stereochemistry of spiro sulfuranes and their oxides, static and dynamic aspects: 96PAC951.

c. Reactivity

Cyclic bisulfides, electron transfer with transannular formation of S-S bond: 94MI63.

Cyclic dithioacetals as iminal dicationic synthons: 96PAC105.

Pummerer rearrangement of cyclic sulfoxides induced by O-silylated ketene acetals: 94YGK746.

Reactions of five- and six-membered cyclic thioacetals with organomagnesium reagents, catalyzed by Ni compounds: 96SL201.

Transformations of cyclic sulfides: 94UK154.

d. Synthesis

Cp₃TiS₂ and other polysulfide complexes of titanocene in synthesis of cyclic organopolysulfanes: 94PS(93/94)61.

Homolytic cycloaddition in synthesis of S-heterocycles: 94PS(95/96)55.

Synthesis of N,S-heterocycles using SNS⁺ cations: 94ACR101.

D. NATURAL AND SYNTHETIC BIOLOGICALLY ACTIVE HETEROCYCLES

1. *General Sources and Topics*

Advances in synthesis of natural bioactive compounds: 96JHC1545.

1-Aminoalkyl and 1-amidoalkyl radicals in synthesis and transformations of natural heterocycles: 96S913.

Anaerobic synthesis of porphyrins: 96YGK176.

Application of plasma-desorption mass spectrometry for analysis of natural nucleotides, carbohydrates, lipids, and pigments: 96MI54.

Asymmetric Diels–Alder reactions using chiral α,β -unsaturated sulfoxides in synthesis of bioactive natural compounds: 94YZ201.

Biomimetic synthesis of new porphyrinoids for chemistry and medicine: 95AG(E)1795.

Biosynthesis of alkaloids, phytotoxins, sesquiterpenes: 94CSR409.

N-Carboxy- α -amino acid anhydrides in synthesis of polyamino acids and polypeptides: 96MI28.

N-Carboxy- α -amino acid anhydrides in synthesis of peptides: 96IZV2604.

Chemistry of natural and synthetic enediyne macroheterocyclic compounds and these containing heterocyclic substituents: 96T6453.

Chiral piperidine, pyrrolidine, and pyrrolidone derivatives for synthesis of alkaloids and unusual amino acids: 93YGK25.

Chlorosubstituted alkaloids, pyrroles, indoles, carbazoles, other *N*-heterocycles, *O*-heterocycles, and macrolides in living organisms: 96PAC-1699.

Electrospray mass spectrometry in investigations of protein–nucleotide supramolecular complexes with heterocyclic ligands: 96AG(E)806.

Enantiospecific synthesis of natural α -hydroxy- β -amino acids and indolizidines: 94PAC2075.

Heterocycles as templates in assembly of natural products: 96PAC799.

Heterocycles in replication and assembly: 94H(39)879.

Heterocyclic betaines with π -deficient and π -excessive fragments, biological aspects: 96F381.

Hetero-Diels–Alder reaction with nitrosodienophiles in synthesis of natural products: 94S1107.

Highly selective intermolecular heterocyclization and its use in synthesis of bioactive compounds: 93YZ737.

Disposal of polychlorinated dibenzodioxins and dibenzofurans: 95CSR423.

Introduction and removal of protecting groups with use of enzymes in β -lactam, nucleotide, and alkaloid chemistry: 94CRV911.

Isosaccharino- and glucosaccharinolactones as chirones for the synthesis of antibiotics, toxins, and nucleoside analogs: 94SL305.

β -Lactams in synthesis of peptides: 96IZV2604.

Model systems on the basis of lipid–porphyrin ensembles and lipoporphyrins in biochemical investigations: 96MI29.

Molecular ensembles including heterocycles as models of photosynthetic reactions in biological systems: 95MI3.

Natural cyclic β -triketones with *N*- and *O*-heterocyclic fragments, isolation, structure, and bioactivity: 96MI30.

NMR-based structure elucidation of natural products: 93YGK471.

Organosilicon compounds in syntheses of naturally occurring heterocycles: 95CRV1375.

Peptide-skeleton-based molecular receptors with heteroaromatic fragments: 95T9241.

Photoswitched natural heterocycles: 96AG(E)367.

Polyacetylenic compounds possessing thiophene and furan fragments from *Asteraceae* family and their taxonomic significance: 96MI31.

Progress in the chemistry of organic natural products: 94FOR(63); 95FOR(65), 95FOR(66); 96FOR(67).

Role of interaction between C-H bonds and π -systems in molecular recognition with participation of heteroaromatic fragments of natural compounds: 95T8665.

Silyl protection of hydroxy groups in synthesis and transformations of heterocycles, mainly natural products and their analogs: 96S1031.

Sources, synthesis, and properties of natural β -lactones: 95OPP305, 95S729.

Stereocontrol in synthesis of natural products: 93YGK1164.

Stereoselective approaches to bioactive carbohydrates and alkaloids: 95CRV1677.

Stereoselective side-chain dihydroxylation in synthesis of natural heterocycles: 95CRV1761.

Structural aspects of nucleic acid analogs and of antisense oligonucleotides: 96AG(E)1894.

Study of saccharides using cyclic esters of boronic acids as molecular receptors: 96AG(E)1910.

Sulfoxides in asymmetric synthesis of bioactive heterocycles: 95CRV1717.

Synthesis of bioactive compounds mediated by lipases: 95CRV2203.

Synthesis of "carbocyclic nucleosides", nucleoside analogs with carbocyclic residues instead of carbohydrate fragment: 94T10611.

Synthesis of natural heterocycles using tandem reactions: 96CRV195.

Synthesis and transformations of heterocycles, important for chemistry of natural products: 94PAC1934.

Synthesis of bioactive natural O- and S-heterocycles: 94YZ729.

Synthesis of natural products using heterocyclic protecting groups: 96AG(E)2056.

Synthesis of nucleoside derivatives in presence of metallocomplex catalysts: 96MI27.

Synthesis of heterocyclic bioregulators and intermediates: 96JHC1497.

Synthesis of macrocyclic peptides: 96IZV2604.

Synthesis of natural compounds with cyclohexane fragment from aldohexoses: 95YGK859.

Synthesis, properties, and possible applications of modified oligonucleotides: 94MI37.

Total synthesis of natural terpenes from alkaloids: 93YGK111.

Total synthesis of nucleosides and other natural compounds: 96AG(E)1380.

Use of [4 + 4]-cycloaddition strategy in synthesis of natural compounds: 96T6251.

Use of optically directed magnetic resonance (ODMR) spectrometry for investigation of plant photosystem II, which includes chlorophylls and carotinoids, among them those containing α -epoxide fragments: 95G1.

2. *Alkaloids*

a. *General*

Chemistry and pharmacology of alkaloids: 94MI15; 95MI7.

Comprehensive review on investigations of 1294 alkaloids from 634 plant species published in journals of the former USSR till 1994: 96KPS118, 96KPS244, 96KPS410, 96KPS615, 96KPS761, 96KPS957.

Phosphorylation of alkaloids and thiophene: 96UK1080.

b. *Structure*

Structure–activity relation in colchicine molecule on interaction with *P*-glycoproteins transporting drugs in mammalian organisms: 94H(39)385.

c. *Synthesis*

Addition of C-nucleophiles to *N*-alkylpyridinium salts as a base for general method for synthesis of bridged indole alkaloids: 95SL587.

Advances in synthesis of diterpene alkaloids in China (1986–1992): 94MI54.

Biomimetic chemical transformation of simple indole alkaloids into alkaloids of *Gelsemium*: 94PAC2139.

Chiral synthesis of alkaloids by the use of nonchelating conformational control: 95YGK700.

Cyclic nitrones in diastereo- and enantioselective synthesis of piperidine, pyrrolidine, pyrrolizidine, and indolizidine alkaloids: 94PAC2127.

Cyclization of carbamolymethyl radicals in synthesis of alkaloids, β , and λ -lactams: 95YGK85.

Design of alkaloid synthesis: 96CRV3.

Development of amide oxydation methodology and its use in total synthesis of *Securinega* alkaloids: 96JHC1437.

Enantioselective synthesis of alkaloids and carbohydrates by chemoenzymatic methods: 94PAC2067.

Formation of benzophenanthridine alkaloids: 94PAC2023.

Investigation of total synthesis of macrocyclic alkaloid manzamine A: 94PAC2131.

New synthetic approach to indolizidine dendroabotide alkaloids, (+)-allopumiliotoxins 267A and 339A: 94PAC2079.

Pictet–Spengler synthesis of indole alkaloids: 95CRV1797.

Progress in the synthesis of marine alkaloid saraine A: 95SL467.

Recent progress in the enantioselective synthesis of isoquinoline alkaloids: 94H(39)903.

Strategies of the synthesis of some complex anticancer alkaloids: 95F749.

Strategy of alkaloid synthesis: 94JHC679.

Synthesis of alkaloids and related systems using intermolecular Heck reaction: 94PAC1423.

Synthesis of atisine, the main alkaloid from *Acontium heterophyllum* wall: 94BS67.

Synthesis of carbazole alkaloids: 94SL681.

Synthesis of oxindole alkaloid gelsemin using *N*-acyliminium ion cyclization: 94PAC2163.

Synthesis of proaporphine alkaloids: 94H(39)891.

Synthesis of pyrrolizidine alkaloids: 96IZV2604.

Synthesis of selenopsines, piperidine, and Δ^1 -piperidine alkaloids from Richter ants: 96OPP499.

Tetrahydropyridine-based biomimetic synthesis of alkaloids: 96PAC2051.

Total synthesis of indolizidine alkaloids pumiliotoxin and A and allopumiliotoxin: 96CRV505.

Total synthesis of (\pm)-montanin, (\pm)-coccinin, (\pm)-pancracin, and (\pm)-brunsvigin *Amaryllidaceae* alkaloids with 5,11-methanomorphanthridine skeleton: 94YGK207.

d. Individual Groups of Alkaloids

Advances in chemistry of terpenoid and indole alkaloids: 94PAC1967.

Alkaloids, derivatives of indolo[1,2,3-*jit*]-1,5-naphthyridine from *Simaroubailous* plants: 95YZ261.

Bioactivity of quaternized benzo[*c*]phenanthridine alkaloids sanguinarin and heleritrin: 94CLY288.

Biochemical aspects of alkaloids from *Colchicum autumnale*: 95CLY100.

Biogenesis of diterpenoid alkaloids: 95KPS337.

Chemical study of indole alkaloids: 95YZ351.

Chemistry of heterocycles, particularly alkaloids, having spirodienone fragments: 94MI32.

Diterpene alkaloids from plants of Russia and its neighbors: 96MI26.

Isoquinoline and other heterocyclic alkaloids from plants of *Fumaria* family: 95CLY489.

Methods for analysis of antitumor indole alkaloids vinblastine and vincristine: 96KFZ(6)36.

Mono- and dimeric naphthyl isoquinoline alkaloids, natural heterocycles with axial chirality: 96BSB601.

Monoterpenoid indole alkaloids: 94HC(25,4S)1.

Phenanthridone *Amaryllidaceae* antitumor alkaloids: 96MI5.

Sphingosine-related marine alkaloids: 96H(42)943.

3. Antibiotics

a. General

Chiral synthesis of antibiotics by the use of nonchelating conformational control: 95YGK700.

Polyene macrolides, cyclodepsipeptides, peptidonucleosides, and halopyrroles as modern antifungal antibiotics: 93YGK324.

b. Antitumor Antibiotics

Bonding and stabilization mechanism of neocarcinostatine complex, antitumor antibiotics with fragments of oxirane, 1,3-dioxolan-2-one, and tetrahydropyran: 94YGK980.

Chemical investigations of mitomycinoids, polycyclic antibiotics containing *N*-heterocyclic fragments: 95SL475.

Design, synthesis, and evaluation as low molecular weight agents of duocarmycins, antitumor indole antibiotics: 94PAC837.

Interaction of bleomycin and its oligonucleotide derivatives with nucleic acids: 96UK377.

Mechanistic study of antitumor function of CC-1065 and duocarmycin antibiotics: 96AG(E)1438.

Synthetic and mechanistic investigations of anticancer antibiotics duocarmycins, derivatives of dihydro- and tetrahydropyrrolo[*e*]indole: 95ACR20.

Total synthesis of antitumor macrolide rizoxine: 95YGK122.

Total synthesis of (\pm)-leucomycin, macrocyclic lactam antitumor antibiotic with thiazole and 1,3-dioxo fragments: 94YGK888.

Total synthesis of quinocarcine, antitumor antibiotic with pentacyclic *N*-heterocyclic system: 94YGK556.

c. β -Lactam Antibiotics

Advances in carbopenem chemistry: 96YGK761.

Allenecarboxylates as intermediates for synthesis of β -lactam antibiotics: 96YGK941.

Antibacterial activity, pharmacokinetic properties, and clinical application of cephtizoxime, modified cephalosporin antibiotic: 94MI50.

Biosynthesis of penicillin: 94YGK746.

Carbapenem antibiotic meropenem: 96MI53.

Cephataxime (klaforan), cephalosporin antibiotic of II generation, in therapy of bacterial infections: 96MI51.

Cephixime, new semisynthetic cephalosporin antibiotic: 94MI47.

Cephpyramide, new semisynthetic cephalosporin antibiotic: 94MI48.

Cephpyrom, new cephalosporin antibiotic of IV generation: 96MI49.

Development of organometallic methodology of stereospecific introduction of cephalosporin lateral chains: 94SL565.

Sulperazone, a combined form of modified cephalosporin antibiotic cephopyrasone with sulbactam (sodium penicillate S,S-dioxide): 95MI35.

Synthesis and investigation of new oral cephems, cepixime and cephdinire: 93YZ605.

Synthesis of substituted β -lactams, key intermediates in synthesis of 1- β -methylcarbapenem antibiotics: 96T331.

Fifty years of elaboration and use of penicillin in former USSR and in Russia: 94MI46.

d. *Macrocyclic Antibiotics*

Advances in synthesis of avermectins and milbemycins, macrocyclic lactones with annulated five- and six-membered heterocyclic fragments: 94OPP617.

Asymmetric synthesis of macrocyclic lactone cladospolide A using chiral sulfoxides: 94PAC2159.

Deoxy-*N*-methyl-9-azahomoeritromycin (axitromicin, sumalid) in therapy of respiratory tract: 96MI50.

Macrolides: 95CRV2021, 95CRV2041, 95MI18.

Role of weak interactions in cooperative bonding of macrocyclic antibiotics: 94PAC1975.

Synthesis of macrolide antibiotics and other natural heterocycles: 94ACR9.

e. *Miscellaneous Antibiotics*

Asymmetric synthesis of tetrahydrofuran derivatives (nonactinic and *epi*-nonactinic acid) using chiral sulfoxides: 94PAC2159.

Bleomycins: structural model of specificity, binding, and expansion of DNA double chain: 96ACR322.

Chemical studies of mitomycinoids, polycyclic antibiotics: 95SL475.

Design and synthesis of teleocydines, nine-membered azalactam derivatives, promoting tumor formation: 94YZ464.

Development of technology of the synthesis of quinolone antibacterial agent enoxacin using Schiemann reaction: 95YGK822.

Enediyne antibiotics: 96JMC2103.

Fluoroquinolones, role and perspectives in antibacterial therapy: 94MI49; 95MI17, 95MI19; 96MI24.

Molecular design, synthesis, and evaluation of new DNA-splitting enediyne antibiotics and their synthetic analogs: 96YGK503.

Pharmacokinetic properties of ofloxacin, a fluoroquinolone antibiotic: 96MI25.

Pseudomonic acids (antibiotics with oxizane and pyzan fragments): 95CRV1843.

Resistance to glycopeptide antibiotics vancomycin, ristomycin, teicoplanin: 96MI52.

Saturated heterocyclic compounds as derivatives or precursors of chloromycetin and of some related structures: 95H(41)2327.

Scope and limitations of Diels–Alder reactions with azadienes: total synthesis of natural and *ent*-fredericamycin A: 96JHC1519.

Structure–antibacterial activity relations in fluoroquinoline series: 95KFZ(9)5.

Synthesis and chemistry of ionophore antibiotic tetranazyme: 96JHC1533.

Synthesis and bioactivity of calicheamycin, taxol, balanol, saragasic acid, brevetoxin: 96PAC2129.

Synthesis and biological properties of 6-fluoroquinolonecarboxylic acids: 96BSB683.

Synthesis of nucleoside antibiotics: 95CRV1859.

Synthesis of phenazine antibiotics and anteridic acid with gibberelin skeleton: 94PAC2083.

Total synthesis of brevetoxin B: 96AG(E)589.

4. *Vitamins*

Approaches to total gene engineering synthesis of vitamin B₁₂: 94SL871.

Biochemistry and biosynthesis of vitamin B₁₂: 95AG(E)383; 96PAC2057.

Biosynthesis of thiamine: 96NJC607.

Organometallic chemistry in industrial synthesis of vitamin E: 94PAC1509.

Use of heterocycles in synthesis of vitamin D: 94S1383.

5. *Drugs*

a. *General*

C–H bonds of sugar residues in DNA as targets of chemical nucleases and drugs: 95AG(E)746.

Chemical functions of new heterocyclic *o*-quinone cofactors and their application: 93YGK1154.

Chemical modification of nucleic acids, especially by permanganate and bisulfite, and mutagenic effect of modified bases: 93YZ19.

Development of highly stereoselective “domino” reactions and their application to medicinal chemistry: 96YZ671

Development of photochemistry of heterocyclic compounds in pharmaceutical science: 94YZ711.

Heterocycles from American plants in pharmaceutical science: 93F1175.

Molecular recognition in protein–ligand complexes for design of new heterocyclic drugs: 96AG(E)2588.

Prodrugs from analogs of components of nucleic acids: 94CCC2127.

Reactivity and mechanism of biological action of triazenes substituted with imidazole residues: 94KFZ(10)4.

Strategy and tactics of combined organic syntheses of heterocyclic drugs: 96ACR144.

b. *Definite Types of Activity*

Acetogenines from *Annonaceous* (five-membered saturated O-heterocycles) as prospective anticancer compounds: 95MI4.

Advances in investigations of quinolone antibacterial agents: 94YGK92.

Antiallergic agents from synthetic chromone derivatives: 94KFZ(12)17.

Anticancer heterocyclic components of marine animals and land plants: 94PAC2271.

Benzimidazole derivatives as inhibitors of H^+/K^+ -ATPase: 93YGK86.

Carboxylic acids, derivatives of five- and six-membered saturated N-heterocycles and thiophene as anticonvulsive drugs: 94MI29.

Chemistry and synthetic study of lactacystine (substituted butyrolactam), first nonprotein neurotropic factor: 96YGK740.

N-(2-Chloro-4-pyridyl)-N'-phenylurea (forchlorophenulol) as modified cytokinin: 94YZ577.

Chromatographic determination of anesthetic narcotic medicines, including N-heterocycles: 96ZAK201.

Design and synthesis of prospective peptide drugs, HIV protease inhibitors, possessing pyrrolidine, imidazole, and thiazolidine substituents: 94YGK403.

Design of heterocycles as antitumor prodrugs: 94CRV1553.

Design of prodrugs related to enediyne antibiotics with antitumor activity, cyclo-3-decen-1,5-diyne derivatives, containing heterocyclic fragments: 95SL13.

Development of 1-(5-isoquinolinesulfonyl)hexahydro-1,4-diazepine (Fasudyl hydrochloride, Eril), new protein kinase inhibitor: 96YGK794.

Discovery of neurokinin antagonists: 96PAC875.

Five-membered heterocycles as muscarine agonists and receptors: 95F565.

Heterocycles as drugs for therapy of Alzheimer's disease: 95F489.

Heterocycles as inhibitors of reverse transcriptase and their possible role in AIDS therapy: 95F735.

Heterocycles as inhibitors of phosphodiesterases: 95F819.

Heterocycles as selective ligands of muscarine cholinoreceptors: 95KFZ(5)3.

Heterocycles, disulfide reductase inhibitors, as drugs against trypanosomiasis and malaria: 95AG(E)141.

Heterocycles, muscarine antagonists: 95KFZ(6)3.

Heterocycles, reducing formation of amyloid β -peptide: 95JMC4141.

Metallochelates of porphyrin derivatives as sensitizers in photooxidation processes of S-compounds and photodynamic therapy of cancer: 94IZV2071.

Heterocyclic neurotoxins as instruments of neurochemistry: 95AG(E)39.

Imidazole and benzimidazole derivatives as antagonists of angiotensin II and antihypotensive agents: 95YGK802.

Imidazole derivatives as antagonists of angiotensin receptor: 96JMC625.

(*E*)-4-(1-Imidazolylmethyl) cinnamic acid (ozagrel), the most selective from heterocyclic TXA-synthase inhibitors: 94YZ911.

Inosine-5'-monophosphate dehydrogenase (IMPDH) as an object of action of antitumor and antiviral chemotherapy: 96F457.

Investigation and elaboration of benzisoxazolyl-3-methanesulfonamide (zonisamide), antiepileptic drug of new type: 96YZ533.

New antitumor agents, inhibitors of folate-dependent enzymes: 95KGS1332.

N-Heterocycles as active compounds affecting cell differentiation and promoting tumor formation: 94YZ357.

N-Heterocycles as inducers of phenobarbital type of monooxygenase system of liver: 95KFZ(3)3.

N- and O-heterocycles as antidepressants: 95JMC4615.

Nonnucleoside anti-HIV-1 inhibitors of reverse transcriptase: 96F305.

Organic chemistry of pyrrolo[1,2-*a*]benzimidazole antitumor agents: 96SL297.

Peptidomimetics with *N*-heterocyclic fragments as enzyme inhibitors: 94AG(E) 1699.

Photosensitizers of porphyrin and phthalocyanine series for photodynamic therapy: 95CSR19.

Pluramycins, 4-anthra[1,2-*b*]pyrane-4,7,13-trione derivatives, as DNA-affecting drugs: 96ACR249.

Pyrimidine derivatives as agents against herpes virus: 93F871.

Quinazoline inhibitors of thymidylate synthase as potential anticarcinogenic agents: 94JHC603.

Quantitative structure-activity relationships of anticancer drugs: 94CRV1507.

Search of immunoregulators, drugs suppressing metastase formation, etc., on the base of sialic acid: 94YZ277.

Structure and activity of dibenzo[*b,f*]thiepine derivatives: 96JHC497.

Synthesis and structure of Te chelates as radiopharmaceutical preparations: 94AG(E)2258.

Synthesis of benzopyran, pyridine, pyrimidine, thiophene, and benzo-2,4-diazepine derivatives, modulating K channels: 96S307.

Synthesis of fluorinated analogs of natural porphyrins potentially useful for cancer diagnostics and therapy: 96H(42)885.

Synthesis of hydroxylated 2-piperidone, Δ^1 -piperidine, 2-thiopyrrolidone, and 2-hydrazino- Δ^1 -pyrroline derivatives as carbohydrate-affecting enzyme inhibitors: 96ACR340.

Synthesis of 3-hydroxy-3-methylglutarylcoenzyme A reductase inhibitors: 95YGK186.

Synthesis of macrocyclic lactam/lactone derivatives with antimicrobial activity: 94PAC2063.

Synthesis of radiopharmaceutical N-heterocycles through organotin intermediates: 96S423.

Synthetic investigations of highly modified nucleosides for creation of anti-HIV analogs: 93YZ285.

c. Individual Substances and Groups of Compounds

Catalytic asymmetric synthesis of chiral 1,4-dihydropyridines and barbiturates as drugs: 95YZ681.

Chemistry of melatonin (5-methoxy-N-acetyltryptamine) and related compounds: 95OPP1.

Pyridine derivatives as drugs: 95KGS723.

Synthesis and biotransformation of 3-hydrazinopyridazine drugs: 94F683.

Synthesis of bioactive natural lactones (cardiotonic steroids, naphthoquinone, and ionophore antibiotics): 96YZ1.

1,2,3-Triazines in medicinal chemistry: 94YZ934.

6. Pesticides

trans-5-(4-Chlorophenyl)-N-cyclohexyl-4-methyl-2-oxothiazolidine-3-carboxamide (Hexathiazox) as new acaricide: 94YGK103.

Ethyl 5-(4,6-dimethoxypyrimidin-2-yl-carbamoylsulfamoyl)-1-methylpyrazole-4-carboxylate as new herbicide for rice: 94YGK19.

Heterocycles as insecticides against lady-bird beetles: 96CRV1105.

Immunochemical methods of analysis of 1,3,5-triazine herbicides: 94UK638.

Polycyclic N-, O-, and N,O-heterocycles with insecticidal activity from fungi *Sclerotia*: 95ACR343.

Rational design of 3-hydroxy-3-(1,2,4-triazol-3-yl)cyclohexylphosphonic acid, new herbicide, inhibiting histidine biosynthesis: 96YGK514.

7. Miscellaneous

a. General

Bioactivity of Cu chelates and copper complexes with heterocyclic ligands: 96AG(E)43.

Chance and necessity on selection of nucleic acid as a catalyst: 96ACR103.

Crown ethers, azacrowns, cyclic peptides as models of transmembrane channels: 96ACR425.

b. Enzymes, Coenzymes, and Their Models

Advances in flavine-enzyme modeling: 94MI38.

Azacrown ethers as metalloenzyme models: 96YZ587.

Bimetallic ionic catalysis in enzymatic reactions of acyl and phosphoryl transfer: 96AG(E)2024.

Heterocycles as enzyme models: 96CRV721.

Heterocycles as enzyme models and mimics: 96AG(E)707.

Heterophanes as receptor and enzyme models: 95MI21.

Mechanism of oxidation reactions catalyzed by horseradish peroxidase (the latter includes protoheme as prosthetic group): 96IZV25.

Metalloporphyrins as models of cytochrome P-450: 94PAC737.

Pd-catalyzed route to benzofuran analogs of indolactam displaying selectivity effects of protein-kinase C isotype: 94PAC2087.

Peptidylprolyl *cis,trans*-isomerases and compounds affecting their activity: 94AG(E)1415.

Role of monoamine oxidases as oxidants of heterocyclic xenobiotics in CNS: 94H(39)933.

Stereochemical, mechanistic, and structural features of enzymatic hydrolysis of sugar phosphates, nucleosides and some other hydroxylated natural heterocycles: 95CSR55.

Structure of enzymes and cofactors with heterocyclic fragments: 96MI34.

Study of thymidylate synthase and dihydrofolate reductase, enzymes taking part in thymidine synthesis: 94CSR119.

Transformations of heterocycles with participation of bridged cyclodextrin-based enzyme models: 95MI39.

Transformylase enzymes in purine biosynthesis: 96PAC2029.

Use of plant enzymes in synthesis of natural compounds such as antibiotics, toxins: 96PAC2073.

c. Amino Acids and Peptides

Advances in chemistry of kainoid amino acids, derivatives and analogs of (–)- α -kainic acid (4-isopropylidene-3-carboxymethylenepyrrolidine-2-carboxylic acid): 96T4149.

Chemistry of macrocyclic peptides from some sponges and their symbionts: 94PAC1983.

Conformation of cyclic peptides. Basic conceptions and design of selectivity and superactivity in bioactive sequences by "space screening": 96PAC1201.

Syntheses of chiral dipeptides with 2-oxo-1,4-piperaziny-1,3-ene fragment and their use as components of pseudopeptides: 96YGK94.

Synthesis of cyclic peptides: 95CRV2115, 95CRV2135; 96PAC2153.

Synthesis, structure, and mechanistic study of immunophilines, macrocyclic peptides: 94SL381.

Total synthesis of antitumor marine peptide, dolastatin 10, containing unusual amino acids with pyrrolidine and thiazole fragments: 94YGK392.

Tryptophan metabolism: 95CSR401.

d. *Plant Metabolites*

Advances in chemistry of natural terpenoid lactones: 94PAC1967.

Approaches to total synthesis of heterocyclic sesquiterpenoids of guaiane series: 95UK198.

Bioactive flavonoids and cyclic peptides in skin of citrus fruits: 94YGK318.

Bridgehead unsaturated isoterpenoid lactones, synthesis of: 95CSR9.

Chemistry of benzoxazine derivatives, produced by plants as phytoalexins: 95YZ189.

Chemistry of plant products in Uzbekistan: 95KPS4.

Extractive compounds from wood greens (tryptophan, flavonoids, benzofuran derivatives): 95MI38.

Heterocycles in building of taxane skeleton and synthesis of taxanes with O-heterocyclic fragments: 91OPP465.

Immune analysis of low-molecular natural bioactive O- and N-heterocycles in plants: 94UK93.

Mycotoxins with heterocyclic fragments: 95YGK566.

NMR spectroscopy of steroid sapogenins: 95MRC923.

Sesquiterpenoid lactones from plants as precursors of natural azulenes: 95MI37.

Stereochemistry, total synthesis, and biological activity of alternaric acid (a phytotoxin, α -pyrone derivative): 95YGK975.

Stereoselective cyanohydrin-mediated syntheses of lignanes possessing five-membered O-heterocyclic fragments: 95YGK593.

Steroid biosynthesis with participation of squalene dioxide containing two oxirane residues: 94ACR83.

Steroid toxins from digitalis (compounds with residues of 2-furanone and other heterocycles in position 17): 95AG(E)282.

Study of furosclerodanes from *Teucrium* species: 94H(37)603.

Syntheses of bajunoside and osladin (terpenoid aglycones of these glycosides possess furan and tetrahydropyrane fragments, respectively): 95SL785.

Syntheses of tropanoid diterpene lactones by molecular cyclopropanation of aryl rings in diazomethylketones: 96PAC515.

Synthesis and revision of structure of sweet saponin osladin: 94MI28.

Synthesis of acetogenins *Annonaceae*: 95ACR359, 95S1447.

Synthesis of furanosesquiterpenes: 94OPP1.

Synthetic investigations of terpene γ -lactones, clerodane diterpenoids: 93YGK1164.

Total synthesis of antimalarial natural cyclic sesquiterpenoid peroxides: 94ACR211.

Total synthesis of phytosiderophores, tricarboxylic acids possessing azetidine fragment: 95T3939.

Total synthesis of taxol, a terpenoid from *Taxus brevifolia*, possessing antitumor activity: 95AG(E)2079.

e. *Heterocycles Produced by Marine Organisms*

Attempts of structure investigation of cyguatera, a mollusk toxin with fused polyether fragments: 94T3.

Bioactive derivatives of perhydro[2,1-*b*]-1,3-oxazine, indole, 1,2-dioxane, and macrolides from marine sponges: 94PAC819.

Bioactive heterocyclic compounds of marine actinomicetes: 95MI36.

Design in the synthesis of *trans*-fused polyether toxins: 95CRV1953.

Model investigations of the synthesis of diazonamide A, a macrocyclic marine lactone possessing cytotoxic activity with oxazole, indole, benzofuran, and benzene fragments: 94PAC2107.

O-heterocycles from *Gorgonacea*, phylum Chidaria species (corals from West India): 95T4571.

Structurally similar natural O-heterocycles from phylogenetically distant marine organisms and comparison with overland species: 95CSR65.

Structure of maitotoxin, the most toxic and largest (in molecular size) nonpolymeric natural compound, molecules of which possess 32 six- to eight-membered nonfused O-heterocyclic fragments: 95YGK207.

Synthesis of bioactive N-heterocycles from marine organisms: 94JHC625.

Synthesis of saroin A, marine alkaloid with tricyclic nitrogen-containing system annelated to 13- and 14-membered alicycles: 95SL467.

Synthetic approaches to anatoxin-a (2-acetyl-9-azabicyclo[4.2.1]nonene-2 from *Anabaena flos. aquae* microalgae): 96T6025.

Total synthesis of hemibrevetoxin B, fused system of 11 saturated six- to eight-membered O-heterocyclic fragments: 95YGK284.

f. *Other Topics*

Action of UV light on DNA pyrimidine bases and origin of skin cancer: 94ACR76.

Aminoalkylheterocycles in chemistry of histamine analogs: 94SL471.

Biosynthesis of poverdines, quino[1,2-*a*]pyrimidine derivatives: 94PAC-2207.

Calix[4]crowns, metallocomplexes of heterocycles, and crown ethers as artificial receptors: 94PAC679.

Cyclic esters and amidoesters of P^{III} and P^V acids in synthesis of glycerophosphatides and related phospholipids: 94UK73.

Chemical identification of active centers of antagonists of calcium channels: 96H(42)901.

Chemistry and biology of natural inhibitors of squalene synthase, derivatives of 2,8-dioxabicyclo[3.2.1]octane-3,4,5-tricarboxylic acid: 96AG(E)1622.

Diastereo- and enantioselective syntheses of heterocyclic pheromones and defense compounds of insects: 95G207.

1,7-Dioxaspiro[5.5]undecanes, 1,6-dioxaspiro[4.5]decanes, and 1,7-dioxaspiro[5.6]dodecanes, components from fruit flies: 95CRV789.

Effect of covalent DNA adducts on transcription *in vitro*: 96CRV619.

O-Heterocycles as fragments of prostanoids: 94AG(E)1911.

O-Heterocycles in prostaglandin synthesis: 94KFZ(6)36.

Model chemical investigations of DNA-damaging activity of benzofuran dioxetanes and epoxides: 95ACR289.

Molecular design and strategy of synthesis of polyfunctional artificial polypyridine receptors of ribofuranosides: 96YGK311.

Natural aliphatic unsaturated acids with O-heterocyclic fragments: 96UK474.

Natural and synthetic 12-membered trilactones as carriers of iron ions: 94PAC773.

Natural cyclic peroxides, chemistry and bioactivity: 96UK836.

Metallochelates of chalcogen-containing azomethines as models of active centers of nonporphyrinic metalloproteins: 96MI32.

Phytochemistry of bioactive macrocyclic ethers with benzene rings from *Hepaticae*: 94PAC2193.

Replication of molecules with participation of purine derivatives: 94ACR198.

Role of coelentetrazine, 8-benzyl-2-(*p*-hydroxybenzyl)-6-(*p*-hydroxyphenyl)imidazo[1,2-*a*]pyrazine-3(7*H*)-one in mechanism of bioluminescence of *Aequorea victoria* jellyfish: 96YGK598.

Syntheses of phospholipids from cyclic derivatives of glycerophosphoric and -thiophosphoric acids, cyclophosphorylated α,α,α -tri(hydroxymethyl)-alkanes and pentaerythrite: 95ZOK1761.

Synthesis and study of CD spectra of some fused cholestenopyrimidines: 94PAC2057.

Selected aspects of chemistry and biochemistry of sulfur-containing nucleosides: 94PS(95/96)71.

Synthesis of chiral insect pheromones, 5-, 6-, and 12-membered lactones, and bicyclic spiro O-heterocycles: 94MI26.

Synthesis of cycloglycanes, in particular cyclodextrins: 94CSR397.

Synthesis of macrolide pheromones: 95KPS526.

Synthesis of tetrahydrofuran lignanes: 94MI27.

Synthetic and stereochemical aspects of chemistry of pheromones with oxirane or tetrahydrofuran fragments: 94PAC1991.

Toxicity of chlorinated dibenzodioxins and dibenzofurans: 94AG(E)1920.

Use of carbohydrate building blocks in synthesis of herbicides, nucleosides from *Streptomyces sagananeusis* with unusual tricyclic nucleoside skeleton: 96PAC589.

III. Three-Membered Rings

A. GENERAL TOPICS

Three-membered S-heterocycles: 94H(37)1359.

B. ONE HETEROATOM

1. *One Nitrogen Atom*

Azirine, reactivity: 94YGK121.

Labile aziridines from 1,2,3-triazolines: 96ZOR1627.

Synthesis of chiral aziridines and their application in stereoselective transformations: 94AG(E)599.

2. *One Oxygen Atom*

a. *Reactivity of Oxiranes*

Asymmetric transformations of *meso*-epoxides with chiral lithium amides: 96YGK188.

Biosynthetic, biomimetic and relative cyclizations of epoxides: 92OPP245.

Diastereoselective addition of nucleophiles to carbonyl compounds and amines, controlled by α,β -epoxy group, in organic synthesis: 93YGK14.

New use of silyloxiranes in tandem transformations by silyl-group migration: 94SL985.

Regio- and chemoselective synthesis of halohydrines on ring opening of oxiranes with metal halides: 94S225.

Selective oxidation of oxiranes: 96BSB581.

b. *Synthesis of Oxiranes*

Asymmetric epoxidation using chiral complexes on the base of ethylenediamine bis(salicylaldimine): 93YGG412.

Chemical and biological synthesis of chiral epoxides: 94T8885.

Direct metal-catalyzed hydroxyepoxidation of olefins: 94ACR57.

Enantioselective desymmetrization of achiral epoxides by deprotonation or addition of nucleophiles to oxiranes: 96T14361.

Enantioselective epoxidation: 94MI23.

Microbiological selective epoxidation of lower olefins: 96UK676.

New effective aerobic epoxidation of olefins, catalyzed by complexes of transition metals: 93YGG995.

S_N2' -type ring opening in epoxy-1,4-dihydronaphthalenes, 7-oxabicyclo-[2,2,1]heptanes, oxatricyclic and oxatetracyclic systems: 96S669.

Synthesis of epoxides of five- and six-membered heterocycles: 94CRV2483.

Synthesis of epoxides using reaction of carbonyl compounds with diazoalkanes: 95KGS291.

3. *One Sulfur Atom*

Episulfonium ions: 94CRV2359.

Polymerization and copolymerization of thiiranes: 96MI47.

Reactions of thiirane 1,1-dioxide with nucleophiles in protic media: 96PAC825.

Synthesis of thiiranes and 2-oxazolidinediones through thiylation of vinyl ethers: 94MI21.

Vinyl ethers containing thiirane fragments as substituents: 94MI20.

C. TWO HETEROATOMS

1. *One Nitrogen and One Oxygen Atom*

Synthesis and reactivity of polyfluorinated oxaziridines: 96CRV1809.

2. *Two Oxygen Atoms*

Oxidation with dioxiranes: 95KGS1345, 95PAC811.

3. *Two Sulfur Atoms*

Advances in chemistry in dithiiranes and compounds with small cycles, containing two chalcogene atoms: 96PAC869.

Dithiirane chemistry: 94MI57.

IV. Four-Membered Rings

A. GENERAL TOPICS

Four-membered S-heterocycles: 94H(37)1359.

B. ONE HETEROATOM

1. *One Nitrogen Atom*

Asymmetric synthesis of β -lactams: 95YZ700.

β -Lactams as synthons: 95ACR383.

Organosilicon and organotin compounds in synthesis and transformations of β -lactams: 94H(38)2309.

Reactivity of β -lactams: 95PAC711.

Stereochemical aspects in construction of β -lactam rings using metal enolates: 95YGK518.

2. *One Sulfur Atom*

Chemistry of thietane ligands in polynuclear complexes of metal carbonyls: 95CRV2577.

C. TWO HETEROATOMS

1. *Two Oxygen Atoms*

Synthesis and application of dioxetanes based on cage and polycyclic sterically hindered hydrocarbon systems: 95UK3.

V. Five-Membered Rings

A. GENERAL TOPICS

Azole complexes with SO_3 : 95ZOK1283.

Azoles in synthesis of phospholipides: 94MI36.

Fluorinated five-membered heterocycles: 94AHC(60)1

Methods for syntheses of nitroazoles: 94ZOR1081.

Ozonolysis of azoles and its application in organic synthesis: 96YGK132.
Phase-transfer catalysis in chemistry of five-membered *N*-heterocycles: 95IZV2115.

Siloxydienes on the basis of furan, pyrrole, and thiophene in syntheses of functionalized homochiral compounds: 95S607.

Structure and aromaticity of azoles: 95ZOK1422.

Synthesis of pyrroles, 2-amino-2,5-dihydrofurans, 2(5*H*)-furanones, and *N*-vinyl-4,5,6,7-tetrahydroindole on the base of acetylene: 95ZOK1368.

Synthesis, reactions, and tautomerism of dihydroazolopyrimidines with bridgehead nitrogen atom: 95KGS147.

Tautomerism of five-membered heterocyclic thiols: 96UK326.

Trifluoromethyl and perfluoroalkyl derivatives of azoles: 95OPP33.

B. ONE HETEROATOM

1. *General*

σ^+ constants of 2- and 3-furyl and -thienyl groups: 96PAC901.

Perfluoroalkylaryl- and dihydro(perfluoroalkyl)phenyliodonium triflates for perfluoroalkylation of furan, thiophene, and pyrrole: 96CRV1757.

Positional selectivity of electrophilic substitution reactions and acid-induced transformations of pyrrole, furan, and thiophene derivatives: 94H(37)2029.

Tautomerism of azomethines, derivatives of indole, benzofuran, benzo-thiophene: 96MI41.

2. *One Nitrogen Atom*

a. *Monocyclic Pyrroles*

Advances in the synthesis of pyrroles from ketoximes: 94H(37)1193.

Aquathermolysis reactions of pyrrole derivatives: 96ACR399.

Ferricyanide oxidation of 1-substituted 2,4,6-triaryl-, 2,3,4,6-tetraaryl, and 2,3,4,5,6-pentaarylpyridinium salts as general approach to synthesis of substituted pyrroles: 94H(37)1347.

Polyfunctional pyrroles from conjugated azaalkenes: 95PHC1.

Sulfur-containing pyrroles: 94PS(95/96)145.

Synthesis of pyrroles from ketoximes and acetylene: 96ZOR1127.

1-Vinylpyrrolium ions: 95ZOK801.

b. *Hydropyrroles*

Asymmetric synthesis of chiral fluorinated pyrrolidine derivatives: 94YGK40.

Asymmetric synthesis using pyrrolidinylmethanol derivatives as chiral catalysts and ligands: 95YGK138.

Asymmetric synthesis with participation of (*S*)-2-methoxymethylpyrrolidine: 96S1403.

Hydrazones obtained from chiral *N*-aminopyrrolidine and 2,2-dimethyl-1,3-dioxan-5-one derivatives in enantioselective syntheses of *N*-heterocycles: 96PAC569.

trans-4-Hydroxy-*L*-proline as versatile chiral starting block: 96T13803.

Natural tetramic acids (4-hydroxy-3-pyrrolin-2-one derivatives): 95CRV1981.

Substituted 2,3-dihydropyrrole-2,3-diones in synthesis of other heterocycles: 96MI10.

Synthetic and analytical chemistry of substituted pyrrolidones of pyracetam (2-oxo-1-pyrrolidineacetamide) type: 95OPP273.

Zirconocene-butene complex-mediated ring contraction of vinyl-substituted morpholines to give pyrrolidine derivatives: 95SL299.

c. Pyrrole Pigments

Bilirubin stereochemistry: 94SL777.

d. Porphyrins and Related Systems

Advances in synthesis of porphyrins: 93YGK826.

Biomimetic oxidation catalyzed by metalloporphyrins and metalloporphyrinoids carrying a cocatalyzing function: 94PAC1519.

Cofacial metalloporphyrins as catalysts for multielectronic redox reactions of small molecules: 94AG(E)1537.

Discovery and synthesis of little-known natural hydroporphyrins: 94CRV327.

Formylporphyrins and their derivatives: 94KGS1669.

Functionalized porphyrins as receptor models: 96YGK906.

HPLC of porphyrins and their metal complexes: 95ZAK902.

Immobilization of metalloporphyrins in electropolymerized films, design and application: 95ACR30.

Iron porphyrin complexes as O₂ and H₂O₂ activators on oxidation of organic substrates: 95UK1183.

Metal complexes with porphyrin and corrin ligands: 95MI11.

Metalloporphyrins as polymerization initiators: 96ACR39.

Molecular recognition in modified porphyrins: 94SL319.

New extended porphyrins of texaphyrin type with *o*-phenylenediamine fragment instead of pyrrole fragment: 96PAC1291.

New porphyrinoid macrocycles and their metal complexes: 96JHC1461.

meso-Octaethylporphyrinogen-based transition metal complexes as bi-functional carriers of polar organometallic compounds: 96PAC1.

Photoinduced charge and energy transfer between covalent-bound porphyrin and quinone units: 95AG(E)849.

Photoreactions of porphyrins: 94ACR394.

Porphyrins as macromolecular functional dyes, their application in optical disks, photochemical combustion in channels and nonlinear optics: 96PAC1429.

Porphyrins as conjugated macromolecules with known structural parameters: 96CRV537.

Strategies of synthesis of multifunctional porphyrins as receptors: 96PAC1411.

Synthesis and photochemical properties of porphyrin quinones: 96IZV9.

Synthesis and properties of Schiff bases of *meso*-formylporphyrins: 96KGS1472.

Synthesis, properties, and applications of porphyrazines: 95IZV2320.

e. Indoles and Hydroindoles

Advances in Fischer indole reaction: 93OPP607.

Advances in indoxyl chemistry: 95KFZ(12)3.

Chemistry of 4-, 5-, and 6-azaindoles: 94KFZ(7)30.

Chemistry of pyrroloindoles: 94UK866.

Complex formation of indole, methylinindoles, and carbazole with organic solvents: 96KGS15.

Electrophilic hydroxylation of indoles and indolines: 94BSF658.

Indoloquinazolidines, general review: 96H(42)453.

Pd-mediated regioselective formation of C-C bond on indoles: 94YGK819.

Reactions of 2-indolylborate anions and synthesis of annulated indoles and alkaloids: 95YGK308.

Synthesis and reactions of indolin-2(3*H*)-ones: 93OPP481.

Synthesis of heterocyclic compounds by recyclization of isatin and its derivatives: 96KGS291.

f. Isoindoles (Including Phthalocyanins)

Catalytic properties of metal phthalocyanins in reactions with hydrogen participation: 96UK659.

Chemistry of asymmetric and polymeric phthalocyanins: 95MI31.

Chemistry of isoindoles: 94UK1064.

Phthalocyanins as macromolecular functional dyes, their application in optical disks, photochemical combustion in channels and nonlinear optics: 96PAC1429.

g. Polycyclic Systems Including Two Heterocycles

Advances in stereoselective synthesis of hydroxylated pyrrolizidines: 96OPP641.

Catalytic asymmetric synthesis of indolizidines by Heck reaction: 94YGK956.

Chemistry of tryptophane cyclic tautomer, 2,3,3a,9a-tetrahydropyrrolo[2,3-*b*]indole-2-carboxylic acid: 96YZ566.

NMR spectra of indolizines and of their σ -complexes: 95KGS1235.

Pictet–Spengler synthesis of 1,2,3,4-tetrahydro- β -carbolines: 95CRV1797.

Preparation, reactions, and physical properties of 3*H*-pyrrolizine-3-ones and 1,2-dihydro-3*H*-pyrrolizine-3-ones: 94H(37)1977.

Stereoselective synthesis of pyrrolo[2,1-*c*]-1,4-benzodiazepine derivatives using new intramolecular cyclization of Mannich reaction type: 95YZ446.

Synthesis of β -carbolines: 96OPP3.

Synthesis of DNA-affecting pyrrolo[2,1-*c*]-1,4-benzodiazepines: 94-CRV433.

3. *One Oxygen Atom*

a. *Furans*

Advances in synthesis of natural 2,3- and 3,4-annulated furans: 91OPP545.

Catalytic intermolecular hydrogen transfer in hydrogenation of furan aldehydes and ketones: 94KGS435.

Furan and its derivatives in synthesis of other heterocycles: 95KGS1034.

Furan derivatives of group I elements: 95KGS435.

Furan derivatives of group II elements: 95KGS474.

Furan derivatives of group III elements: 95KGS867.

Furan derivatives of group IV metals: 95KGS1587.

Furan derivatives of group V elements: 96KGS579.

Furan derivatives of group VI elements: 96KGS867.

“Halogen dance” reaction as selective approach to 3-substituted furan derivatives: 96BSB615.

Oxidative rearrangement of furylcarbinols into 6-hydroxy-2*H*-pyran-3(6*H*)ones, convenient synthons for synthesis of other heterocycles: 92OPP95.

Regiospecific synthesis of polysubstituted furans and their application in organic synthesis: 96PAC335.

Synthesis of 1,4-dicarbonyl compounds and cyclopentanones from furans: 94S867.

Synthesis of natural compounds using furan derivatives as synthons: 93YGK399.

Use of 1,5-cyclization of alkylpropargyl 1,4-biradicals for formation of furan derivatives: 96ACR179.

b. *Hydrofurans*

Formation of tetrahydrofurans from aldehydoaldoses: 93YGK884.

New synthesis of 7-hydroxy-2,2-dimethyl-2,3-dihydrobenzofuran as important intermediate for obtaining low-toxicity carbamate insecticides: 93YGK765.

Phthalide anions as annulating reagents, equivalents of *o*-xylylene fragment, in reactions with unsaturated substrates including dihydropyrane derivatives: 95T5207.

Stereoselective synthesis of oligotetrahydrofurans: 95S115.

Substituted 2,3-dihydrofuran-2,3-diones in synthesis of heterocyclic reagents: 96MI10.

Synthesis of substituted di- and tetrahydrofurans by Prins reaction: 96MI11.

Zirconocene-butene complex-mediated ring contraction of vinyl-substituted furanosides to give cyclobutane derivatives: 95SL299.

c. *Benzannulated Furans*

Oxyfunctionalization of benzofurans under the action of singlet oxygen, dioxiranes, or peroxyacids: 95ACR289.

Polychlorinated dibenzofurans in combustion processes: 95CLY343.

d. *Terpenoids Including Five-Membered Ring with One Oxygen Atom*

Reactions of 2,6-diaryl-3,7-dioxabicyclo[3.3.0]octane lignanes (furo[3,4-*c*]furan derivatives) proceeding via stabilized carbocations: 94H(37)137.

e. *Five-Membered Lactones*

Catalytic asymmetric synthesis of γ -butyrolactones by Heck reaction: 94YGG956.

Formation of α -alkylidene- γ -butyrolactones from acyclic esters: 94PAC1501.

Formation of five-membered lactones, in transition-metal-promoted free-radical reactions: 94CRV519.

4. *One Sulfur Atom*

a. *Thiophenes*

Catalytic synthesis of thiophene and alkylthiophenes from hydrocarbons and hydrogen sulfide: 94RHA23, 94UK456.

Complex formation of organic solvents with thiophene derivatives in their technical mixtures with hydrocarbons: 94KGS1163.

Conformations of thiophene derivatives: 95BSB667.

"Halogen dance" reaction as selective approach to 3-substituted thiophene derivatives: 96BSB615.

New synthesis of thiophene and its synthetic applications: 94YGG308.

Organometallic complexes as models of adsorption of thiophenes on hydrosulfurization catalysts: 95BSB265.

Oxidative coupling of silylthiophene, a route to highly conjugated poly(thiophene): 96JOM(521)11.

Photochromic dithienylethenes, dibenzothienylethenes, and benzothienylpyrrolylethenes for photon devices: 96PAC1367.

Photoelectronic spectra of thiophenes and tetrahydrothiophene: 96UK1091.

b. *Annulated Thiophenes*

S-(Trifluoromethyl)dibenzothiophenium salts as trifluoromethylating reagents: 96CRV1757.

c. *Hydrothiophenes*

Fused 3-sulfolenes: 94H(37)1417.

Synthesis and applications of sulfolenes: 94MI25.

C. TWO HETEROATOMS

1. *General*

Computer forecast of new recyclizations in series of azolopyridines with bridge nitrogen atom: 96KGS1564.

Cycloaddition reactions of isomunchnones and thioisomunchnones: 94S123.

Regularities and peculiarities of electrophilic substitution in azole series: 96KGS1535.

Ring scission in azoloazines with bridgehead nitrogen atom: 95KGS1445.

Synthesis of *N*-aminoazolinethiones: 96KGS1494.

2. *Two Nitrogen Atoms*

a. *Pyrazoles*

Antipyrine derivatives as analytic reagents: 95ZAK714.

Optimized syntheses of nitropyrazoles based on polarographic investigations: 94H(37)2009; 95H(41)1503.

Photodimerization and photopolymerization in crystals of diolefins containing pyrazole moieties: 95APO117.

Polyfunctional pyrazoles from conjugated azaalkenes: 95PHC1.

Proton transfer and tautomerization in solid pyrazoles: 94JHC695.

Synthesis and reactions of lithiated pyrazoles: 94H(37)2087.

Synthesis of ensembles with two and more pyrazole cycles: 95KGS579.

Synthesis of 3*H*-pyrazoles and their use in organic synthesis: 93OPP403.

Transformation of 5-acylpyrimidines and 5-acyluracils into pyrazoles under the action of hydrazines in acidic media: 96JHC1003.

b. *Hydropyrazoles*

Synthesis of pyrazolidones, pyrazolinium salts, and pyrazolines in reactions of hydrazines with α,β -unsaturated and β -dicarbonyl compounds: 95OPP519.

c. *Annulated Pyrazoles*

^{13}C NMR of indazoles: 95KGS1159.

d. *Imidazoles*

Chemistry of 5-aminoimidazole: 95KGS1323.

Imidazolinium azolates with several interrering bonds: 94AHC(60)197.

Optimized syntheses of nitroimidazoles based on polarographic studies: 94H(37)2009; 95H(41)1503.

Synthesis and reactions of lithiated imidazoles: 94H(38)2487.

4-Unsubstituted 5-amino- and 5-unsubstituted 4-aminoimidazoles: 94AHC(61)1.

Unusual intermolecular hydrogen bonds with element-hydride bonds as proton acceptors in imidazole derivatives: 96ACR348.

e. *Annulated Imidazoles*

Ferricyanide oxidation of 1-substituted 2,4,6-triaryl-, 2,3,4,6-tetraaryl-, and 2,3,4,5,6-pentaarylpyridinium salts as general approach to synthesis of imidazo-fused heteroaromatics: 94H(37)1347.

Mechanism of bioluminescence of imidazo[1,2-*a*]pyrazine derivatives: 94PAC766.

3. *One Nitrogen and One Oxygen Atom*a. *1,2-Heterocycles*

Benzopyranoisoxazolidines as useful chiral auxiliaries for asymmetric synthesis: 96Y GK564.

Cycloaddition reactions of nitrile oxides with alkenes: 94AHC(60)261.

Chemistry of 3,4-disubstituted 5-isoxazolones: 94MI24.

Lateral metallation of isoxazoles: 93OPP515.

Synthesis and reactions of lithiated isoxazoles: 94H(37)1263.

Synthesis and reactivity of heterosubstituted 4-methylene-4,5-dihydroisoxasoles: 95SL1208.

Synthesis of isoxazolidines and isoxazolines in reactions of hydroxylamines with α,β -unsaturated and β -dicarbonyl compounds: 95OPP519.

Use of 1,5-cyclization of alkylpropargyl 1,4-biradicals for formation of isoxazole derivatives: 96ACR179.

b. *1,3-Heterocycles*

Asymmetric synthesis of chiral fluorinated compounds using *N*-acyloxazolidinones: 96YGK122.

Chiral derivatives of 2-oxazolidinone in asymmetric synthesis: 95YGK95.

2,2-Dimethyl-4-phenyloxazolidine as protecting group in synthesis of amino acids and peptides using photochemistry of chromium carbene complexes: 95ACR299.

New applications of oxazolines and oxazoline *N*-oxides in asymmetric synthesis: 96BSB639.

Oxazolone as a versatile building block for stereospecific construction of 2-amino alcohols: 95YZ460.

Rate and equilibrium constants of ring opening reactions of oxazolidine cycles: 96PAC813.

Ring-chain tautomerism and synthetic use of aryl-substituted oxazolidines: 94MI35.

Steroid oxazoles, oxazolines, and oxazolidines: 96JHC539.

Synthesis and polymerization of oxazolidine-2,5-diones (*N*-carboxy- α -amino acid anhydrides): 95CLY423.

Synthesis and reactions of lithiated oxazoles: 94H(37)1321.

Synthesis, chemical properties, and bioactivity of 2-amino-4-oxazolinones and tautomeric 2-imino-4-oxazolidones: 96KGS1011.

Synthesis of 2-oxazolidinediones and thiiranes through thiylation of vinyl ethers: 94MI21.

4. *One Nitrogen and One Sulfur Atom*

a. *1,2-Heterocycles*

Bridge isothiazole and benzisothiazole derivatives with hypervalent S or N atoms and exocyclic atoms: 94MI19.

Synthesis and reactions of lithiated isothiazoles: 95H(41)533.

b. *1,3-Heterocycles*

Rate and equilibrium constants of ring opening reactions of thiazolidine cycles: 96PAC813.

Synthesis and reactions of lithiated thiazoles: 95H(41)533.

5. *Two Oxygen Atoms*

Derivatives of 1,3-dioxolanes and 1,3-dioxolanium ions in synthesis of polysaccharides: 94MI5.

New applications of dioxolanium cations in asymmetric synthesis: 96BSB639.

Oxygenation of vinylcyclopropanes as approach to stereoselective synthesis of 1,3-diols and synthesis of 1,2-dioxolane derivatives: 95SL217.

Regioselective splitting of C–O bond in 1,3-dioxolanes: 96PAC635.

6. *Two Sulfur Atoms*

Organic chemistry of 1,3-dithiole-2-thione-4,5-dithiolate: 95S215.

Tetrathiafulvalenes as building blocks in supramolecular chemistry: 94CSR41.

D. THREE HETEROATOMS

1. *Three Nitrogen Atoms*

a. *Monocyclic Systems*

Advances in synthetic methodology using benzotriazole derivatives as versatile active reagents: 96BSB635.

Substituted 1,2,3-triazolium-1-ylides as 1,3-dipoles, synthons for azimine and 1,2,3-triaza systems: 94H(37)571.

Synthesis and reactions of lithiated triazoles: 95H(41)1525.

Synthesis and structure of coordination compounds of transition metals with amino-1,2,3-triazoles: 95MI23.

Synthesis and structure of polyamides on the base of amino-1,2,4-triazoles: 94MI33.

Synthesis of 1-substituted 1,2,4-triazoles: 94H(37)1951.

Synthesis of nitro-substituted triazoles: 94H(38)1651.

Fe(II)-1,2,4-triazoles as spin carriers: 96MI22.

b. *Annulated Triazoles*

Benzotriazole-assisted arylalkylation and heteroarylalkylation: 94CSR363.

Benzotriazole in organic synthesis: 94S445.

Chemistry of fused 1,2,3-triazoles with one bridgehead nitrogen atom: 94MI6.

Fused triazoles and triazolium salts with bridgehead nitrogen atom: 95KGS1358.

Labile aziridines from 1,2,3-triazolines: 96ZOR1627.

Molecular rearrangements of 1,2,3-triazolines: 96ZOR1627.

2. *Two Nitrogen Atoms and One Oxygen Atom*

Chemistry of furazans fused with five-membered rings: 95JHC371.

Furoxane chemistry: 96MI2, 96MI3.

Photoinduced rearrangements of 1,2,4- and 1,2,5-oxadiazoles: 95H-(41)2095.

Synthesis and reactions of lithiated oxadiazoles: 95H(41)1525.

3. *Two Nitrogen Atoms and One Sulfur Atom*

Synthesis and reactions of lithiated thiadiazoles: 95H(41)1525.

E. FOUR HETEROATOMS

Advances in tetrazole chemistry: 94OPP499, 94UK847.

Synthesis and reactions of lithiated tetrazoles: 95H(41)1525.

Synthesis and structure of polyamides on the base of 5-aminotetrazole: 94MI33.

VI. Six-Membered Rings

A. GENERAL

Azadienes in Diels–Alder reaction: 95MI16.

Efficient synthesis of organometallic derivatives of pyridines, quinolines, and diazines; new synthetic methodologies for azaaromatic biomolecules: 96BSB701.

Metallation of azines and diazines, and strategies of cross-coupling for synthesis of natural products and bioactive compounds: 95H(40)1055.

Mono- and diazaquinones: 94AHC(61)141.

Nucleophilic substitution of hydrogen in azines: 96IZV531.

Reactions of hydrogen isotope exchange, alkylation, and acylation of potentially tautomeric methyl and methylene derivatives of pyridine and diazines: 95KGS816.

Ring opening in azoloazines with bridgehead nitrogen atom: 95KGS1445.

Synthesis of *N*-aminoazinethiones: 96KGS1494.

Synthesis, structure, and reactivity of vinylamino-, vinyloxy-, and vinylthio-substituted derivatives of azines: 96KGS1026.

Thermal and photochemical 1,6-electrocyclic reactions of hetero-1,3,5-hexatrienes into cyclohexadiene heteroanalogs: 95UK107.

B. ONE HETEROATOM

1. *One Nitrogen Atom*

a. *Pyridines*

Aquathermolysis reactions of pyridine derivatives: 96ACR399.

Chemistry of 2-pyridyl(trimethylsilyl)methyl compounds of elements of main groups and of groups 11 and 12: 95JOM(500)289.

Complexes of carboxylic acids with pyridines and pyridine *N*-oxides: 94H(37)627.

Enamine rearrangements in pyridine series: 94H(38)1127.

Metallocomplex catalysis in synthesis and transformations of pyridines: 94MI40.

Photodimerization and photopolymerization in crystals of diolefins containing pyridine moieties: 95APO117.

Synthesis of chalcogenobispyridines: 95JHC1671.

Synthesis of halopyridines: 96KGS1155.

Syntheses of pyridine bases using Chichibabin method: 96KGS147.

Synthesis of pyridine derivatives by intermolecular cyclization of δ -oxonitriles: 95KGS735.

Unusual intermolecular hydrogen bonds with element-hydride bonds as proton acceptors in pyridine derivatives: 96ACR348.

b. *Pyridinium Compounds, Ylides, Pyridine N-Oxides*

Ferricyanide oxidation of 1-substituted 2,4,6-triaryl-, 2,3,4,6-tetraaryl-, and 2,3,4,5,6-pentaarylpyridinium salts as general approach to synthesis of substituted pyrroles and/or imidazo-fused heteroaromatics: 94H(37)1347.

N-Fluoropyridinium salts as fluorinating agents: 94RHA123.

Generation, structure, and properties of pyridinium ylides: 93ZOK2070.

Heterocycle activation by formation of *N*-fluoropyridinium salts: 96ACR243.

N-Substituted pyridinium salts and related compounds, syntheses, properties, applications: 96MI7.

N-Fluoropyridinium salts as electrophilic fluorinating agents: 96CRV1737.

Pyridinium azolates with several intercylic bonds: 94AHC(60)197.

Pyridinium ylides as dipoles in cycloaddition reactions: 95ZOK1441.

Pyridinium ylides as nucleophilic reagents: 94ZOR1572.

Reactivity of pyridinium salts and related compounds: 94KGS147.

Regioselectivity in reactions of pyridinium salts with nucleophiles: 95KGS774.

c. Applications of Pyridines

Advances in catalytic oxidation of alcohols with 2,2,6,6-tetramethylpiperidine-1-oxide (TEMPO) and its use in organic synthesis: 93YGG910.

Hydridotetraruthenium clusters with 2-amino-6-methylpyridine ligands as homogeneous hydrogenation catalysts: 95SL579.

d. Bipyridines and Related Systems

Bis(terpyridine) complexes of Ru(II) and Os(II); synthesis, electrochemical behavior, absorption spectra, photochemical and photophysical properties: 94CRV993.

Luminescent properties of Ru complexes with 2,2'-bipyridine ligands: 95IZV1195.

New oligopyridines for metallosupramolecular chemistry: 96PAC253.

Self-organizing cyclobis(paraquat-4,4'-biphenylene): 96PAC313.

e. Hydropyridines

Advances in synthesis of substituted piperidines: 92OPP583.

Catalytic intermolecular hydrogen transfer in hydrogenation of pyridine aldehydes and ketones: 94KGS435.

Catalytic synthesis of piperidine derivatives and their fused analogs: 96MI12.

Imines and enamines of γ -piperidones in organic synthesis: 94KGS3.

1-Methyl-4-methoxycarbonyl-1,4-dihydropyridine anion as electron donor in SET processes on aliphatic nucleophilic substitution reactions: 95ACR313.

MO calculations of dihydropyridines: 94H(37)1373.

Reduction of pyridines to piperidines and quinolines to tetrahydroquinolines with the use of samarium diiodide: 94YGG285.

Reactions with C-C bond formation by functionalization of pyridine nuclei: 94KGS1536.

Strategy of stereoselective synthesis of chiral piperidin-4-ones and their bicyclic analogs: 94KGS1619.

Sulfur-containing 1,4-dihydropyridines: 94KGS1603.

f. Biologically Active Pyridines and Hydropyridines

Chiral NADH models derived from optically active amino alcohols: 94H(39)405.

Pyridine derivatives as drugs: 95KGS723.

g. Pyridines Annulated with Carbocycles

Advances in synthesis of 1,2,3,4-tetrahydroisoquinolines: 96T15031.

Anhydrobases of cyclopenta- and indenopyridines: 95KGS3.

Asymmetric synthesis of chiral fluorinated quinoline derivatives: 94YGG40.

Isoquinolines, general monograph: 95HC(38,3)1.

1,10-Phenanthroline as versatile ligand: 94CSR327.

Photochemical reactions of complexes of aromatic amines with poly-halomethanes, in particular formation of acridine derivatives: 95UK755.

Reactivity of acridinium salts and related compounds: 94H(38)897.

Regioselectivity in reactions of quinolinium salts with nucleophiles: 95KGS774.

Synthesis and functions of 1,10-phenanthroline derivatives as ionophores: 94YKG530.

Synthesis of carcinogenic benzo[c]pyridines: 93OPP259.

Synthesis of carcinogenic oxygenated benz[c]acridine derivatives: 94OPP393.

Tetracyclic acridine derivatives (thiazolo-, dithiazolo-, thieno-, imidazo-, pyrazolo-, pyrrolo-, dioxolo-, dioxinoacridines and cyclopent[b]acridine): 96JHC1551.

Unusual intermolecular hydrogen bonds with element-hydride bonds as proton acceptors in quinoline derivatives: 96ACR348.

h. Pyridines Annulated with Heterocycles

Advances in chemistry of pyrido[1,2-a]pyrimidines: 95AHC(63)103.

Catalytic asymmetric synthesis of indolizidines by Heck reaction: 94YKG956.

Chemistry of 4-, 5-, and 6-azaindoles: 94KFZ(7)30.

Chemistry of pyrido[c]coumarins: 94KGS1011.

Computer forecast of new recyclizations in series of azolopyridines with bridge nitrogen atom: 96KGS1564.

Enantiomeric recognition of chiral ammonium salts by chiral pyridino-18-crown-6 ligands: 95PAC661.

N-Fluoroquinuclidinium salts as fluorinating agents: 94RHA123.

NMR spectra of indolizines and of their σ -complexes: 95KGS1235.

Pictet-Spengler synthesis of 1,2,3,4-tetrahydro- β -carboline: 95CRV1797.

Quinolizinium salts, synthesis and photocyclization: 93YKG62.

Synthesis, chemical and biological properties of pyrido[1,2-a]pyrimidines: 94KGS579.

Synthesis of β -carbolines: 96OPP3.

2. One Oxygen Atom

a. Pirylium Compounds

Cyanins, new mechanism of origin of blue flower color: 96YKG42.

Single-electron-transfer reactions with participation of pyrylium cations: 94H(37)1165.

Synthesis of pyrylium and azapyrylium salts by acid-catalyzed heterocyclization of carbonyl compounds: 96UK3.

2,4,6-Triphenylpyrylium tetrafluoroborate as photosensitizer of electron transfer: 94CRV1063.

Unsaturated 1,5-diketones and their halo derivatives in synthesis of pyrylium salts: 96KGS1299.

b. Pyrans and Hydropyrans

Oxidative rearrangement of furylcarbinols into 6-hydroxy-2H-pyran-3(6H)ones, convenient synthons for synthesis of other heterocycles: 92OPP95.

2-Pyrone derivatives in catalytic enantioselective Diels–Alder reactions with inversed electron demands: 96PAC113.

Ring contraction of six-membered hemiacetals and acetals with participation of Zr compounds: 94Y GK217.

Stereoselective synthesis of optically active 6-deoxy-6,6,6-trifluorohexopyranoses: 94Y GK739.

Structure investigations of modified flavonoids using LSR and related NMR procedures: 96KGS723.

Synthesis of 2-alkynyl-3-hydroxy- $\Delta^{4,5}$ -dihydropyrans on the base of sugars and their application in synthesis of natural polycyclic *O*-heterocycles: 94Y GK968.

Use of Li-, Cu-, Si-, N- and S-derivatives of 2-pyrone and its η^4 -tricarbonyliron complexes in organic chemistry: 94UK693.

Zirconocene–butene complex-mediated ring contraction of vinyl-substituted pyranosides to give cyclopentane derivatives: 95SL299.

c. Annulated Pyrans and Pyrylium Salts

Benzopyranoisoxazolidines as useful chiral auxiliaries for asymmetric synthesis: 96Y GK564.

Bicyclic compounds structurally related to dehydrocetic acid and triacetic acid lactone: 94H(37)585.

Chemistry of pyrido[c]coumarins: 94KGS1011.

Dioxirane oxidation of benzopyrans and related compounds: 95KGS1345.

Photosensitive artificial membranes on the basis of spirobenzopyran derivatives: 94T4039.

3. *One Sulfur Atom*

Dioxirane oxidation of benzothiopyrans and related compounds: 95KGS1345.

Photoelectronic spectra of di- and tetrahydrothiopyrans: 96UK1091.

Thiopyrylium salts: 94AHC(60)65.

C. Two HETEROATOMS

1. Two Nitrogen Atoms

a. *1,3-Heterocycles: Monocyclic Pyrimidines and Hydropyrimidines (Except Pyrimidine Nucleoside Bases and Nucleosides)*

Photodimers of pyrimidine bases: 94ACR394.

Photodimerization and photopolymerization in crystals of diolefins containing pyrimidine moieties: 95APO117.

Pyrimidines, general monograph: 94HC(28)1.

Reactions of nitropyrimidines with C-nucleophiles: 95H(40)441.

Synthesis of efficient pyrimidine-based photoresistors: 93YGK188.

Transformation of 5-acylpyrimidines and 5-acyluracils into pyrazoles under the action of hydrazines in acidic media: 96JHC1003.

b. *Annulated Pyrimidines (Except Purines, Pteridines, and Flavins)*

Advances in chemistry of pyrido[1,2-a]pyrimidines: 95AHC(63)103.

Enantiomeric recognition of chiral ammonium salts by chiral pyrimidino-18-crown-6 ligands: 95PAC661.

Indoloquinazolidines, general review: 96H(42)453.

4-(β -Methyl-6,7-dimethoxy-3-oxoquinazol-2-yl)ethyl-1,2,4-triazacyclopentane-3,5-dione, synthesis and reactions as dienophile with vitamins A and D: 95YZ584.

Synthesis, chemical and biological properties of pyrido[1,2-a]pyrimidines: 94KGS579.

Synthesis, reactions, and tautomerism of dihydroazolopyrimidines with bridgehead nitrogen atom: 95KGS147.

c. *Pyrimidine Nucleoside Bases, Purines, Nucleotides, Nucleosides, and Nucleic Acids*

Antisense oligonucleotides: 95ACR366.

Biosynthesis of carbocyclic nucleosides (nucleoside analogs with hydroxylated alicyclic residues instead of carbohydrate ones): 95CSR169.

Catalysts for substitution of fragments of nucleic acids containing P^V: 94MI58.

DNA recognition by octahedral coordination complexes: 96MI33.

Dynamic ³¹P NMR conformation study of DNA and DNA-protein complexes: 94CRV1315.

Glycosylation reactions at nitrogen atom involving pyrimidine and purine nucleoside bases and furanoside sugars: 95S1465.

Influence of energetics of stereoelectronic gauche and anomeric effects on conformation of nucleosides and nucleotides: 96PAC2137.

Layered π -complexes of DNA: 95S475.

Methods for covalent binding of nucleic acids and their derivatives with proteins: 96UK765.

Migration and fate of charges originating in irradiated DNA: 95NJC1203.

NMR determination of RNA conformation: 95ACR251.

Nucleoside derivatives as reagents for producing photoaffinity probes: 95T12479.

Organosilicon compounds in transformations of N-heterocycles, particularly in nucleoside synthesis: 95ACR509.

Photoenzymatic repair of UV-damaged DNA: 95CSR289.

Protecting groups in DNA synthesis: 94MI52.

Recognition of guanine structure in nucleic acids using formation of Ni complexes: 94ACR295.

Role of π, π interactions in DNA structure: 94CSR101.

Stereocontrolled synthesis of P-chiral oligonucleotide analogs: 95MI20.

Stereoselective synthesis of nucleoside derivatives from thioglycosides: 95YGG780.

Synthesis and investigations of nucleic acids, connected with gene synthesis: 94YZ747.

Synthesis and transformations of uronic acid nucleosides: 94UK730.

Synthesis of nucleoside 5'-phosphates labeled with radioactive phosphorus isotopes: 95UK850.

Synthesis of substituted guanines: 93OPP373.

d. *1,4-Heterocycles: Pyrazines and Hydropyrazines*

Derivatives of 1,4-piperazine-2,3-dione in synthesis of α -diketones: 94SL975.

e. *Annulated Pyrazines*

Chemistry of quinoxaline N-oxides and N,N'-oxides: 95JHC1085.

N-Fluoro-1,4-diazoniabicyclo[2.2.2]octane derivatives as fluorinating agents: 94RHA123.

Mechanism of bioluminescence of imidazo[1,2-*a*]pyrazine derivatives: 94PAC766.

Volatile alkylpyrazines in foodstuffs: 95CLY694.

2. *One Nitrogen and One Oxygen Atom*

Conformations and facial selectivities of chiral 1,3-oxazine-4,6-diones: 96H(42)861.

Ring-chain tautomerism and synthetic application of aryl-substituted tetrahydro-1,3-oxazines: 94MI35.

Unsaturated 4*H*-1,3-oxazines: 94KGS291.

3. *Two Oxygen Atoms*

Conformations and facial selectivities of chiral 1,3-dioxin-4-ones: 96H(42)861.

Environmental sources and concentrations of dioxins and related compounds: 96PAC1781.

π -Facial diastereoselectivity in synthesis of dihydro-1,2-dioxins through (4 + 2)-cycloaddition of singlet oxygen: 96ACR275.

Hydrazones obtained from chiral *N*-aminopyrrolidine and 2,2-dimethyl-1,3-dioxan-5-one derivatives in enantioselective syntheses of *N*-heterocycles: 96PAC569.

Methods for the synthesis, chemical properties, and evaluation of danger of dibenzo-*p*-dioxins: 96UK29.

Polychlorinated dibenzo-*p*-dioxins in combustion processes: 95CLY343.

Synthesis and properties of 1,3-dioxenium cations: 96KGS1445.

4. *Two Sulfur Atoms*

Synthesis and radical-cation transformations of 1,3-dithianes: 96PAC853.

D. THREE HETEROATOMS

1. *Three Nitrogen Atoms*

Aggregates of cyanuric acid and melamine linked by noncovalent interactions: 95ACR37.

Annulated 1,2,4-triazines: 94AHC(61)207.

Synthesis and rearrangement of chloroalkoxy-, chloroalkylthio-, and chloroalkylamino-*sym*-triazines: 96KGS435.

Synthesis of new *sym*-triazine-based reagents and intermediates: 96MI9.

1,2,3-Triazines in medicinal chemistry: 94YZ934.

VII. Rings with More Than Six Members

A. SEVEN-MEMBERED RINGS

1. *One Heteroatom*

Syntheses of oxepines using ring enlargement tactics: 96SL1029.

2. *Two Heteroatoms*

a. *Two Nitrogen Atoms*

Quantitative structure–activity relationships of benzodiazepines: 94CRV1483.

Stereoselective synthesis of pyrrolo[2,1-*c*]-1,4-benzodiazepine derivatives using new intramolecular cyclization of Mannich reaction type: 95YZ446.

Synthesis of DNA-affecting pyrrolo[2,1-*c*]-1,4-benzodiazepines: 94CRV433.

b. *One Nitrogen and One Sulfur Atom*

Annulated 1,5-benzothiazepines: 95AHC(63)61.

c. *Two Oxygen Atoms*

Catalytic asymmetric synthesis of 1,6-dioxepine derivatives by Heck reaction: 94Y GK956.

3. *Three Heteroatoms*

1,3,4-Benzotriazepines: 95ZOK1601.

B. MEDIUM RINGS

1. *One Heteroatom*

Synthesis and reactivity of 8- to 11-membered lactones: 95T2777.

2. *Two or More Heteroatoms*

Complexes with 9- and 10-membered azacrowns and their O- and S-analogs as ligands: 94KK483.

[3,3]-Sigmatropic ring expansion in cyclic thionic carbonates with medium rings: 95Y GK712.

C. LARGE RINGS

1. *General Problems*

a. *Structure, Stereochemistry, Reactivity, Design*

Amide-type catenanes and rotaxanes: 96PAC226.

Calix[*n*]arenes with heterocyclic fragments as powerful building blocks in supramolecular chemistry: 95Y GK963.

Carceplexes and hemicarceplexes, host-guest complexes of carcerands and hemicarcerands, as hosts (the latter possessing two fragments of cyclophane bond with heteroatomic bridges): 95T3395.

Complexes of hard and soft metal cations with macroheterocyclic ligands, in particular with crowns, phthalocyanins, and porphyrins: 94CRV279.

Coordination-assisted self-organization of [2]catenanes from macroheterocycles: 94YGK839.

Electrospray mass spectrometry in investigations of synthetic supramolecular complexes with heterocyclic ligands, among them metal complexes of catenanes and rotaxanes: 96AG(E)806.

Heterocycles, among them heteraphanes and heterophanes, in synthesis of [*n*]meta- and [*n*]paracyclophanes (*n* = 4–8): 94T4575.

Heterocycles as components of self-associated supramolecular complexes: 95CRV2229.

Heterophanes: 94MI7.

Interlocking structures (catenanes and rotaxanes), particularly those containing heterocyclic fragments: 95CRV2725.

NMR study of interactions in complexes of macrocyclic host molecules with organic guest molecules: 94JHC1097.

Polyazamacrocycles as acids and bases: 95APO63.

Resorcinarenes: cavitandes and carcerandes: 96T2663.

Self-organization of macroheterocycles and helices, catenanes, rotaxanes with heterocyclic fragments: 95YGK432.

Self-organizing catenanes and rotaxanes on the basis of macroheterocycles: 95G431.

Thermodynamics and kinetics of interactions of macroheterocycles with cations, anions, and neutral molecules: 95CRV2529.

b. *Synthesis*

Formation of macroheterocycles by reactions of *o*-dinitriles of polycarboxylic acids with organic diamines: 94UK810.

π,π -Interactions in synthesis of macroheterocycles and catenanes: 94CSR101.

Self-organization with participation of heterocycles; synthesis of rotaxanes, catenanes, porphyrins: 96AG(E)1154.

Syntheses of catenanes and rotaxanes using cyclodextrins as building blocks: 94AG(E)803.

Syntheses of macroheterocycles using ring enlargement tactics: 96SL1029.

Synthesis and applications of hexapyrins, porphyrin-like macrocycles with extended π -electron systems: 94ACR43.

Syntheses and properties of macrocyclic conjugated thiaannulenes and diazaannulenes: 96YGK772.

Synthesis of phosphorus-containing macrocycles and cryptands: 94CRV1183.

Template syntheses of macroheterocycles and catenanes: 94AG(E)375.

Template syntheses of rotaxanes: 96CCC1.

Transition metals as collecting and shaping particles for catenanes, knots, and string permeated molecular cycles: 94PAC1543.

c. Applications

Binuclear macroheterocyclic and macrobicyclic complexes for activation and transport of dioxygen: 94PAC859.

Electrochemical reduction of carbon dioxide by complexes of hexaaza-macrocycles: 95PAC1045.

Heterophanes and heteracyclophanes as host molecules for sugars: 96MI4.

Macroheterocycles in molecular recognition as structural fragments of double-layered membranes: 93YGK892.

Macroheterocycles as agents for anion binding: 94CLY99.

2. *Crown Ethers and Related Compounds*

Activation of anions with crown and cryptand ligands: 95PAC697.

Aza- and thiacrowns as liquid crystals: 96MI23.

Bis- and oligo(benzocrowns): 94CRV939.

Calix-crowns and related molecules: 95PAC1037.

Chemistry of complexes of lanthanoids with coronands and aza-crowns, containing ionizing substituents, as ligands: 94CSR235.

Complexes of organomagnesium compounds with crowns: 94JOM(475)1.

Cryptands, crowns, thiazacrowns for binding metal ions and small molecules: 96PAC1231.

Dependence of extraction capacity of crown ethers on their structure: 96UK1052.

Homolytic cycloaddition in synthesis of thia-crown ethers: 94PS (95/96)55.

Metal complexes with crowns as ligands: 96MI15.

Molecular recognition of stable metal complexes by outer-sphere coordination with crown ethers: 96PAC1225.

Phase-transfer catalysis involving crowns and related compounds in asymmetric synthesis: 94MI30.

Some reactions of crown ethers and their analog: 96MI8.

Sorption of metal ions by immobilized crown ethers: 95ZFK1735, 95ZFK2117.

Substituted crown ethers and azacrowns in photoionic devices: 96PAC1443.

Synthesis and functionalization of crowns possessing both a macrocyclic ligand and a lateral cation-binding branch: 93YGK851.

Synthesis of macrocyclic lactones and crowns with participation of Cs ions: 92OPP285.

Transition-metal complexes of thiocrowns: 96MI38.

Transport through liquid membranes with participation of crowns as carriers: 94CSR75.

3. *Macrocyclic Lactones*

Computer-assisted conformational design in macrolide synthesis: 94YKG946.

Syntheses of macrocyclic lactones, lactams, and other S-, O-, and N-heterocycles: 95T9767.

4. *Miscellaneous Macroheterocycles*

a. *Macrocyclic Amides, Amines, and Imines*

Macrocyclic amides as fragments of catenanes and rotaxanes: 96ACR451.

Use of Mannich reaction for synthesis of azamacroheterocycles: 96SL933.

b. *Other Systems*

Macroheterocycles bound with elements of main groups: 94PS(87)93.

Synthesis and properties of oxacyclophanes obtained by photocycloaddition of vinylarenes: 93YKG652.

VIII. Heterocycles Containing Unusual Heteroatoms

A. GENERAL

Comparison of P- and Si-heterocycles (hypervalence of P and Si, reactivity, stereochemistry): 96CRV927.

Formation of P,N,O-, P,B,N,O-, and B,N-heterocycles from diethanolamines, diphenylamines, diethylenetriamines: 94MI61.

Synthetic approaches to inorganic Si,O-, Si,O,N-, P,N-, P,N,M-, B,N-, B,N,M-heterocycles: 94PS(93/94)13.

B. PHOSPHORUS HETEROCYCLES

1. *Chemistry of Individual Classes of P-Heterocycles*

Advances in phosphole chemistry: 94PS(87)139.

Annulated di- and triazaphospholes, oxaazaphospholes, thiazaphospholes, diphospholes, and azadiphospholes: 94T7675.

Chemistry of phospholide and polyphospholide ions, cyclopentadienide anion analogs including 1-5 P atoms instead of C: 94JOM(475)25.

Coordination chemistry of cyclic hydrazides, N,P^{IV}- and N,P^V-heterocycles: 95CSR97.

Cyclic phosphazanes and phosphazenes and their metal complexes: 94CRV1163.

Cyclophosphazene podands as agents binding cations, phase-transfer catalysts, and anion activators: 95G583.

1-Halo-1H-phosphirenes, synthesis and preparative importance of in organophosphorus chemistry: 94RHA61.

P- and P,O-heterocycles: 95MI14.

P,N-, P,O-, and P,N,O-heterocycles: 95MI30.

Inorganic P-heterocycles: 95MI14.

Inorganic P,N-heterocycles: 94MI64.

Macrocycles with P–N–N fragments: 96SL1019.

Oxaazaphospholidines as versatile reagents in asymmetric synthesis of organophosphorus compounds: 95MI25.

Synthesis, properties, and synthetic potential of unstable unsaturated P-heterocycles: 94CRV1413.

2. *Structure and Stereochemistry*

Chiral α,α' -substituted 1,2-bis(phospholano)benzene and 1,2-bis(phospholane)ethane as ligands for asymmetric catalysts: 96PAC37.

Complexes of P-heterocycles: 94CRV1215.

P-heterocycles, derivatives of tetravalent negatively charged phosphorus: 94CRV1441.

Nature of P–N bonds in cyclic phosphazenes and phosphazanes: 94PS(87)101.

P-heterocycles with tricoordinated hypervalence phosphorus: 94MI60.

³¹P NMR spectra of P-heterocycles: 95MI26.

Skeleton stabilization in cyclic phosphoranes: 96MI55.

3. *Reactivity*

Heterophosphacyclanes in organic synthesis: 94UK1087.

1,2-Oxaphosphetanes as intermediates of Wittig reaction: 94TS1; 96SL600.

P-heterocycles in synthesis of phospholipids: 94MI36.

Reactions of cyclic fluorinated phosphazenes: 94MI65.

4. *Synthesis*

Electrochemical synthesis of cyclic phosphonates: 96UK1080.

Formation of P-heterocycles from aminoalcohols, aminophenols, and diethylenetetramines: 94PS(87)49.

P-heterocycles from α -hydroxyalkylphosphines and vinylphosphines: 94AHC(61)59.

Phosphates and hypervalent structures in formation of P-heterocycles: 94PS(87)59.

Siloxanes in formation of P-heterocycles: 94PS(87)59.

2-Substituted cycloiminium salts in synthesis of azaphospholes: 95S361.

Synthesis and reactivity of fluoroalkyl derivatives of cyclic phosphites: 96UK1013.

Synthesis of phosphorus-containing macrocycles and cryptands: 94CRV1183.

Synthesis of O,P-heterocycles using P(III) acid amides: 94UK602.

Synthesis of P-chiral cyclic phosphines and their derivatives, including those with tetracoordinated P atoms: 94CRV1375.

Synthesis of P-heterocycles from phosphalkynes: 95CSR319.

Syntheses of phosphabicyclo[1.1.0]butanes: 96AG(E)828.

1,2,4-Triphospholes and 1,2,4-selenadiphospholes, formation through 1,2,4-triphosphacyclopentadienide anion: 96BSB675.

Use of metallocphosphalkenes in synthesis of P-heterocycles: 96AG(E)271.

C. BORON HETEROCYCLES

1. *Chemistry of Individual Classes of B-Heterocycles*

Behavior of carborane ligands in icosahedric metallocarboranes: 95JOM(500)307.

Iminoborane cyclooligomers, 4-, 6-, and 8-membered B,N-heterocycles: 94PS(93/94)39.

1,2-Oxaboretanides as intermediates in boron-Wittig reaction: 96SL600.

2. *Structure and Stereochemistry*

Structure of carboranes: 94MI8.

Transannular interactions in bifunctional N- and B-heterocycles with medium rings: 95CSR143.

3. *Reactivity*

Pd-catalyzed cross-coupling reactions in chemistry of B-heterocycles: 95CRV2467.

B- and B,O-heterocycles in asymmetric synthesis: 94PAC201, 94PAC213.

B,O-heterocycles, transformations and participation in stereodirected syntheses of compounds of other classes: 95MI15.

4. *Synthesis*

Formation of B-heterocycles from aminoalcohols, aminophenols, and diethylenetetramines: 94PS(87)49.

Synthesis and properties of boracyclopolyenes: 95JOM(500)101.

5. *Applications*

Seven-membered cyclic chiral α,α -binaphthyl borates as Lewis acids for stereoselective synthesis: 94Y GK912.

Structural, magnetic, and conductive properties of charge-transfer salts formed by metallocarboranes: 95CSR203.

D. SILICON, GERMANIUM, TIN, AND LEAD HETEROCYCLES

1. *Chemistry of Individual Classes of Heterocycles*

Catalytic reactions with silylmethylation as key stage in synthesis and transformation of Si-heterocycles: 93Y GK421.

Chemistry of benzodisilacyclobutene: 96Y GK103.

Chemistry of Si-heterocycles based on multiple bonds: 94MI10

Cyclotrisilanes: 95CRV1479.

1,3-Disilacyclobutanes: 96JOM(501)1.

Ge,S-heterocycles: 94PS(93/94)51.

Heterocycles with multiple metal-silicon bonds: 95SL687.

Homocyclic silanes: 95CRV1495.

Inorganic Sn-heterocycles: 94ACR191.

Macrocyclic polyunsaturated silanes: 95UK896.

Si-Heterocycles with 1,3-diene system: 95UK28.

Si,O-heterocycles (silsesquioxanes): 95CRV1409.

Si,S-, Ge,S-, Sn,S-heterocycles: 94Y GK136.

Stable cyclic silylenium ions in condensed phase: 94MI59.

Structure, synthesis, and properties of Ge-, Sn-, and Pb-heterocycles: 95MI9.

Synthesis and chemical properties of silapiperazines and 1,6-dioxa-3,8-diaza-5,10-disilacyclodecan-2,7-dione derivatives: 96IZV2407.

Synthesis and transformations of silacyclopentadienes: 96PAC139.

Trithiadisilabicyclo[1.1.1]pentanes: 94PS(93/94)51.

Unsaturated Si-macrocycles and fused systems possessing five-, six-, and seven-membered Si-heterocyclic fragments: 96PAC327.

2. *Structure and Stereochemistry*

Cage and cluster Si, Ge, and Sn compounds (inorganic heterocycles): 95MI1.

Molecular structure of heterocyclic derivatives of hypercoordinated silicon: 96KGS1605.

Polyhedral compounds of Si, Ge, Sn, and Pb: 95ACR469.

Sandwich metal complexes with Si,O-macrocyclic ligands: 95JOM(488)9.

Structural data for Sn,N,O-, Sn,S-, Sn,S,P-, Hg,O,S-heterocycles: 95MI28.

Synthesis and structures of polysilacycloalkynes and polysilacycloallenes: 95SL880.

3. *Reactivity*

Activation of Si-Si bond by transition-metal complexes in transformations of Si-heterocycles with Si-Si bond: 95CRV1351.

Highly reactive Si- and Ge-heterocycles: 95PAC805.

Si-heterocycles in chemistry of polycarbosilanes, polysilazanes, and polycarbosilazanes as ceramics precursors: 95CRV1443.

Organic reactions of silacyclobutane: 96YGK289.

1,2-Oxasiletanides, 1,2-germetanides, and 1,2-stannetanides as intermediates in Peterson reaction: 96SL600.

Photochemistry of cyclic silanes: 95CRV1527.

Polymerization of silacyclobutanes: 95MI33.

Ring-opening polymerization of four- and six-membered Si,N- and Si,N,O-heterocycles: 96JOM(521)21.

Thermolysis of Si-, Si,S-, Ge,S- and Ge,O-heterocycles: 94MI67.

Thermolysis, photolysis, and transition-metal-catalyzed reactions of 1,1,2,2-tetraethyl-3,4-benzo-1,2-disilacyclobut-3-ene: 95SL794.

Transformations of Si- and Ge-heterocycles with formation of carbene analogs and compounds with Si=O, Ge=O, and Ge=Ge bonds: 95APO1.

4. *Synthesis*

Formation of 1,2-oxasilolanes by intramolecular bissilylation, catalyzed by palladium-isonitrile system: 95YGK509.

Reactions with intermediate formation of Si-heterocycles: 95CRV1253.

Regio- and stereochemical aspects of palladium-catalyzed reactions in syntheses of Si-heterocycles: 95CRV1317.

Silanetriols as building blocks for three-dimensional metallasiloxanes: 96ACR183.

Syntheses of Si-, Ge-, Sn-, Pb-, and P-heterabicyclo[1.1.0]butanes: 96AG(E)828.

Synthesis and structure of cyclolinear polyorganosiloxanes: 95MI32.

Synthesis of Si-, Ge-, Sn-, and Pb-heterocycles from respective thioke-tone analogs: 94PS(95/96)21; 95MI27.

Synthesis, structure, and chemical properties of germalactams: 94IZV982.

E. SELENIUM AND TELLURIUM HETEROCYCLES

1. *General Sources and Topics*

Photoelectronic spectra of selenophenes, tellurophene, tetrahydrose-lenophene: 96UK1091.

Tellurium-containing heterocycles, general monograph: 94HC(53)1.

2. *Chemistry of Individual Classes of Heterocycles*

Te,S-heterocycles with tetravalent Te: 95MI29.

1,2-Oxachalcogenetanes, synthesis and reactions: 96SL600.

Selenopyrylium and telluropirylium salts: 94AHC(60)65.

Six-membered Te-heterocycles: 95AHC(63)1.

Trithiaselenabicyclo[1.1.1]pentanes: 94PS(93/94)51.

3. *Reactivity*

Generation of selenoaldehydes from cyclic trimers and their [4 + 2]-cycloaddition reactions: 94MI66.

Se- and Te-(trifluoromethyl)dibenzoselenophenium and -tellurophenium salts as trifluoromethylating reagents: 96CRV1757.

4. *Synthesis*

Formation of 1,2,4-selenadiphospholes through 1,2,4-triphosphacyclo-pentadienide anion: 96BSB675.

F. OTHER UNUSUAL HETEROCYCLES

1. *Metallacycles*

Chemistry of complexes of metallacyclobutanes, derivatives of transition metals (Fe^{II} , Co^{II} , Rh^{III} , Pd^{II} , Pt^{II} , Pt^{IV}): 94CRV2241.

Classification and analysis of crystallographic and structural data for organomercury compounds including Hg-heterocycles: 95JOM(495)1.

Cobalt heterocycles: 94MI31.

Coupling reactions of metallacarbynes leading to metallacycles: 95CRV2281.

Formation of five-membered saturated Mg- and Zr-heterocycles: 95JOM(491)1.

Galla- and indacyclopentadienes: 94PS(93/94)153.

Heterocycles with multiple metal-silicon bonds: 95SL687.

I,O-heterocycles in organic synthesis: 95YGK893.

M,S-, M,Se-, and M,S,N-heterocycles, formation in reactions of transition metals with sulfur dioxide analogs: 94MI9.

Insertion and migration reactions with participation of heterocycles, containing Si and metal atoms: 95JOM(500)21.

Intermolecular movements in polymetallacycles: 94MI56.

Seven-membered cyclic chiral alkylaluminum α,α -binaphtholates as Lewis acids for stereoselective synthesis: 94YGK912.

Nickelacycles in organic synthesis: 94SL465.

Pd- and Pt-heterocycles: 95SL681.

Pd- and Re-heterocycles: 94CSR335.

Palladacycles as intermediates in Pd-catalyzed processes leading to hydrocarbons: 96PAC323.

Ring-opening polymerization of metallocenes as new route to transition-metal-based polymers: 95MI2.

Synthesis of metallacycles from Si-containing 1,3-alkadiene derivatives: 95UK28.

Synthesis, structure, and reactions of Al(I)- and Ga(I)-heterocycles: 96AG(E)129.

Synthesis of metallacycles: 94JOM(475)1.

Transition-metal-catalyzed reactions, promoted by substrates able to form metallacycles: 95JOM(500)69.

Trithiametallolanes and tetrathiametallolanes: 94PS(95/96)21.

Zr- and Hf-heterocycles: 94CRV1661.

Zr-heterocycles in stoichiometric and catalytic reactions of organozirconium and related compounds: 94ACR124.

2. Metal Chelates and Related Complexes

Bis(alkynyl)titanocenes as organometallic chelating ligands for stabilization of monomeric organocopper(I) compounds: 96SL1.

Carbohydrate-derived metal chelates: 96MI32.

Chelate complexes of Ni(III): 96MI18.

Chelate compounds of lanthanides, complexes of lanthanides with heterocyclic ligands: 94MI11.

Chelate, polychelate, and cryptate effects: 96MI36.

Chelates, derivatives of S-, Se-, Te-containing ligands: 96MI43.

Cu-chelates including those with heterocyclic ligands: 94KK883.

Ferrocene-based metal chelates: 96MI20.

Magnetochemistry of iron chelates with spin transitions: 96MI19.

Metal chelates, particularly those with heterocyclic ligands: 95CRV2405.

Metal chelates of formazanes: 96MI21.

Peculiarities of chelate formation in volatile carboxylates and β -diketonates of rare-earth elements: 96MI39.

Peculiarities of structure of metal complexes with triamine complexones: 96MI40.

Progress in chemistry of macromolecular metal chelates: 96MI16.

Reactivity of β -diketonates of I–VI group metals: 96UK334.

Standard and nonstandard coordinations of typical chelating ligands: 96MI13.

Stereochemistry of chelate complexes of TaF₅ and PF₅: 96MI17.

O-Substituted N-arylquinonimines as a new class of tautomeric systems and chelating ligands: 96MI42.

Stereodynamics and degenerate ligand exchange in chelate metallocycles and in chelates with heterocyclic ligands: 94UK303.

Synthesis and transformations of palladium(II) and platinum(II) C, N-chelates: 96MI14.

Transformation of β -dicarbonyl compounds in reactions of their Ni-, Co-, Cu-, and Zn-chelates with C- and O-electrophiles: 96T3377.

Transition-metal-catalyzed reactions, promoted by substrates, able to form chelates or metallacycles: 95JOM(500)69.

Transition-metal chelates: 96MI38.

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